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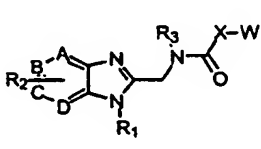
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## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

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<b>(21) International Application Number:</b> PCT/US00/08610 <b>(22) International Filing Date:</b> 31 March 2000 (31.03.00) <b>(30) Priority Data:</b> 60/127,526                      2 April 1999 (02.04.99)                      US 09/285,357                      2 April 1999 (02.04.99)                      US <b>(71) Applicant (for all designated States except US):</b> NEUROGEN CORPORATION [US/US]; 35 Northeast Industrial Road, Branford, CT 06405 (US). <b>(72) Inventors; and</b> <b>(75) Inventors/Applicants (for US only):</b> DESIMONE, Robert, W. [US/US]; 37 Gina Drive, Durham, CT 06422 (US). HUTCHISON, Alan [US/US]; 175 Bartlett Drive, Madison, CT 06443 (US). SHAW, Kenneth [US/US]; 83 Sheephill Road, Weston, CT 06883 (US). ROSEWATER, Daniel, L. [US/US]; 2314 Table Heights Drive, Golden, CO 80401 (US). <b>(74) Agent:</b> DOCTER, Stephen; McDonnell Boehnen Hulbert & Berghoff, 300 South Wacker Drive, Suite 3200, Chicago, IL 60606 (US).		<b>(81) Designated States:</b> AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).  <b>Published</b> <i>With international search report.</i>
<b>(54) Title:</b> ARYL AND HETEROARYL FUSED AMINOALKYL-IMIDAZOLE DERIVATIVES: SELECTIVE MODULATORS OF GABA <sub>A</sub> RECEPTORS  <div style="text-align: center;">  <p>(I)</p> </div> <b>(57) Abstract</b> <p>Disclosed are compounds of formula (I), or the pharmaceutically acceptable non-toxic salts thereof wherein the A, B, C, D, X, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub>, are variables defined herein, which compounds are highly selective agonists, antagonists or inverse agonists for GABA<sub>A</sub> brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABA<sub>A</sub> brain receptors, and are therefore useful in the diagnosis and treatment of anxiety, Down Syndrome, sleep, cognitive and seizure disorders, depression, overdose with benzodiazepine drugs, and enhancement of memory and alertness.</p>		

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**Aryl and Heteroaryl Fused Aminoalkyl-imidazole derivatives:  
Selective Modulators of GABA<sub>A</sub> Receptors**

5           This application claims the benefit of U.S. Provisional Application No. 60/127,526, filed April 2, 1999 and U.S. Patent Application No. 09/285,357 filed April 2, 1999.

Field of the Invention

10           This invention relates to aryl and heteroaryl fused aminoalkylimidazole derivatives which when appropriately substituted selectively bind to GABA<sub>A</sub> receptors. This invention also relates to pharmaceutical compositions comprising such compounds and to the use of such compounds in  
15 enhancing alertness and treating anxiety, overdoses of benzodiazepine-type drugs, Down Syndrome, depression, sleep, seizure and cognitive disorders both in human as well as domestic pets and livestock.

          The compounds of this invention are also useful as probes  
20 for the localization of cell surface receptors.

Background

          The GABA<sub>A</sub> receptor superfamily represents one of the classes of receptors through which the major inhibitory  
25 neurotransmitter,  $\gamma$ -aminobutyric acid, or GABA, acts. Widely, although unequally, distributed through the mammalian brain, GABA mediates many of its actions through a complex of proteins called the GABA<sub>A</sub> receptor, which causes alteration in chloride conductance and membrane polarization.

30           A number of cDNAs for GABA<sub>A</sub> receptor subunits have been characterized. To date at least 6 $\alpha$ , 3 $\beta$ , 3 $\gamma$ , 1 $\epsilon$ , 1 $\delta$  and 2 $\rho$  subunits have been identified. It is generally accepted that native GABA<sub>A</sub> receptors are typically composed of 2 $\alpha$ , 2 $\beta$ , and 1 $\gamma$  subunits (Pritchett & Seeburg Science 1989; 245:1389-1392 and  
35 Knight et. al., *Recept. Channels* 1998; 6:1-18). Evidence such as message distribution, genome localization and biochemical study results suggest that the major naturally occurring receptor combinations are  $\alpha_1\beta_2\gamma_2$ ,  $\alpha_2\beta_3\gamma_2$ ,  $\alpha_3\beta_3\gamma_2$ , and  $\alpha_5\beta_3\gamma_2$  (Mohler et. al. *Neuroch. Res.* 1995; 20(5): 631 - 636).

Benzodiazepines exert their pharmacological actions by interacting with the benzodiazepine binding sites associated with the GABA<sub>A</sub> receptor. In addition to the benzodiazepine site, the GABA<sub>A</sub> receptor contains sites of interaction for several other classes of drugs. These include a steroid binding site, a picrotoxin site, and the barbiturate site. The benzodiazepine site of the GABA<sub>A</sub> receptor is a distinct site on the receptor complex that does not overlap with the site of interaction for GABA or for other classes of drugs that bind to the receptor (see, e.g., Cooper, et al., The Biochemical Basis of Neuropharmacology, 6<sup>th</sup> ed., 1991, pp. 145-148, Oxford University Press, New York). Early electrophysiological studies indicated that a major action of the benzodiazepines was enhancement of GABAergic inhibition. Compounds that selectively bind to the benzodiazepine site and enhance the ability of GABA to open GABA<sub>A</sub> receptor channels are agonists of GABA receptors. Other compounds that interact with the same site but negatively modulate the action of GABA are called inverse agonists. Compounds belonging to a third class bind selectively to the benzodiazepine site and yet have little or no effect on GABA activity, but can block the action of GABA<sub>A</sub> receptor agonists or inverse agonists that act at this site. These compounds are referred to as antagonists.

The important allosteric modulatory effects of drugs acting at the benzodiazepine site were recognized early and the distribution of activities at different receptor subtypes has been an area of intense pharmacological discovery. Agonists that act at the benzodiazepine site are known to exhibit anxiolytic, sedative, and hypnotic effects, while compounds that act as inverse agonists at this site elicit anxiogenic, cognition enhancing, and proconvulsant effects. While benzodiazepines have a long history of pharmaceutical use as anxiolytics, these compounds often exhibit a number of unwanted side effects. These may include cognitive impairment, sedation, ataxia, potentiation of ethanol effects, and a tendency for tolerance and drug dependence.

GABA<sub>A</sub> selective ligands may also act to potentiate the effects of certain other CNS active compounds. For example,

there is evidence that selective serotonin reuptake inhibitors (SSRIs) may show greater antidepressant activity when used in combination with GABA<sub>A</sub> selective ligands than when used alone.

5

#### SUMMARY OF THE INVENTION

This invention relates to aryl and heteroaryl fused aminoalkyl-derivatives. Preferred compounds of the invention that bind with high affinity to the benzodiazepine site of the GABA<sub>A</sub> receptor, including human GABA<sub>A</sub> receptors. Preferred compounds of the invention also bind with high selectivity to the benzodiazepine site of the GABA<sub>A</sub> receptor.

The invention provides novel compounds of Formula I (shown below), and pharmaceutical compositions comprising compounds of Formula I.

The invention further comprises methods of treating patients suffering from certain CNS disorders with an effective amount of a compound of the invention. The patient may be a human or other mammal. Treatment of humans, domesticated companion animals (pets) or livestock animals suffering such conditions with an effective amount of a compound of the invention is contemplated by the invention.

In a separate aspect, the invention provides a method of potentiating the actions of other CNS active compounds. This method comprises administering an effective amount of a compound of the invention with another CNS active compound.

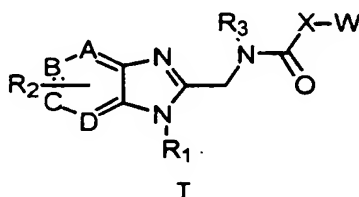
Additionally this invention relates to the use of the compounds of the invention as probes for the localization of GABA<sub>A</sub> receptors in tissue sections. Such probes are useful for in vitro studies, such as binding assays and autoradiography of tissue sections and for in vivo techniques such as PET and SPECT scans.

Packaged pharmaceutical compositions including instructions for use of the composition are also included.

In a separate aspect, the invention provides a method of potentiating the actions of other CNS active compounds. This method comprises administering an effective amount of a compound of the invention with another CNS active compound.

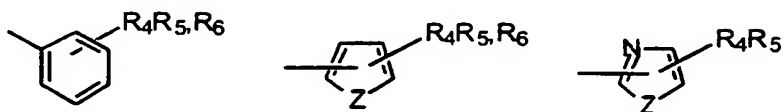
The invention furthermore provides methods of using compounds of this invention as positive controls in assays for receptor activity and using appropriately labeled compounds of the invention as probes for the localization of receptors, particularly GABA<sub>A</sub> receptors, in tissue sections. Such probes are useful for in vitro studies, such as binding assays and autoradiography of tissue sections and for in vivo techniques such as PET and SPECT scans.

Accordingly, a broad embodiment of the invention is directed to compounds of Formula I:



or the pharmaceutically acceptable non-toxic salts thereof wherein:

W represents



where Z is O, or S;

R<sub>1</sub> represents phenyl, C<sub>1</sub>-C<sub>6</sub> alkyl, cyclopentyl, cyclohexyl, benzyl, 3-fluorobenzyl, or cyclopropylmethyl;

R<sub>2</sub> represents hydroxyl, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, either of which could be substituted with amino or mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, additionally the alkyl portion can form a 5,6,7 member ring; or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl, additionally R<sub>8</sub> and R<sub>9</sub> can be a 5,6,7 member heterocyclic ring;

R<sub>3</sub> represents C<sub>1</sub>-C<sub>6</sub> alkyl, allyl, cyclopropylmethyl, cyclopentyl; or benzyl optionally mono-, di-, or trisubstituted independently with halogen, nitro, trifluoromethyl, trifluoromethoxy, cyano, hydroxyl, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, either of which could be

substituted with amino or mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, additionally the alkyl portion can form a 5,6,7 member ring; or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl, additionally R<sub>8</sub> and R<sub>9</sub> can be a 5,6,7 member heterocyclic ring, additional substitution on the benzyl ring can be directly bound or O(CH<sub>2</sub>)<sub>n</sub> (where n=1,2,3,4) linked SO<sub>2</sub>R<sub>8</sub>, NHSO<sub>2</sub>R<sub>8</sub>, SO<sub>2</sub>NHR<sub>8</sub>, SO<sub>2</sub>NHCOR<sub>8</sub>, CONHSO<sub>2</sub>R<sub>8</sub>, as well as tetrazole, triazole, imidazole, thiazole, oxazole, thiophene, and pyridyl;

R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are the same or different and represent hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, either of which could be substituted with amino or mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, additionally the alkyl portion can form a 5,6,7 member ring, C<sub>1</sub>-C<sub>6</sub> alkylthiol, or halogen, or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or straight or branched chain lower alkyl having 1-6 carbon atoms, additionally R<sub>8</sub> and R<sub>9</sub> can be a 5,6,7 member heterocyclic ring, additionally R<sub>4</sub> and R<sub>5</sub> can form a 1,3-dioxolene ring;

X represents a bond, CH<sub>2</sub>, or CHCH;

A,B,C,D are the same or different and represent CH or N with the proviso that not more than two of A,B,C, or D represent N.

Preferred compounds of the invention are highly selective agonists, antagonists or inverse agonists for GABA<sub>A</sub> brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABA<sub>A</sub> brain receptors, the benzodiazepine receptor. These compounds are useful in the diagnosis and treatment of anxiety, Down Syndrome, depression, sleep and seizure disorders, cognitive disorders overdose with benzodiazepine drugs, and enhancement of alertness, both in human and non-human animals and domestic pets, especially dogs and cats and farm animals such as sheep, swine and cattle.

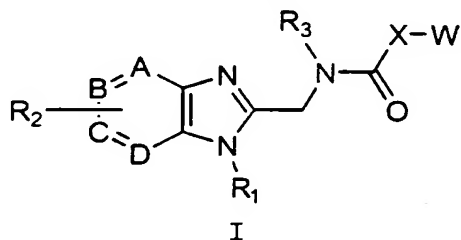
Thus, the invention also provides methods and compositions for treating and diagnosing anxiety, Down Syndrome, depression,

sleep, cognitive and seizure disorders, and overdose with benzodiazepine drugs.

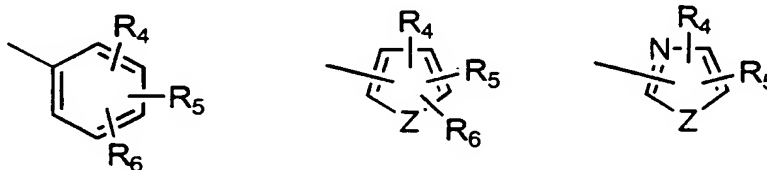
In another aspect, the invention encompasses compounds that are intermediates in the synthesis of the compounds of  
5 Formula I.

DETAILED DESCRIPTION OF THE INVENTION

The compounds encompassed by the instant invention are represented by the general formula I:



or pharmaceutically acceptable non-toxic salts thereof wherein:  
W represents



where

10 Z is O, or S;

R<sub>1</sub> represents phenyl, C<sub>1</sub>-C<sub>6</sub> alkyl, cyclopentyl, cyclohexyl, benzyl, 3-fluorobenzyl, or cyclopropylmethyl;

R<sub>2</sub> represents  
hydroxyl;

15 C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, each of which are optionally substituted with amino, mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, a C<sub>5</sub>-C<sub>7</sub> heterocycloalkyl group where the heteroatom is nitrogen and the nitrogen is attached to the parent alkyl portion;

20 O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl; or

NR<sub>8</sub>R<sub>9</sub> forms a 5-, 6-, or 7-membered heterocyclic ring;

R<sub>3</sub> represents

25 C<sub>1</sub>-C<sub>6</sub> alkyl, allyl, cyclopropylmethyl, cyclopentyl; or benzyl optionally mono-, di-, or trisubstituted independently with halogen, nitro, trifluoromethyl, trifluoromethoxy, cyano, or hydroxy;

30 C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, each of which is optionally substituted with amino, mono or di(C<sub>1</sub>-

C<sub>6</sub>) alkylamino, a C<sub>5</sub>-C<sub>7</sub> heterocycloalkyl group where the heteroatom is nitrogen and the nitrogen is attached to the parent alkyl portion;

O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl; NR<sub>8</sub>R<sub>9</sub> forms a 5-, 6-, 7-membered heterocyclic ring; SO<sub>2</sub>R<sub>8</sub>, NHSO<sub>2</sub>R<sub>8</sub>, SO<sub>2</sub>NHR<sub>8</sub>, SO<sub>2</sub>NHCOR<sub>8</sub>, CONHSO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> is defined as above;

O(CH<sub>2</sub>)<sub>n</sub>-G where n=1,2,3,4 and G is SO<sub>2</sub>R<sub>8</sub>, NHSO<sub>2</sub>R<sub>8</sub>, SO<sub>2</sub>NHR<sub>8</sub>, SO<sub>2</sub>NHCOR<sub>8</sub>, or CONHSO<sub>2</sub>R<sub>8</sub>, where R<sub>8</sub> is as defined above; or tetrazole, triazole, imidazole, thiazole, oxazole, thiophene, or pyridyl;

R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are the same or different and represent hydrogen; or

C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, each of which is optionally substituted with amino, mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, a C<sub>5</sub>-C<sub>7</sub> heterocycloalkyl group where the heteroatom is nitrogen and the nitrogen is attached to the parent alkyl portion, C<sub>1</sub>-C<sub>6</sub> alkylthiol, or halogen;

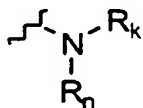
O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl;

NR<sub>8</sub>R<sub>9</sub> forms a 5-, 6-, or 7-membered heterocyclic ring; or R<sub>4</sub> and R<sub>5</sub> can form a 1,3-dioxolene ring;

X represents a bond, CH<sub>2</sub>, or CHCH; and

A, B, C, and D are the same or different and represent CH or N with the proviso that not more than two of A,B,C, or D represent N.

In formula I, R<sub>2</sub> may also represent hydrogen or a group of the formula

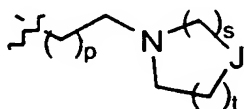




where

$R_n$  and  $R_k$  independently represent  $C_1$ - $C_6$  alkyl,  $C_2$ - $C_6$  alkenyl,  $C_1$ - $C_6$  cycloalkyl( $C_1$ - $C_6$ )alkyl, benzoyl where the phenyl portion is optionally substituted with halogen,  $C_1$ - $C_6$  alkyl, or  $C_1$ - $C_6$  alkoxy;

a group of the formula IV-a

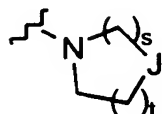


IV-a

where  $p$ ,  $s$ , and  $t$  independently represent 1 or 2;

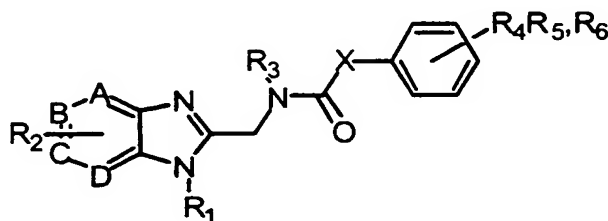
$J$  is CH, N, O, S, or a carbon atom substituted with  $C_1$ - $C_6$  alkyl; or

$NR_kR_n$  represents



where  $s$ ,  $t$ , and  $J$  are as defined above.

Preferred compounds of the invention are represented by Formula II.



II

$R_1$  represents phenyl,  $C_1$ - $C_6$  alkyl, cyclopentyl, cyclohexyl, benzyl, 3-fluorobenzyl, or cyclopropylmethyl;

$R_2$  represents hydroxyl,  $C_1$ - $C_6$  alkyl or  $C_1$ - $C_6$  alkoxy, either of which could be substituted with amino or mono or di( $C_1$ - $C_6$ ) alkylamino, additionally the alkyl portion can form a 5,6,7 member ring; or  $O(CH_2)_nCO_2R_8$  where  $n=1,2,3,4$ ,  $NR_8COR_9$ ,  $COR_8$ ,  $CONR_8R_9$  or  $CO_2R_8$  where  $R_8$  and  $R_9$  are the same or different and represent hydrogen or  $C_1$ - $C_6$  alkyl,

additionally and R<sub>9</sub> can be a 5,6,7 member heterocyclic ring;

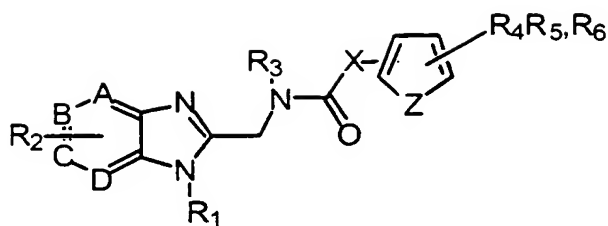
R<sub>3</sub> represents C<sub>1</sub>-C<sub>6</sub> alkyl, allyl, cyclopropylmethyl, cyclopentyl; or benzyl optionally mono-, di-, or trisubstituted independently with halogen, nitro, trifluoromethyl, trifluoromethoxy, cyano, hydroxyl, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, either of which could be substituted with amino or mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, additionally the alkyl portion can form a 5,6,7 member ring; or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl, additionally R<sub>8</sub> and R<sub>9</sub> can be a 5,6,7 member heterocyclic ring, additional substitution on the benzyl ring can be directly bound or O(CH<sub>2</sub>)<sub>n</sub> (where n=1,2,3,4) linked SO<sub>2</sub>R<sub>8</sub>, NH<sub>2</sub>SO<sub>2</sub>R<sub>8</sub>, SO<sub>2</sub>NHR<sub>8</sub>, SO<sub>2</sub>NHCOR<sub>8</sub>, CONH<sub>2</sub>SO<sub>2</sub>R<sub>8</sub>, as well as tetrazole, triazole, imidazole, thiazole, oxazole, thiophene, and pyridyl;

R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are the same or different and represent hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, either of which could be substituted with amino or mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, additionally the alkyl portion can form a 5,6,7 member ring, C<sub>1</sub>-C<sub>6</sub> alkylthiol, or halogen, or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or straight or branched chain lower alkyl having 1-6 carbon atoms, additionally R<sub>8</sub> and R<sub>9</sub> can be a 5,6,7 member heterocyclic ring, additionally R<sub>4</sub> and R<sub>5</sub> can form a 1,3-dioxolene ring;

X represents a bond, CH<sub>2</sub>, CHCH;

A,B,C,D are the same or different and represent CH or N with the proviso that not more than two of A,B,C, or D represent N.

Other preferred compounds of the invention are represented by Formula III.



III

where Z is O, or S;

R<sub>1</sub> represents phenyl, C<sub>1</sub>-C<sub>6</sub> alkyl, cyclopentyl, cyclohexyl, benzyl, 3-fluorobenzyl, or cyclopropylmethyl;

R<sub>2</sub> represents hydroxyl, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, either of which could be substituted with amino or mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, additionally the alkyl portion can form a 5,6,7 member ring; or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl, additionally R<sub>8</sub> and R<sub>9</sub> can be a 5,6,7 member heterocyclic ring;

R<sub>3</sub> represents C<sub>1</sub>-C<sub>6</sub> alkyl, allyl, cyclopropylmethyl, cyclopentyl; or benzyl optionally mono-, di-, or trisubstituted independently with halogen, nitro, trifluoromethyl, trifluoromethoxy, cyano, hydroxyl, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, either of which could be substituted with amino or mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, additionally the alkyl portion can form a 5,6,7 member ring; or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or different and represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl, additionally R<sub>8</sub> and R<sub>9</sub> can be a 5,6,7 member heterocyclic ring, additional substitution on the benzyl ring can be directly bound or O(CH<sub>2</sub>)<sub>n</sub> (where n=1,2,3,4) linked SO<sub>2</sub>R<sub>8</sub>, NHSO<sub>2</sub>R<sub>8</sub>, SO<sub>2</sub>NHR<sub>8</sub>, SO<sub>2</sub>NHCOR<sub>8</sub>, CONHSO<sub>2</sub>R<sub>8</sub>, as well as tetrazole, triazole, imidazole, thiazole, oxazole, thiophene, and pyridyl;

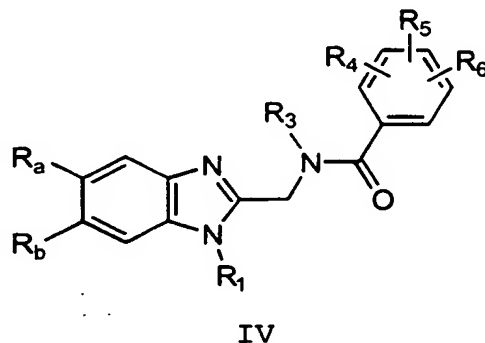
R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are the same or different and represent hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, either of which could be substituted with amino or mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, additionally the alkyl portion can form a 5,6,7 member ring, C<sub>1</sub>-C<sub>6</sub> alkylthiol, or halogen, or O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where

$n=1,2,3,4$ ,  $\text{NR}_8\text{COR}_9$ ,  $\text{COR}_8$ ,  $\text{CONR}_8\text{R}_9$  or  $\text{CO}_2\text{R}_8$  where  $\text{R}_8$  and  $\text{R}_9$  are the same or different and represent hydrogen or straight or branched chain lower alkyl having 1-6 carbon atoms, additionally  $\text{R}_8$  and  $\text{R}_9$  can be a 5,6,7 member heterocyclic ring, additionally  $\text{R}_4$  and  $\text{R}_5$  can form a 1,3-dioxolene ring;

X represents a bond,  $\text{CH}_2$ ,  $\text{CHCH}$ ;

A,B,C,D are the same or different and represent CH or N with the proviso that not more than two of A,B,C, or D represent N.

More preferred compounds of Formula I are represented by Formula IV



where

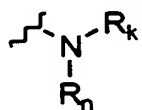
$\text{R}_4$ ,  $\text{R}_5$ , and  $\text{R}_6$  are as defined above for Formula I;

$\text{R}_1$  and  $\text{R}_3$  are independently  $\text{C}_1\text{-C}_6$  alkyl;

and  $\text{R}_a$  and  $\text{R}_b$  are independently

hydrogen or

a group of the formula



where

$\text{R}_n$  and  $\text{R}_k$  independently represent  $\text{C}_1\text{-C}_6$  alkyl,  $\text{C}_2\text{-C}_6$

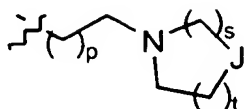
alkenyl,  $\text{C}_1\text{-C}_6$  cycloalkyl( $\text{C}_1\text{-C}_6$ )alkyl, benzoyl

where the phenyl portion is optionally

substituted with halogen,  $\text{C}_1\text{-C}_6$  alkyl, or  $\text{C}_1\text{-C}_6$

alkoxy;

a group of the formula IV-a

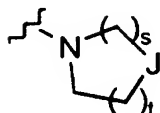


IV-a

where p, s, and t independently represent 1 or  
2;

J is CH, N, O, or a carbon atom substituted with  
C<sub>1</sub>-C<sub>6</sub> alkyl; or

NR<sub>k</sub>R<sub>n</sub> represents



where s, t, and J are as defined above.

Preferred compounds of Formula IV include those where R<sub>1</sub> is propyl and R<sub>3</sub> is C<sub>3</sub>-C<sub>5</sub> alkyl, preferably isobutyl. More preferred compounds of IV are those where R<sub>b</sub> is hydrogen and R<sub>a</sub> is -NHR<sub>n</sub> where R<sub>n</sub> is defined as above or -NR<sub>k</sub>R<sub>n</sub> where both R<sub>n</sub> and R<sub>k</sub> are allyl or C<sub>1</sub>-C<sub>6</sub> alkyl.

Preferred -NR<sub>k</sub>R<sub>n</sub> groups include diallylamino, dimethylamino, diethylamino, and N-ethyl-N-cyclopropylmethylamino.

Preferred NHR<sub>n</sub> groups include those where R<sub>n</sub> is allyl, C<sub>1</sub>-C<sub>6</sub> alkyl, or a group of IV-a. Preferred IV-a groups include pyrrolidinyl, morpholinyl and piperidinyl.

Particularly preferred compounds of IV are those where R<sub>1</sub> is propyl, R<sub>3</sub> is isobutyl, R<sub>b</sub> is hydrogen, and R<sub>a</sub> is

In certain situations, the compounds of Formula I may contain one or more asymmetric carbon atoms, so that the compounds can exist in different stereoisomeric forms. These compounds can be, for example, racemates or optically active forms. In these situations, the single enantiomers, i.e., optically active forms, can be obtained by asymmetric synthesis or by resolution of the racemates. Resolution of the racemates

can be accomplished, for example, by conventional methods such as crystallization in the presence of a resolving agent, or chromatography, using, for example a chiral HPLC column.

Representative compounds of the present invention, which are encompassed by Formula I, include, but are not limited to the compounds described in the Examples and their pharmaceutically acceptable acid addition salts. In addition, if the compound of the invention is obtained as an acid addition salt, the free base can be obtained by basifying a solution of the acid salt. Conversely, if the product is a free base, an addition salt, particularly a pharmaceutically acceptable addition salt, may be produced by dissolving the free base in a suitable organic solvent and treating the solution with an acid, in accordance with conventional procedures for preparing acid addition salts from base compounds.

Non-toxic pharmaceutical salts include salts of acids such as hydrochloric, phosphoric, hydrobromic, sulfuric, sulfinic, formic, toluenesulfonic, methanesulfonic, nitric, benzoic, citric, tartaric, maleic, hydroiodic, alkanoic such as acetic,  $\text{HOOC}-(\text{CH}_2)_n\text{-COOH}$  where  $n$  is 0-4, and the like. Those skilled in the art will recognize a wide variety of non-toxic pharmaceutically acceptable addition salts.

The present invention also encompasses the acylated prodrugs of the compounds of Formula I. Those skilled in the art will recognize various synthetic methodologies which may be employed to prepare non-toxic pharmaceutically acceptable addition salts and acylated prodrugs of the compounds encompassed by Formula I.

By "alkyl" or "lower alkyl" in the present invention is meant  $\text{C}_1\text{-C}_6$  alkyl, i.e., straight or branched chain alkyl groups having 1-6 carbon atoms, such as, for example, methyl, ethyl, propyl, isopropyl, n-butyl, sec-butyl, tert-butyl, pentyl, 2-pentyl, isopentyl, neopentyl, hexyl, 2-hexyl, 3-hexyl, and 3-methylpentyl. Preferred  $\text{C}_1\text{-C}_6$  alkyl groups are methyl, ethyl, propyl, butyl, cyclopropyl or cyclopropylmethyl.

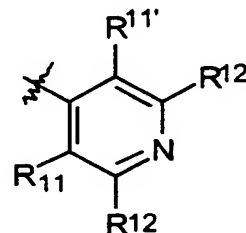
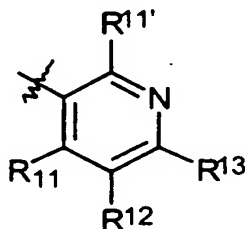
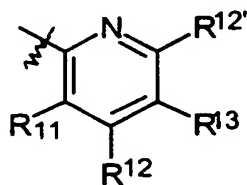
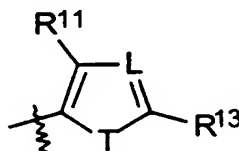
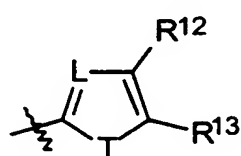
By "alkoxy" or "lower alkoxy" in the present invention is meant  $\text{C}_1\text{-C}_6$  alkoxy, i.e., straight or branched chain alkoxy

groups having 1-6 carbon atoms, such as, for example, methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, sec-butoxy, tert-butoxy, pentoxy, 2-pentyl, isopentoxy, neopentoxy, hexoxy, 2-hexoxy, 3-hexoxy, and 3-methylpentoxy.

5 By (hetero) cyclic ring is meant a ring that is either aliphatic or aromatic and optionally contains at least one hetero atom. Hetero atoms include nitrogen, sulfur, and oxygen. Examples of such (hetero) cyclic rings are cyclohexyl, cyclopentyl, cyclohexyl, piperidinyl, piperazinyl, pyrrolidinyl,  
10 morpholinyl, etc.

By heteroaryl (aromatic heterocycle) in the present invention is meant one or more aromatic ring systems of 5-, 6-, or 7-membered rings containing at least one and up to four hetero atoms selected from nitrogen, oxygen, or sulfur. Such  
15 heteroaryl groups include, for example, thienyl, furanyl, thiazolyl, imidazolyl, (is)oxazolyl, pyridyl, pyrimidinyl, imidazolyl, (iso)quinolinyl, naphthyridinyl, benzimidazolyl, and benzoxazolyl.

Specific examples of heteroaryl groups are the following:



20

wherein

L is nitrogen or  $-CR^{11}$ ;

T is  $-NR^{19}$ , oxygen, or sulfur;

25  $R^{11}$  and  $R^{11'}$  are the same or different and are selected from hydrogen, halogen, hydroxy,  $C_1$ - $C_6$  alkyl,  $(C_1$ - $C_6)$ alkoxy, amino, or mono- or di( $C_1$ - $C_6$ )alkylamino;

$R^{12}$ ,  $R^{12'}$ , and  $R^{13}$  are the same or different and are selected from hydrogen, halogen,  $(C_1$ - $C_6$ )alkyl,  $(C_1$ -

C<sub>6</sub>)alkoxy, amino, mono- or di(C<sub>1</sub>-C<sub>6</sub>)alkylamino, hydroxy, or trifluoromethyl; and

R<sup>19</sup> is hydrogen, lower alkyl having 1-6 carbon atoms.

5       The invention encompasses all possible tautomers and rotamers represented by Formula I.

By the term "halogen" in the present invention is meant fluorine, bromine, chlorine, and iodine.

10       Aryl and heteroaryl fused aminoalkyl-imidazoles of Formula I and their salts are suitable for the diagnosis and treatment of anxiety, Down Syndrome, sleep and seizure disorders, overdoses of benzodiazepine-type drugs, depression and cognitive disorders and for the enhancement of alertness, both in human and non-human animals and domestic pets, especially  
15 dogs and cats and farm animals such as sheep, swine and cattle. These interactions result in the pharmacological activities of these compounds.

20       The compounds of general Formula I may be administered orally, topically, parenterally, by inhalation or spray or rectally in dosage unit formulations containing conventional non-toxic pharmaceutically acceptable carriers, adjuvants and vehicles. The term parenteral as used herein includes subcutaneous injections, intravenous, intramuscular, intrasternal injection or infusion techniques. In addition,  
25 there is provided a pharmaceutical formulation comprising a compound of general Formula I and a pharmaceutically acceptable carrier. One or more compounds of general Formula I may be present in association with one or more non-toxic pharmaceutically acceptable carriers and/or diluents and/or  
30 adjuvants and if desired other active ingredients. The pharmaceutical compositions containing compounds of general Formula I may be in a form suitable for oral use, for example, as tablets, troches, lozenges, aqueous or oily suspensions, dispersible powders or granules, emulsion, hard or soft  
35 capsules, or syrups or elixirs.

Compositions intended for oral use may be prepared according to any method known to the art for the manufacture of pharmaceutical compositions and such compositions may contain



one or more agents selected from the group consisting of sweetening agents, flavoring agents, coloring agents and preserving agents in order to provide pharmaceutically elegant and palatable preparations. Tablets contain the active  
5 ingredient in admixture with non-toxic pharmaceutically acceptable excipients which are suitable for the manufacture of tablets. These excipients may be for example, inert diluents, such as calcium carbonate, sodium carbonate, lactose, calcium phosphate or sodium phosphate; granulating and disintegrating  
10 agents, for example, corn starch, or alginic acid; binding agents, for example starch, gelatin or acacia, and lubricating agents, for example magnesium stearate, stearic acid or talc. The tablets may be uncoated or they may be coated by known techniques to delay disintegration and absorption in the  
15 gastrointestinal tract and thereby provide a sustained action over a longer period. For example, a time delay material such as glyceryl monostearate or glyceryl distearate may be employed.

Formulations for oral use may also be presented as hard gelatin capsules wherein the active ingredient is mixed with an  
20 inert solid diluent, for example, calcium carbonate, calcium phosphate or kaolin, or as soft gelatin capsules wherein the active ingredient is mixed with water or an oil medium, for example peanut oil, liquid paraffin or olive oil.

Aqueous suspensions contain the active materials in  
25 admixture with excipients suitable for the manufacture of aqueous suspensions. Such excipients are suspending agents, for example sodium carboxymethylcellulose, methylcellulose, hydropropylmethylcellulose, sodium alginate, polyvinylpyrrolidone, gum tragacanth and gum acacia; dispersing  
30 or wetting agents may be a naturally-occurring phosphatide, for example, lecithin, or condensation products of an alkylene oxide with fatty acids, for example polyoxyethylene stearate, or condensation products of ethylene oxide with long chain aliphatic alcohols, for example heptadecaethyleneoxycetanol, or  
35 condensation products of ethylene oxide with partial esters derived from fatty acids and a hexitol such as polyoxyethylene sorbitol monooleate, or condensation products of ethylene oxide with partial esters derived from fatty acids and hexitol

anhydrides, for example polyethylene sorbitan monooleate. The aqueous suspensions may also contain one or more preservatives, for example ethyl, or n-propyl p-hydroxybenzoate, one or more coloring agents, one or more flavoring agents, and one or more sweetening agents, such as sucrose or saccharin.

Oily suspensions may be formulated by suspending the active ingredients in a vegetable oil, for example arachis oil, olive oil, sesame oil or coconut oil, or in a mineral oil such as liquid paraffin. The oily suspensions may contain a thickening agent, for example beeswax, hard paraffin or cetyl alcohol. Sweetening agents such as those set forth above, and flavoring agents may be added to provide palatable oral preparations. These compositions may be preserved by the addition of an anti-oxidant such as ascorbic acid.

Dispersible powders and granules suitable for preparation of an aqueous suspension by the addition of water provide the active ingredient in admixture with a dispersing or wetting agent, suspending agent and one or more preservatives. Suitable dispersing or wetting agents and suspending agents are exemplified by those already mentioned above. Additional excipients, for example sweetening, flavoring and coloring agents, may also be present.

Pharmaceutical compositions of the invention may also be in the form of oil-in-water emulsions. The oily phase may be a vegetable oil, for example olive oil or arachis oil, or a mineral oil, for example liquid paraffin or mixtures of these. Suitable emulsifying agents may be naturally-occurring gums, for example gum acacia or gum tragacanth, naturally-occurring phosphatides, for example soy bean, lecithin, and esters or partial esters derived from fatty acids and hexitol, anhydrides, for example sorbitan monooleate, and condensation products of the said partial esters with ethylene oxide, for example polyoxyethylene sorbitan monooleate. The emulsions may also contain sweetening and flavoring agents.

Syrups and elixirs may be formulated with sweetening agents, for example glycerol, propylene glycol, sorbitol or sucrose. Such formulations may also contain a demulcent, a preservative and flavoring and coloring agents. The

pharmaceutical compositions may be in the form of a sterile injectable aqueous or oleaginous suspension. This suspension may be formulated according to the known art using those suitable dispersing or wetting agents and suspending agents which have been mentioned above. The sterile injectable preparation may also be sterile injectable solution or suspension in a non-toxic parentally acceptable diluent or solvent, for example as a solution in 1,3-butanediol. Among the acceptable vehicles and solvents that may be employed are water, Ringer's solution and isotonic sodium chloride solution. In addition, sterile, fixed oils are conventionally employed as a solvent or suspending medium. For this purpose any bland fixed oil may be employed including synthetic mono-or diglycerides. In addition, fatty acids such as oleic acid find use in the preparation of injectables.

The compounds of general Formula I may also be administered in the form of suppositories for rectal administration of the drug. These compositions can be prepared by mixing the drug with a suitable non-irritating excipient which is solid at ordinary temperatures but liquid at the rectal temperature and will therefore melt in the rectum to release the drug. Such materials are cocoa butter and polyethylene glycols.

Compounds of general Formula I may be administered parenterally in a sterile medium. The drug, depending on the vehicle and concentration used, can either be suspended or dissolved in the vehicle. Advantageously, adjuvants such as local anesthetics, preservatives and buffering agents can be dissolved in the vehicle.

Dosage levels of the order of from about 0.1 mg to about 140 mg per kilogram of body weight per day are useful in the treatment of the above-indicated conditions (about 0.5 mg to about 7 g per patient per day). The amount of active ingredient that may be combined with the carrier materials to produce a single dosage form will vary depending upon the host treated and the particular mode of administration. Dosage unit forms will generally contain between from about 1 mg to about 500 mg of an active ingredient.

Frequency of dosage may also vary depending on the compound used and the particular disease treated. However, for treatment of most disorders, a dosage regimen of 4 times daily or less is preferred. For the treatment of anxiety or depression a dosage regimen of 1 or 2 times daily is particularly preferred. For the treatment of sleep disorders a single dose that rapidly reaches effective concentrations is desirable.

It will be understood, however, that the specific dose level for any particular patient will depend upon a variety of factors including the activity of the specific compound employed, the age, body weight, general health, sex, diet, time of administration, route of administration, and rate of excretion, drug combination and the severity of the particular disease undergoing therapy.

Preferred compounds of the invention will have certain pharmacological properties. Such properties include, but are not limited to oral bioavailability, low toxicity, low serum protein binding and desirable *in vitro* and *in vivo* half-lives. Penetration of the blood brain barrier for compounds used to treat CNS disorders is necessary, while low brain levels of compounds used to treat peripheral disorders are often preferred.

Assays may be used to predict these desirable pharmacological properties. Assays used to predict bioavailability include transport across human intestinal cell monolayers, including Caco-2 cell monolayers. Toxicity to cultured hepatocytes may be used to predict compound toxicity. Penetration of the blood brain barrier of a compound in humans may be predicted from the brain levels of the compound in laboratory animals given the compound intravenously. Serum protein binding may be predicted from albumin binding assays. Such assays are described in a review by Oravcová, et al. (Journal of Chromatography B (1996) volume 677, pages 1-27).

Compound half-life is inversely proportional to the frequency of dosage of a compound. *In vitro* half-lives of compounds may be predicted from assays of microsomal half-life

as described by Kuhnz and Gieschen (Drug Metabolism and Disposition, (1998) volume 26, pages 1120-1127).

The present invention also pertains to packaged pharmaceutical compositions for treating disorders responsive to GABA<sub>A</sub> receptor modulation, e.g., treatment of cognitive deficits, anxiety or depression by GABA<sub>A</sub> receptor modulation. The packaged pharmaceutical compositions include a container holding a therapeutically effective amount of at least one GABA<sub>A</sub> receptor modulator as described supra and instructions (e.g., labeling) indicating the contained GABA<sub>A</sub> receptor ligand is to be used for treating a disorder responsive to GABA<sub>A</sub> receptor modulation in the patient.

The present invention also pertains to methods for altering the signal-transducing activity of GABA<sub>A</sub> receptors, said method comprising exposing cells expressing such receptor to an effective amount of a compound of the invention.

A method of inhibiting the binding of a benzodiazepine compound to the benzodiazepine site of the GABA<sub>A</sub> receptor, comprising contacting a compound of Formula I with cells expressing such a receptor in the presence of a the benzodiazepine compound, wherein the compound is present at a concentration sufficient to inhibit benzodiazepine compound binding to cells expressing a cloned human GABA<sub>A</sub> receptor in vitro is provided by a separate aspect of the invention.

In a separate aspect, the invention provides a method of potentiating the actions of other CNS active compounds, which comprises administering an effective amount of a compound of the invention in combination with another CNS active compound. Such CNS active compounds include, but are not limited to the following: for anxiety, serotonin receptor (e.g. 5-HT<sub>1A</sub>) agonists and antagonists; for anxiety and depression, neurokinin receptor antagonists or corticotropin releasing factor receptor (CRF<sub>1</sub>) antagonists; for sleep disorders, melatonin receptor agonists; and for neurodegenerative disorders, such as Alzheimer's dementia, nicotinic agonists, muscarinic agents, acetylcholinesterase inhibitors and dopamine receptor agonists. Particularly the invention provides a method of potentiating the antidepressant activity

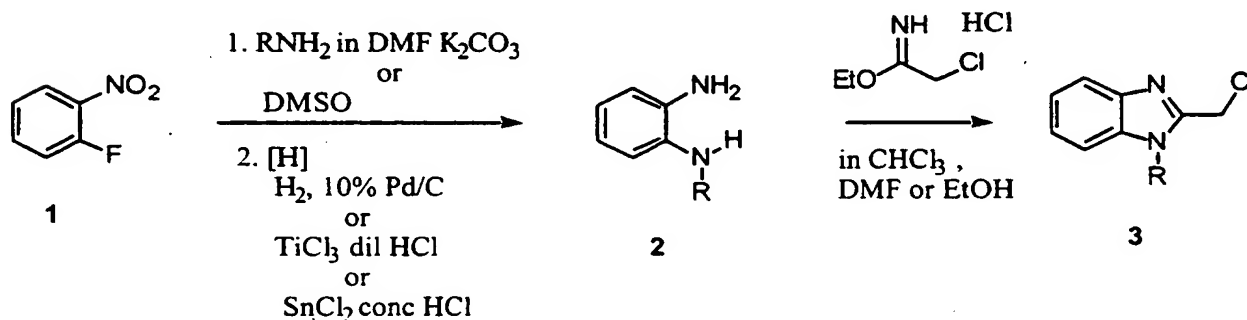
of selective serotonin reuptake inhibitors (SSRIs) by administering an effective amount of a GABA agonist compound of the invention in combination with an SSRI.

Combination administration can be carried out in an analogous fashion to that disclosed in Da-Rocha, et al., *J. Psychopharmacology* (1997) 11(3) 211-218; Smith, et al., *Am. J. Psychiatry* (1998) 155(10) 1339-45; and Le, et al., *Alcohol and Alcoholism* (1996) 31 Suppl. 127-132. Also see, the discussion of the use of the GABA<sub>A</sub> receptor ligand 3-(5-methylisoxazol-3-yl)-6-(1-methyl-1,2,3-triazol-4-yl) methoxy-1,2,4-triazolo [3,4-a]phthalazine in combination with nicotinic agonists, muscarinic agonists, and acetylcholinesterase inhibitors, in PCT International publications Nos. WO 99/47142, WO 99/47171, and WO 99/47131, respectively. Also see in this regard PCT International publication No. WO 99/37303 for its discussion of the use of a class of GABA<sub>A</sub> receptor ligands, 1,2,4-triazolo[4,3-b]pyridazines, in combination with SSRIs.

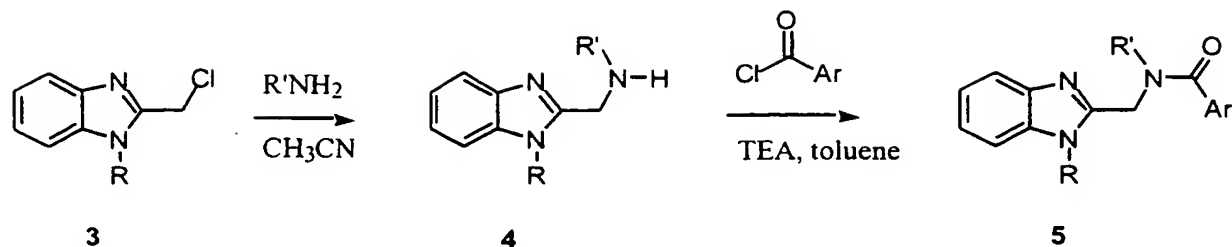
The disclosures of all articles and references mentioned in in this application, including patents, are incorporated herein by reference.

The invention is illustrated further by the following examples which are not to be construed as limiting the invention in scope or spirit to the specific procedures described in them. Compounds of the invention can be prepared using the reactions depicted in Schemes I to VI.

Scheme 1

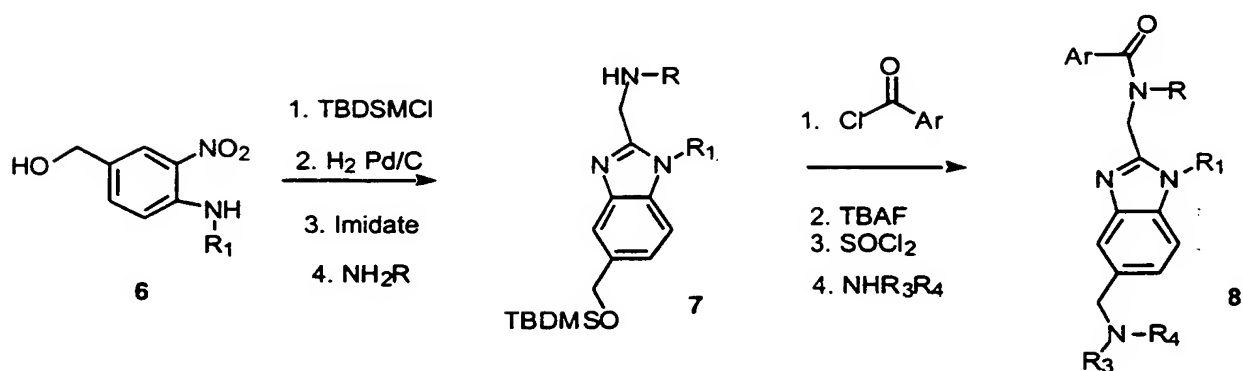


Scheme II

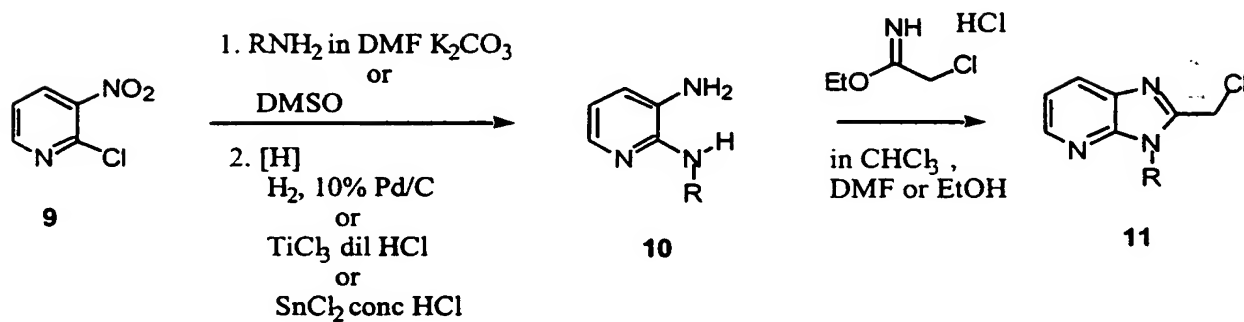


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Scheme III

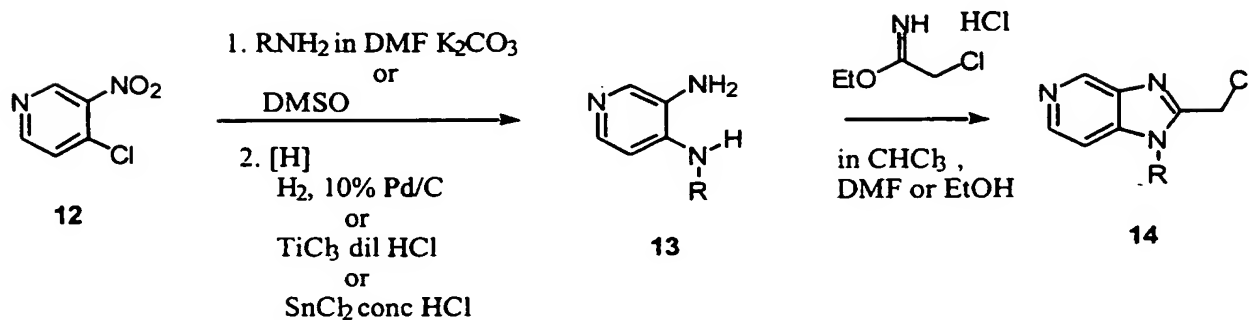


Scheme IV



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Scheme V



## Scheme VI

Those having skill in the art will recognize that the starting materials may be varied and additional steps employed to produce compounds encompassed by the present invention, as demonstrated by the following examples.

The following examples illustrate the general procedures for the preparation of compounds of the invention using the reactions outlined above in Schemes I-VI. These examples are not to be construed as limiting the invention in scope or spirit to the specific procedures and compounds described in them.

Analysis is performed on a Hewlett Packard 6890 GC, equipped with a dual cool on-column inlets and flame ionization detectors or mass spec detectors. All gas flows are regulated via electronic pneumatic control. The analytical column used is a Supelco PTE-5 QTM, 15 m x 0.53 mm ID x 0.50  $\mu$ m film. GC instrument control and data collection are handled using a Perkin Elmer TurboChrom Client/Server data system. GC conditions: On-column injector 163 C for 2.5 min., ramp at 40 C/min to 323 C. Oven program 100 C for 1 minute, ramp at 40 C/min to 320 C. Detector temperature is set at 325 C. GC conditions: for compounds 7-12 initial temperature 200 C, ramp to 300 C at 20 C/min on a 12 m, DB-5 column.

## Example 1

General Procedure for the preparation of  
chloromethylbenzimidazoles as outlined in Scheme I

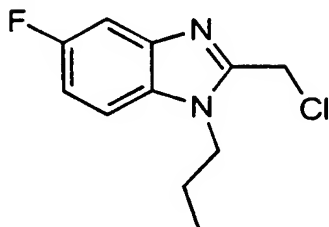
## 1. Imidate hydrochloride:

A solution of 150 mL ( 2.37 mole) of chloroacetonitrile, 139 mL ( 2.37 mole) of ethanol in 1,200 mL of dry benzene is cooled to 0 °C in an ice/ethanol bath. Dry HCl gas is bubbled through the vigorously stirred solution for approximately 30 min. while the internal temperature is maintained below 10 °C. The solution is allowed to stand at rt. overnight. The resulting solid is filtered and washed with 2L of dry ether and



allowed to air dry to afford 328 g (88%) of imidate hydrochloride.

2. 1-n-Propyl-2-(chloromethyl)-5-fluorobenzimidazole:

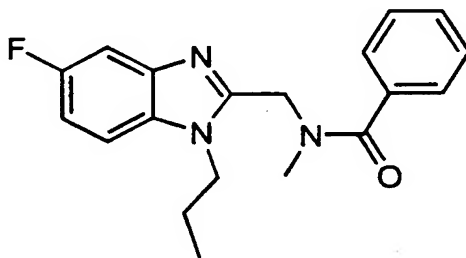


5 A solution of 11.25 g (0.07 mole) of 2-n-Propyl-5-fluorophenelyenediamine in 200 mL of anhydrous  $\text{CHCl}_3$  is treated with 11.06 g (0.07 mole) of imidate at room temperature. The heterogeneous reaction mixture is allowed to stir for 45 min. at which time no starting material is detectable by TLC. 100 mL of saturated  $\text{NaHCO}_3$  is added and extracted 3 X 50 mL of  $\text{CH}_2\text{Cl}_2$ . The extracts are dried over anhydrous  $\text{MgSO}_4$ , the solvent removed in vacuo, and the residue chromatographed ( $\text{SiO}_2$ ) with 50% ethyl acetate/hexane to afford 15 g (95%) of 1-n-Propyl-2-(chloromethyl)-5-fluorobenzimidazole.

Example 2

General Procedure for the preparation of  
benzimidazoles as shown in Scheme II

20 N-[benzoyl]-N-methyl-1-n-propyl-2-(methanamine)-5-fluorobenzimidazole



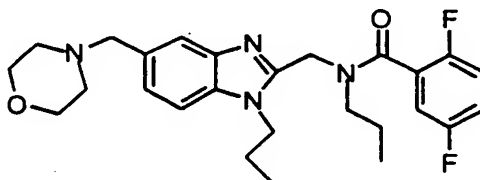
25 A solution of 8 mmole of 1-n-Propyl-2-(chloromethyl)-5-fluorobenzimidazole (alternatively named 2-(chloromethyl)-5-fluoro-1-propylbenzimidazole) in 20 mL of dry Acetonitrile is treated with 10 mL of 40% aqueous methylamine for 16 hr at room temperature. The solvent is removed in vacuo and the residue

is partitioned between 30 mL of ethyl acetate and 10 mL of 1 N NaOH. The ethyl acetate layer is dried over anhydrous  $\text{Na}_2\text{SO}_4$  and solvent removed in vacuo to afford 1.68 g 95% of 1-n-Propyl-2-(methanamine)-5-fluorobenzimidazole. Benzoylchloride 1.5 eq is treated with of 1-n-Propyl-2-(methanamine)-5-fluorobenzimidazole 1.0 eq in dichloromethane at room temperature for 1 hr. The reaction is quenched with 1 N NaOH and partitioned between dichloromethane and water. The organic layer is dried with  $\text{Na}_2\text{SO}_4$  and the solvent removed in vacuo. The residue is chromatographed ( $\text{SiO}_2$ ) with ethyl acetate to afford 95% of N-[benzoyl]-N-methyl-1-n-propyl-2-(methanamine)-5-fluorobenzimidazole [alternatively named N-((5-fluorobenzimidazol-2-yl)methyl)-N-methylbenzamide] (Compound A1).

### Example 3

#### General Procedure for the preparation of benzimidazoles as shown in Scheme 3

(2,5-difluorophenyl)-N-{[5-(morpholin-4-ylmethyl)-1-propylbenzimidazol-2-yl]methyl}-N-propylcarboxamide



A solution of 20 g (0.095 mole) of [3-nitro-4-(propylamino)phenyl]methan-1-ol and 19.2 g (0.28 mole) of imidazole in 200 mL of anhydrous DMF is treated with 19 g (0.13 mole) of t-butyldimethylsilyl chloride at room temperature for 30 min. The resulting mixture is diluted with 400 mL of ethyl acetate and washed 3 X 200 mL of water and 1 X 200 mL of brine. The resulting organic layer is dried over anhydrous  $\text{Na}_2\text{SO}_4$  and the solvent removed in vacuo. The resulting oil is column chromatographed 5% ethyl acetate/hexanes to afford 11 g (35%) of {2-nitro-4-[(1,1,2,2-tetramethyl-1-silapropoxy)methyl]phenyl} propylamine.

A solution of 11 g (0.033 mole) of {2-nitro-4-[(1,1,2,2-tetramethyl-1-silapropoxy)methyl]phenyl} propylamine in 100 mL of ethanol and 1 g 10% Pd/C is treated with 50 psi of H<sub>2</sub> at room temperature for 2 hr. The resulting mixture is filtered through celite, washed with 200 mL of ethanol and the solvent removed in vacuo. The crude material is treated with 9.7 g (0.06 mole) of imidate hydrochloride in 250 mL of chloroform at room temperature for 1 hr. The reaction mixture is partitioned between 200 mL sat NaHCO<sub>3</sub> and 200 mL of chloroform. The organic layer is dried over anhydrous Na<sub>2</sub>SO<sub>4</sub> and the solvent removed in vacuo. The resulting oil is column chromatographed 50% ethyl acetate/hexanes to afford 6 g (52% for 2 steps) of 1-{[2-(chloromethyl)-1-propylbenzimidazol-5-yl]methoxy}-1,1,2,2-tetramethyl-1-silapropane.

A solution of 2.0 g (5.6 mmole) of 1-{[2-(chloromethyl)-1-propylbenzimidazol-5-yl]methoxy}-1,1,2,2-tetramethyl-1-silapropane in 20 mL of anhydrous acetonitrile is treated with 10 mL of propylamine for 16 hr at room temperature. The solvent is removed in vacuo and the residue is partitioned between 30 mL of ethyl acetate and 10 mL of 1 N NaOH. The ethyl acetate layer is dried over anhydrous Na<sub>2</sub>SO<sub>4</sub> and solvent removed in vacuo to afford 2.1 g (99%) of propyl({1-propyl-5-[(1,1,2,2-tetramethyl-1-silapropoxy)methyl]benzimidazol-2-yl}methyl)amine.

2,5-difluorobenzoylchloride 1.5 eq is treated with 1.0 eq 1.25 g (3.3 mmole) of propyl({1-propyl-5-[(1,1,2,2-tetramethyl-1-silapropoxy)methyl]benzimidazol-2-yl}methyl) amine in dichloromethane at room temperature for 1 hr. The reaction is quenched with 1 N NaOH and partitioned between dichloromethane and water. The organic layer is dried over anhydrous Na<sub>2</sub>SO<sub>4</sub> and the solvent removed in vacuo. The residue is chromatographed (SiO<sub>2</sub>) with ethyl acetate to afford 74% of (2,5-difluorophenyl)-N-propyl-N-({1-propyl-5-[(1,1,2,2-tetramethyl-1-silapropoxy)methyl]benzimidazol-2-yl}methyl)carboxamide.

A solution 1.25 g (2.4 mmole) of (2,5-difluorophenyl)-N-propyl-N-({1-propyl-5-[(1,1,2,2-tetramethyl-1-

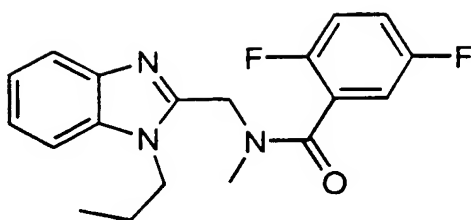
silapropoxy)methyl]benzimidazol-2-yl)methyl)carboxamide in 20 mL of THF is treated at room temperature with 3 mL of 1M tetrabutylammonium fluoride for 1 hr. The reaction solution is diluted with 20 mL of sat NaHCO<sub>3</sub> and extracted with 3 X 100 mL of dichloromethane. The organic extracts are dried over anhydrous Na<sub>2</sub>SO<sub>4</sub> and the solvent removed in vacuo to afford 0.96 g (99%) of (2,5-difluorophenyl)-N-{[5-(hydroxymethyl)-1-propylbenzimidazol-2-yl)methyl]-N-propylcarboxamide.

(2,5-difluorophenyl)-N-{[5-(hydroxymethyl)-1-propylbenzimidazol-2-yl)methyl]-N-propylcarboxamide 0.96 g (2.3 mmole) is treated with 30 mL of thionyl chloride for 15 min at room temperature. The resulting mixture is concentrated in vacuo and partitioned between 100 mL sat NaHCO<sub>3</sub> and 100 mL of ethyl acetate. The ethyl acetate layer is dried over anhydrous Na<sub>2</sub>SO<sub>4</sub> and concentrated in vacuo. The resulting oil is chromatographed 50% ethyl acetate/hexanes to afford 0.9 g (93%) of (2,5-difluorophenyl)-N-{[5-(chloromethyl)-1-propylbenzimidazol-2-yl)methyl]-N-propylcarboxamide.

A solution of 0.2 mL of 0.2M (2,5-difluorophenyl)-N-{[5-(chloromethyl)-1-propylbenzimidazol-2-yl)methyl]-N-propylcarboxamide in 1-methyl-2-pyrrolidinone is treated at room temperature for 16 hr with 0.3 mL of 0.2M solution of morpholine in toluene. The resulting mixture is diluted with 2 mL of ethyl acetate and washed 2 X 2 mL of water 1 X 2 mL brine. The ethyl acetate layer is dried over anhydrous Na<sub>2</sub>SO<sub>4</sub> and concentrated in vacuo to afford 70% of (2,5-difluorophenyl)-N-{[5-(morpholin-4-ylmethyl)-1-propylbenzimidazol-2-yl)methyl]-N-propylcarboxamide.

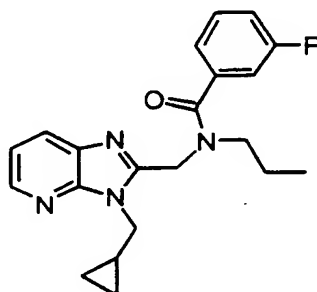
#### Example 4

The following compounds are prepared essentially according to the procedure described in Examples 1-5, and as shown in Schemes 1-6:



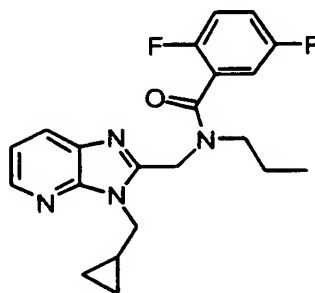
(a) (2,5-difluorodifluorophenyl)-N-methyl-N-((1-propylbenzimidazol-2-yl)methyl)carboxamide (Compound A5); GC retention time = 5.26 minutes.

5



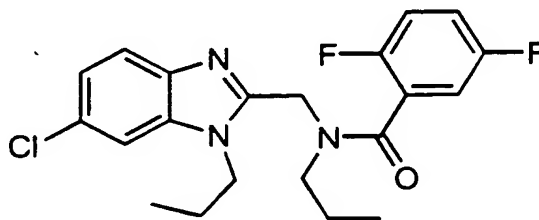
(b) N-((3-cyclopropylmethylimidazolo[5,4-b]pyridin-2-yl)methyl)(3-fluorophenyl)-N-propylcarboxamide (Compound A6);

10 GC retention time = 5.07 minutes.



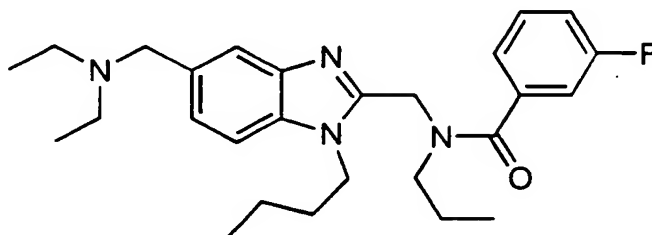
(c) N-[(3-cyclopropylmethylimidazolo[5,4-b]pyridin-2-yl)methyl](2,5-difluorophenyl)-N-propylcarboxamide

15 (Compound A7); GC retention time = 4.80 minutes.



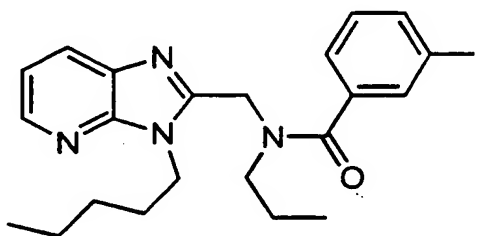
(d) N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl](2,5-difluorophenyl)-N-propylcarboxamide (Compound A8) ; GC retention time = MS (CI) M+ 453 amu.

5



(e) N-([5-(diethylamino)methyl]-1-butylbenzimidazol-2-yl)methyl(3-fluorophenyl)-N-propylcarboxamide (Compound A9); GC retention time = 5.96 minutes.

10



(f) N-((3-n-butyl-imidazolo[5,4-b]pyridin-2-yl)methyl)(3-iodophenyl)-N-propylcarboxamide (Compound A10); GC retention time = 6.12 minutes.

15

(g) N-[(7-chloro-1-propylbenzimidazol-2-yl)methyl](3-fluorophenyl)-N-methylcarboxamide M+ 361 amu

20 (h) N-[(7-chloro-1-propylbenzimidazol-2-yl)methyl](3-fluorophenyl)-N-propylcarboxamide M+ 389 amu

(i) N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]{3-[(methylamino)methyl]phenyl}-N-propylcarboxamide M+ 414 amu

25 (j) (3-fluorophenyl)-N-[(4-fluoro-1-propylbenzimidazol-2-yl)methyl]-N-propylcarboxamide M+ 372 amu

(k) (2,5-difluorophenyl)-N-([1-(cyclopropylmethyl)benzimidazol-2-yl]methyl)-N-propylcarboxamide M+ 384 amu

5 (l) N-([5-(N,N-diethylcarbamoyl)-1-propylbenzimidazol-2-yl]methyl)(3-fluorophenyl)-N-propylcarboxamide M+ 454 amu

(m) (2,5-difluorophenyl)-N-[(4-fluoro-1-propylbenzimidazol-2-yl)methyl]-N-propylcarboxamide M+ 391 amu

10

(n) N-([6-chloro-1-(cyclopropylmethyl)benzimidazol-2-yl]methyl)(3-fluorophenyl)-N-propylcarboxamide M+ 401 amu

15 (o) (2,5-difluorophenyl)-N-([5-[(ethylamino)methyl]-1-propylbenzimidazol-2-yl]methyl)-N-propylcarboxamide M+ 430 amu

(p) (2,5-difluorophenyl)-N-propyl-N-([1-propyl-5-[(propylamino)methyl]benzimidazol-2-yl]methyl)carboxamide M+ 444 amu

20

(q) (2,5-difluorophenyl)-N-([5-[(methylamino)methyl]-1-propylbenzimidazol-2-yl]methyl)-N-propylcarboxamide M+ 416 amu

25 (r) N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]{4-[2-(ethylamino)ethoxy]phenyl}-N-(3-methylbutyl)carboxamide M+ 486 amu

30 (s) N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]-N-(3-methylbutyl){4-[2-(propylamino)ethoxy]phenyl}carboxamide M+ 500 amu

(t) N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl](2-methyl(1,3-thiazol-4-yl))-N-(2-methylpropyl)carboxamide M+ 406 amu

35

(u) (5-bromo(2-thienyl))-N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]-N-(2-methylpropyl)carboxamide M+ 470 amu

(v) [3-(2-bromoethoxy)phenyl]-N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]-N-(2-methylpropyl)carboxamide  
M+ 508 amu

5 (w) N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]-N-(2-methylpropyl){3-[2-(propylamino)ethoxy]phenyl}carboxamide M+  
486 amu

10 (x) N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]{3-{2-[(2-methoxyethyl)amino]ethoxy}phenyl}-N-(2-methylpropyl)carboxamide  
M+ 502 amu

15 (y) N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]{3-{2-[(2-ethoxyethyl)amino]propoxy}phenyl}-N-(2-methylpropyl)carboxamide  
M+ 530 amu

(z) N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]{3-(2-{[2-(methylethoxy)ethyl]amino}propoxy)phenyl}-N-(2-methylpropyl)carboxamide M+ 544 amu

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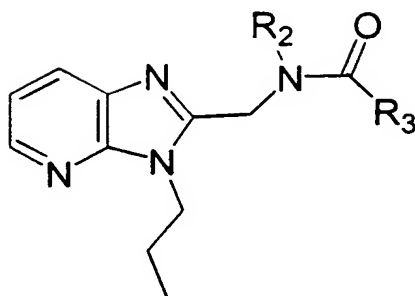
#### Examples 5-41

The compounds of Examples 5-41 are prepared essentially according to the procedure described in Examples 1-3, and as shown in Schemes 1-6. These compounds are represented by the  
25 formulae presented in each of the examples with the definitions of the substituents found within the table. It is noted for the reader that the R<sub>2</sub> and R<sub>3</sub> groups used in these formulae are not the same R<sub>2</sub> and R<sub>3</sub> groups used in Formula I.

Structures for the compounds of Examples 5-42 are shown in  
30 Appendices 1 and 2 hereto.



## Example 5



For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
1	Methyl	3-Fluorophenyl
2	Allyl	3-Fluorophenyl
3	Propyl	3-Fluorophenyl
4	Allyl	3-Fluorophenyl
5	Propyl	3-Fluorophenyl
6	Propyl	3,4-Difluorophenyl
7	Allyl	2,5-Difluorophenyl
8	Propyl	2,5-Difluorophenyl
9	Propyl	1,3-Benzodioxol-5-yl
10	Allyl	3-Chloro-4-fluorophenyl
11	Propyl	3-Chloro-4-fluorophenyl
12	Methyl	5-Chloro-2-methoxyphenyl
13	3-Methylbutyl	3-{2-[(3-Methoxypropyl) amino] ethoxy} phenyl
14	3-Methylbutyl	3-{2-[(3-Ethoxypropyl) amino] ethoxy} phenyl
15	3-Methylbutyl	3-{2-[(3-Ethoxypropyl) amino] ethoxy} phenyl
16	3-Methylbutyl	3-[2-(Benzylamino) ethoxy] phenyl
17	3-Methylbutyl	3-[2-(Benzylamino) ethoxy] phenyl
18	2-Methylpropyl	3-{2-[(3-Propoxypropyl) amino] ethoxy} phenyl
19	3-Methylbutyl	3-{2-[(3-Propoxypropyl) amino] ethoxy} phenyl
20	Benzyl	3-Chloro-2-thienyl
21	4-Fluorobenzyl	3-Chloro-2-thienyl
22	Benzyl	3-Chloro-4-methylphenyl
23	2-Fluorobenzyl	3-Chloro-4-methylphenyl
24	4-Fluorobenzyl	3-Chloro-4-methylphenyl
25	4-Fluorobenzyl	2-Fluoro-6-trifluoromethyl

		phenyl
26	4-Fluorobenzyl	3,5-Dibromophenyl
27	Pentyl	3-Bromophenyl
28	3-Methylbutyl	3-Bromophenyl
29	2-Methylpropyl	4-Bromophenyl
30	3-Methylbutyl	4-Bromophenyl
31	Butyl	2-Bromophenyl
32	Pentyl	2-Bromophenyl
33	3-Methylbutyl	2-Bromophenyl
34	3-Methylbutyl	3-Methoxyphenyl
35	3-Methylbutyl	2-Methoxyphenyl
36	3-Methylbutyl	3-Chlorophenyl
37	3-Methylbutyl	2-Chlorophenyl
38	3-Methylbutyl	2-Chlorophenyl
39	Ethyl	5-Chloro-2-methoxyphenyl
40	Allyl	5-Chloro-2-methoxyphenyl
41	Propyl	5-Chloro-2-methoxyphenyl
42	Methyl	2,5-Dichlorophenyl
43	Allyl	2,5-Dichlorophenyl
44	Propyl	2,5-Dichlorophenyl
45	Propyl	5-Methyl-2-thienyl
46	Propyl	Phenyl
47	Propyl	3-Methylphenyl
48	Propyl	3-Fluoro-4-methylphenyl
49	Allyl	5-Fluoro-2-methylphenyl
50	Propyl	5-Fluoro-2-methylphenyl
51	Benzyl	2,3,5,6-Tetrafluoro phenyl
52	4-Fluorobenzyl	2,3,5,6-Tetrafluoro phenyl
53	Benzyl	2,4,6-Trifluoro phenyl
54	Benzyl	2,3,6-Trifluoro phenyl
55	4-Fluorobenzyl	2,3,6-Trifluoro phenyl
56	4-Fluorobenzyl	2-Chloro-6-fluorophenyl
57	Benzyl	2-Fluoro-6-trifluoromethyl phenyl
58	2-Methylpropyl	3-(2-{[(4-Methylphenyl) methyl]amino} ethoxy)phenyl
59	3-Methylbutyl	3-{2-[(2-Cyclohex-1-enylethyl) amino]ethoxy} phenyl
60	2-Methylpropyl	3-(2-{[(2-Methylphenyl) methyl]amino} ethoxy)phenyl
61	2-Methylpropyl	3-(2-{[(3-Methylphenyl) methyl]amino} ethoxy)phenyl
62	2-Methylpropyl	3-(2-{[(2-Methoxyphenyl) methyl]amino} ethoxy)phenyl
63	2-Fluorobenzyl	3-Iodo-4-methylphenyl

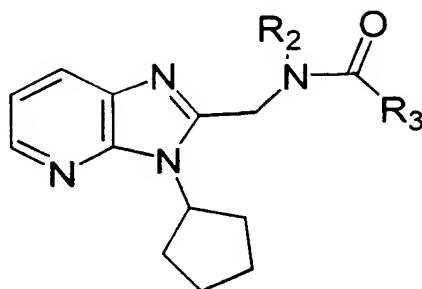
64	4-Fluorobenzyl	3-Iodo-4-methylphenyl
65	4-Fluorobenzyl	2-Thienyl
66	Benzyl	2-Thienyl
67	4-Fluorobenzyl	2-Thienyl
68	Benzyl	3-Methyl-2-thienyl
69	4-Fluorobenzyl	3-Methyl-2-thienyl
70	Benzyl	5-Methyl-2-thienyl
71	2-Fluorobenzyl	5-Methyl-2-thienyl
72	4-Fluorobenzyl	5-Methyl-2-thienyl
73	4-Fluorobenzyl	4,5-Dimethyl-2-furyl
74	2-Methylpropyl	3,4-Dichlorophenyl
75	Pentyl	3,4-Dichlorophenyl
76	3-Methylbutyl	3,4-Dichlorophenyl
77	3-Methylbutyl	3,5-Dichlorophenyl
78	3-Methylbutyl	2,3-Dichlorophenyl
79	Butyl	2,5-Dichlorophenyl
80	2-Methylpropyl	2,5-Dichlorophenyl
81	Pentyl	2,5-Dichlorophenyl
82	3-Methylbutyl	2,5-Dichlorophenyl
83	Butyl	2,4-Dichlorophenyl
84	2-Methylpropyl	2,4-Dichlorophenyl
85	3-Methylbutyl	2,4-Dichlorophenyl
86	Allyl	3-Chlorophenyl
87	Propyl	3-Chlorophenyl
88	Propyl	2,3,6-Trifluorophenyl
89	Methyl	5-Chloro-2-methoxyphenyl
90	Ethyl	5-Chloro-2-methoxyphenyl
91	Allyl	5-Chloro-2-methoxyphenyl
92	Methyl	2,5-Dichlorophenyl
93	Methyl	3-Bromophenyl
94	Ethyl	3-Bromophenyl
95	Propyl	3-Bromophenyl
96	Methyl	3-Bromo-4-fluorophenyl
97	Methyl	3-Iodophenyl
98	3-Methylbutyl	3-(2-{[(2-Methoxyphenyl)methyl]amino}ethoxy)phenyl
99	2-Methylpropyl	3-(2-{[(3-Methoxyphenyl)methyl]amino}ethoxy)phenyl
100	2-Methylpropyl	3-(2-{[(4-Methoxyphenyl)methyl]amino}ethoxy)phenyl
101	2-Methylpropyl	3-(2-{[(2-Chlorophenyl)methyl]amino}ethoxy)phenyl
102	Benzyl	2,5-Dimethoxyphenyl
103	2-Fluorobenzyl	2,5-Dimethoxyphenyl
104	4-Fluorobenzyl	2,5-Dimethoxyphenyl
105	Butyl	4-Pentylphenyl
106	2-Methylpropyl	4-Pentylphenyl
107	3-Methylbutyl	4-Pentylphenyl
108	Butyl	3-Bromophenyl
109	2-Methylpropyl	3-Bromophenyl
110	Pentyl	3-Bromophenyl

111	3-Methylbutyl	3-Bromophenyl
112	2-Methylpropyl	4-Bromophenyl
113	3-Methylbutyl	4-Bromophenyl
114	Butyl	2-Bromophenyl
115	Pentyl	2-Bromophenyl
116	3-Methylbutyl	2-Bromophenyl
117	Ethyl	3-Iodophenyl
118	Allyl	3-Iodophenyl
119	Propyl	3-Chloro-4-methylphenyl
120	Propyl	5-Bromo-2-thienyl
121	Ethyl	Phenyl
122	Allyl	Phenyl
123	Propyl	Phenyl
124	Allyl	3-Methylphenyl
125	Propyl	3-Methylphenyl
126	Propyl	4-Methylphenyl
127	Methyl	3-Fluorophenyl
128	Propyl	3-Fluorophenyl
129	Butyl	3-Chloro-4-methoxyphenyl
130	2-Methylpropyl	3-Chloro-4-methoxyphenyl
131	3-Methylbutyl	3-Chloro-4-methoxyphenyl
132	Butyl	5-Chloro-2-methoxyphenyl
133	2-Methylpropyl	5-Chloro-2-methoxyphenyl
134	Pentyl	5-Chloro-2-methoxyphenyl
135	3-Methylbutyl	5-Chloro-2-methoxyphenyl
136	Butyl	3-Trifluoromethylphenyl
137	Pentyl	3-Trifluoromethylphenyl
138	3-Methylbutyl	3-Trifluoromethylphenyl
139	3-Methylbutyl	2-Trifluoromethylphenyl
140	Butyl	3,4-Dichlorophenyl
141	Propyl	4-Fluorophenyl
142	Methyl	2-Fluorophenyl
143	Allyl	2-Fluorophenyl
144	Propyl	2-Fluorophenyl
145	Propyl	3-Fluoro-4-methylphenyl
146	Methyl	5-Fluoro-2-methylphenyl
147	Propyl	5-Fluoro-2-methylphenyl
148	Methyl	3-Chlorophenyl
149	Allyl	3-Chlorophenyl
150	Propyl	3-Chlorophenyl
151	3-Methylbutyl	4-Hexylphenyl
152	3-Methylbutyl	2-Fluoro-3-trifluoromethylphenyl
153	Butyl	2,5-Dichlorophenyl
154	2-Methylpropyl	2,5-Dichlorophenyl
155	Pentyl	2,5-Dichlorophenyl
156	3-Methylbutyl	2,5-Dichlorophenyl
157	Butyl	2,4-Dichlorophenyl
158	2-Methylpropyl	2,4-Dichlorophenyl
159	3-Methylbutyl	2,4-Dichlorophenyl
160	Butyl	4-Pentylphenyl
161	2-Methylpropyl	4-Pentylphenyl
162	3-Methylbutyl	4-Pentylphenyl
163	Butyl	3-Bromophenyl
164	2-Methylpropyl	3-Bromophenyl

165	2-Methylpropyl	3-Bromo-4-methylphenyl
166	3-Methylbutyl	3-Bromo-4-methylphenyl
167	Butyl	3-Bromo-4-fluorophenyl
168	2-Methylpropyl	3-Bromo-4-fluorophenyl
169	3-Methylbutyl	3-Bromo-4-fluorophenyl
170	Butyl	3-Iodophenyl
171	2-Methylpropyl	3-Iodophenyl
172	Pentyl	3-Iodophenyl
173	3-Methylbutyl	3-Iodophenyl
174	2-Methylpropyl	4-Iodophenyl
175	3-Methylbutyl	3-Iodo-4-methylphenyl
176	Butyl	2-Thienyl
177	Pentyl	2-Thienyl
178	3-Methylbutyl	2-Thienyl
179	Butyl	3-Thienyl
180	Pentyl	3-Thienyl
181	3-Methylbutyl	3-Thienyl
182	3-Methylbutyl	Benzyl
183	Butyl	3-Methyl-2-thienyl
184	Pentyl	3-Methyl-2-thienyl
185	3-Methylbutyl	3-Methyl-2-thienyl
186	Pentyl	3-Methyl-5-thienyl
187	3-Methylbutyl	3-Methyl-5-thienyl
188	3-Methylbutyl	3-Methylphenyl
189	2-Methylpropyl	5-Chloro-2-methoxyphenyl
190	Pentyl	5-Chloro-2-methoxyphenyl
191	3-Methylbutyl	5-Chloro-2-methoxyphenyl
192	Butyl	3-Trifluoromethylphenyl
193	Pentyl	3-Trifluoromethylphenyl
194	3-Methylbutyl	3-Trifluoromethylphenyl
195	3-Methylbutyl	2-Trifluoromethylphenyl
196	Butyl	3,4-Dichlorophenyl
197	2-Methylpropyl	3,4-Dichlorophenyl
198	3-Methylbutyl	3,4-Dichlorophenyl
199	3-Methylbutyl	3,5-Dichlorophenyl
200	3-Methylbutyl	2,3-Dichlorophenyl
201	Butyl	Phenyl
202	Pentyl	Phenyl
203	3-Methylbutyl	Phenyl
204	Pentyl	3-Methylphenyl
205	3-Methylbutyl	3-Methylphenyl
206	2-Methylpropyl	4-Methylphenyl
207	3-Methylbutyl	4-Methylphenyl
208	Pentyl	2-Methylphenyl
209	3-Methylbutyl	2-Methylphenyl
210	Butyl	3-Fluorophenyl
211	2-Methylpropyl	3-Fluorophenyl
212	Pentyl	3-Fluorophenyl
213	3-Methylbutyl	3-Fluorophenyl
214	Pentyl	4-Fluorophenyl
215	3-Methylbutyl	4-Fluorophenyl
216	Pentyl	2-Fluorophenyl
217	3-Methylbutyl	2-Fluorophenyl
218	2-Methylpropyl	3,4-Dimethylphenyl
219	3-Methylbutyl	3,4-Dimethylphenyl

220	Pentyl	2,5-Dimethylphenyl
221	3-Methylbutyl	2,5-Dimethylphenyl
222	2-Methylpropyl	2,4-Dimethylphenyl
223	3-Methylbutyl	2,4-Dimethylphenyl
224	2-Methylpropyl	3-Methoxyphenyl
225	Pentyl	3-Methoxyphenyl
226	3-Methylbutyl	3-Methoxyphenyl
227	2-Methylpropyl	4-Methoxyphenyl
228	3-Methylbutyl	4-Methoxyphenyl
229	Pentyl	2-Methoxyphenyl
230	3-Methylbutyl	2-Methoxyphenyl
231	2-Methylpropyl	3-Fluoro-4-methylphenyl
232	Pentyl	3-Fluoro-4-methylphenyl
233	3-Methylbutyl	3-Fluoro-4-methylphenyl
234	3-Methylbutyl	3-Fluoro-2-methylphenyl
235	2-Methylpropyl	5-Fluoro-2-methylphenyl
236	Pentyl	5-Fluoro-2-methylphenyl
237	2-Methylpropyl	3-Chloro-4-fluorophenyl
238	Pentyl	3-Chloro-4-fluorophenyl
239	3-Methylbutyl	3-Chloro-4-fluorophenyl
240	3-Methylbutyl	3,4,5-Trifluorophenyl
241	3-Methylbutyl	4-Butylphenyl
242	Pentyl	4-1-propylphenyl
243	3-Methylbutyl	4-1-propylphenyl
244	Butyl	4-Ethylthiophenyl
245	2-Methylpropyl	4-Ethylthiophenyl
246	3-Methylbutyl	4-Ethylthiophenyl
247	3-Methylbutyl	3-Chloro-4-methoxyphenyl
248	Butyl	5-Chloro-2-methoxyphenyl
249	3-Methylbutyl	5-Fluoro-2-methylphenyl
250	2-Methylpropyl	2-Fluoro-3-methylphenyl
251	Pentyl	2-Fluoro-3-methylphenyl
252	3-Methylbutyl	2-Fluoro-3-methylphenyl
253	2-Methylpropyl	3-Chlorophenyl
254	Pentyl	3-Chlorophenyl
255	3-Methylbutyl	3-Chlorophenyl
256	2-Methylpropyl	4-Chlorophenyl
257	3-Methylbutyl	4-Chlorophenyl
258	3-Methylbutyl	2-Chlorophenyl
259	3-Methylbutyl	3,4-Difluorophenyl
260	3-Methylbutyl	1,2-Difluorophenyl
261	Pentyl	2,5-Difluorophenyl
262	3-Methylbutyl	2,5-Difluorophenyl
263	Pentyl	2,4-Difluorophenyl
264	3-Methylbutyl	2,4-Difluorophenyl
265	3-Methylbutyl	4-Propylphenyl
266	Pentyl	1,3-Benzodioxol-5-yl
267	3-Methylbutyl	1,3-Benzodioxol-5-yl
268	3-Methylbutyl	4-Methylthio phenyl
269	3-Methylbutyl	3-Fluoro-4-methoxyphenyl
270	2-Methylpropyl	4-Chloro-3-methylphenyl
271	3-Methylbutyl	4-Chloro-3-methylphenyl
272	Butyl	3-Chloro-4-fluorophenyl

## Example 6



5

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

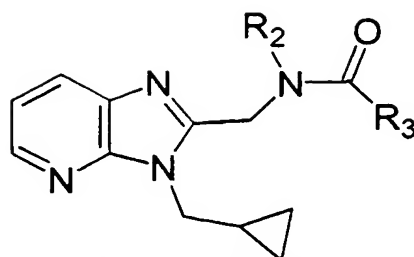
Compound No.	$R_2$	$R_3$
273	2-Methylpropyl	2,4,6-Trifluorophenyl
274	3-Methylbutyl	2,4,6-Trifluorophenyl
275	2-Methylpropyl	2,3,6-Trifluorophenyl
276	Pentyl	2,3,6-Trifluorophenyl
277	3-Methylbutyl	2,3,6-Trifluorophenyl
278	Pentyl	2-Chloro-6-fluorophenyl
279	3-Methylbutyl	2-Chloro-6-fluorophenyl
280	Pentyl	2-Fluoro-6-trifluoromethylphenyl
281	3-Methylbutyl	2-Fluoro-6-trifluoromethylphenyl
282	Pentyl	3-Bromo-4-fluorophenyl
283	2-Methylpropyl	4-Hexylphenyl
284	Butyl	4-Pentoxyphenyl
285	2-Methylpropyl	4-Pentoxyphenyl
286	Butyl	2-Fluoro-3-trifluoromethylphenyl
287	2-Methylpropyl	2-Fluoro-3-trifluoromethylphenyl
288	3-Methylbutyl	3-Bromo-4-fluorophenyl
289	2-Methylpropyl	4-heptylphenyl
290	Butyl	3-Iodophenyl
291	2-Methylpropyl	3-Iodophenyl
292	Pentyl	3-Iodophenyl
293	3-Methylbutyl	3-Iodophenyl
294	Butyl	4-Iodophenyl
295	2-Methylpropyl	4-Iodophenyl
296	2-Methylpropyl	4-Pentylphenyl
297	3-Methylbutyl	2-Fluoro-3-trifluoromethylphenyl
298	Butyl	3-Bromo-4-methylphenyl
299	2-Methylpropyl	3-Bromo-4-methylphenyl
300	Pentyl	3-Bromo-4-methylphenyl
301	3-Methylbutyl	3-Bromo-4-methylphenyl

302	Butyl	3-Bromo-4-fluorophenyl
303	2-Methylpropyl	3-Bromo-4-fluorophenyl
304	3-Methylbutyl	3,4-Dichlorophenyl
305	Butyl	2,3-Dichlorophenyl
306	2-Methylpropyl	2,3-Dichlorophenyl
307	3-Methylbutyl	2,3-Dichlorophenyl
308	Butyl	2,5-Dichlorophenyl
309	Butyl	3-Bromophenyl
310	2-Methylpropyl	3-Bromophenyl
311	Pentyl	3-Bromophenyl
312	3-Methylbutyl	3-Bromophenyl
313	Butyl	4-Bromophenyl
314	2-Methylpropyl	4-Bromophenyl
315	3-Methylbutyl	4-Bromophenyl
316	Butyl	2-Bromophenyl
317	Pentyl	2-Bromophenyl
318	3-Methylbutyl	2-Bromophenyl
319	Pentyl	4-Hexylphenyl
320	2-Methylpropyl	4-Chloro-2-methoxyphenyl
321	2-Methylpropyl	2,5-Dichlorophenyl
322	Pentyl	2,5-Dichlorophenyl
323	3-Methylbutyl	2,5-Dichlorophenyl
324	Butyl	2,4-Dichlorophenyl
325	2-Methylpropyl	2,4-Dichlorophenyl
326	Pentyl	2,4-Dichlorophenyl
327	3-Methylbutyl	2,4-Dichlorophenyl
328	2-Methylpropyl	2,5-Dimethoxyphenyl
329	Pentyl	2,5-Dimethoxyphenyl
330	3-Methylbutyl	2,5-Dimethoxyphenyl
331	2-Methylpropyl	2,4-Dimethoxyphenyl
332	3-Methylbutyl	2,4-Dimethoxyphenyl
333	Pentyl	4-Chloro-2-methoxyphenyl
334	3-Methylbutyl	4-Chloro-2-methoxyphenyl
335	Butyl	3-Trifluoromethylphenyl
336	2-Methylpropyl	3-Trifluoromethylphenyl
337	Pentyl	3-Trifluoromethylphenyl
338	3-Methylbutyl	3-Trifluoromethylphenyl
339	2-Methylpropyl	4-Trifluoromethylphenyl
340	Butyl	2-Trifluoromethylphenyl
341	3-Methylbutyl	2-Trifluoromethylphenyl
342	Butyl	3,4-Dichlorophenyl
343	2-Methylpropyl	3,4-Dichlorophenyl
344	Butyl	4-Methylthio phenyl
345	Butyl	3-Chloro-4-methoxyphenyl
346	2-Methylpropyl	3-Chloro-4-methoxyphenyl
347	3-Methylbutyl	3-Chloro-4-methoxyphenyl
348	Butyl	5-Chloro-2-methoxyphenyl
349	2-Methylpropyl	5-Chloro-2-methoxyphenyl
350	Pentyl	5-Chloro-2-methoxyphenyl
351	3-Methylbutyl	5-Chloro-2-methoxyphenyl
352	Butyl	2,5-Difluorophenyl
353	2-Methylpropyl	2,5-Difluorophenyl
354	Pentyl	2,5-Difluorophenyl
355	3-Methylbutyl	2,5-Difluorophenyl



356	Butyl	2,4-Difluorophenyl
357	2-Methylpropyl	4-Methylthio phenyl
358	Butyl	3-Fluoro-4-methoxyphenyl
359	2-Methylpropyl	3-Fluoro-4-methoxyphenyl
360	3-Methylbutyl	3-Fluoro-4-methoxyphenyl
361	2-Methylpropyl	4-Chloro-3-methylphenyl
362	Butyl	3-Chloro-4-fluorophenyl
363	2-Methylpropyl	3-Chloro-4-fluorophenyl
364	Pentyl	3-Chloro-4-fluorophenyl
365	3-Methylbutyl	3-Chloro-4-fluorophenyl
366	2-Methylpropyl	4-Ethylthiophenyl
367	Butyl	2,5-Dimethoxyphenyl
368	Butyl	2-Chlorophenyl
369	2-Methylpropyl	2,4-Difluorophenyl
370	Pentyl	2,4-Difluorophenyl
371	3-Methylbutyl	2,4-Difluorophenyl
372	Butyl	1,3-Benzodioxol-5-yl
373	2-Methylpropyl	1,3-Benzodioxol-5-yl
374	Pentyl	1,3-Benzodioxol-5-yl
375	3-Methylbutyl	1,3-Benzodioxol-5-yl
376	3-Methylbutyl	3-Fluoro-2-methylphenyl
377	Butyl	5-Fluoro-2-methylphenyl
378	2-Methylpropyl	5-Fluoro-2-methylphenyl
379	Pentyl	5-Fluoro-2-methylphenyl
380	3-Methylbutyl	5-Fluoro-2-methylphenyl
381	2-Methylpropyl	2-Chlorophenyl
382	Pentyl	2-Chlorophenyl
383	3-Methylbutyl	2-Chlorophenyl
384	Butyl	3,4-Difluorophenyl
385	2-Methylpropyl	3,4-Difluorophenyl
386	Pentyl	3,4-Difluorophenyl
387	3-Methylbutyl	3,4-Difluorophenyl
388	Butyl	2,3-Difluorophenyl
389	2-Methylpropyl	2,3-Difluorophenyl
390	Pentyl	2,3-Difluorophenyl
391	3-Methylbutyl	2,3-Difluorophenyl
392	2-Methylpropyl	4-Methoxyphenyl
393	Butyl	3-Chlorophenyl
394	2-Methylpropyl	3-Chlorophenyl
395	Pentyl	3-Chlorophenyl
396	3-Methylbutyl	3-Chlorophenyl
397	Butyl	4-Chlorophenyl
398	2-Methylpropyl	4-Chlorophenyl
399	3-Methylbutyl	4-Chlorophenyl
400	Butyl	2,5-Dimethylphenyl
401	2-Methylpropyl	2,5-Dimethylphenyl
402	Pentyl	2,5-Dimethylphenyl
403	3-Methylbutyl	2,5-Dimethylphenyl
404	Butyl	2,4-Dimethylphenyl
405	3-Methylbutyl	4-Methoxyphenyl
406	Butyl	2-Methoxyphenyl
407	2-Methylpropyl	2-Methoxyphenyl
408	Pentyl	2-Methoxyphenyl
409	3-Methylbutyl	2-Methoxyphenyl

410	Butyl	3-Fluoro-4-methylphenyl
411	2-Methylpropyl	3-Fluoro-4-methylphenyl
412	Pentyl	3-Fluoro-4-methylphenyl
413	3-Methylbutyl	3-Fluoro-4-methylphenyl
414	Butyl	3-Fluoro-2-methylphenyl
415	2-Methylpropyl	3-Fluoro-2-methylphenyl
416	Butyl	4-Fluorophenyl
417	2-Methylpropyl	2,4-Dimethylphenyl
418	3-Methylbutyl	2,4-Dimethylphenyl
419	Butyl	3-Methoxyphenyl
420	2-Methylpropyl	3-Methoxyphenyl
421	Pentyl	3-Methoxyphenyl
422	3-Methylbutyl	3-Methoxyphenyl
423	Butyl	4-Methoxyphenyl
424	3-Methylbutyl	3-Methylphenyl
425	Butyl	4-Methylphenyl
426	2-Methylpropyl	4-Methylphenyl
427	Pentyl	4-Methylphenyl
428	3-Methylbutyl	4-Methylphenyl
429	2-Methylpropyl	4-Fluorophenyl
430	Pentyl	4-Fluorophenyl
431	3-Methylbutyl	4-Fluorophenyl
432	Butyl	2-Fluorophenyl
433	2-Methylpropyl	2-Fluorophenyl
434	Pentyl	2-Fluorophenyl
435	3-Methylbutyl	2-Fluorophenyl
436	2-Methylpropyl	4-Ethylphenyl
437	Butyl	3,4-Dimethylphenyl
438	2-Methylpropyl	3,4-Dimethylphenyl
439	3-Methylbutyl	3,4-Dimethylphenyl
440	Butyl	2-Methylphenyl
441	Pentyl	2-Methylphenyl
442	3-Methylbutyl	2-Methylphenyl
443	Butyl	3-Fluorophenyl
444	2-Methylpropyl	3-Fluorophenyl
445	Pentyl	3-Fluorophenyl
446	3-Methylbutyl	3-Fluorophenyl
447	Butyl	Phenyl
448	2-Methylpropyl	Phenyl
449	Pentyl	Phenyl
450	3-Methylbutyl	Phenyl
451	Butyl	3-Methylphenyl
452	2-Methylpropyl	3-Methylphenyl
453	Pentyl	3-Methylphenyl



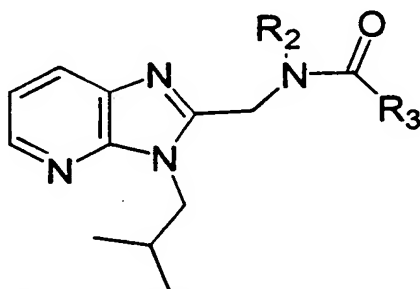
For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
454	Allyl	2,5-Dichlorophenyl
455	Propyl	2,5-Dichlorophenyl
456	Propyl	2,4-Dichlorophenyl
457	Propyl	4-Pentylphenyl
458	Allyl	3-Bromophenyl
459	Propyl	3-Bromophenyl
460	Propyl	4-Bromophenyl
461	Propyl	2-Chlorophenyl
462	Methyl	Phenyl
463	Propyl	Phenyl
464	Methyl	3-Methylphenyl
465	Propyl	3-Methylphenyl
466	Propyl	2-Chlorophenyl
467	Propyl	3,4-Difluorophenyl
468	Methyl	2,3-Difluorophenyl
469	Propyl	2,3-Difluorophenyl
470	Methyl	2,5-Difluorophenyl
471	Allyl	2,5-Difluorophenyl
472	Propyl	2,5-Difluorophenyl
473	Propyl	2,4-Difluorophenyl
474	Allyl	1,3-Benzodioxol-5-yl
475	Propyl	1,3-Benzodioxol-5-yl
476	Propyl	4-Methylthiophenyl
477	Propyl	4-Chloro-3-methylphenyl
478	Propyl	4-Methylphenyl
479	Propyl	3-Fluorophenyl
480	Propyl	4-Fluorophenyl
481	Methyl	2-Fluorophenyl
482	Allyl	2-Fluorophenyl
483	Propyl	2-Fluorophenyl
484	Propyl	3,4-Dimethylphenyl
485	Propyl	3-Fluoro-4-methylphenyl
486	Propyl	2-Fluoro-3-methylphenyl
487	Allyl	3-Chlorophenyl
488	Propyl	3-Chlorophenyl
489	Propyl	4-Chlorophenyl
490	2-Methylpropyl	3-Chloro-2-thienyl
491	Pentyl	3-Chloro-2-thienyl
492	3-Methylbutyl	3-Chloro-2-thienyl
493	Butyl	3-Ethoxy-2-thienyl

494	Pentyl	3-Ethoxy-2-thienyl
495	3-Methylbutyl	2-Methoxybenzyl
496	3-Methylbutyl	2-(2-Fluorophenyl) ethenyl
497	2-Methylpropyl	2-(2-Chlorophenyl) ethenyl
498	3-Methylbutyl	2-(2-Chlorophenyl) ethenyl
499	Pentyl	2-Fluoro-6- trifluoromethylphenyl
500	3-Methylbutyl	3-Ethoxy-2-thienyl
501	Butyl	5-Methylthio-2-thienyl
502	2-Methylpropyl	5-Methylthio-2-thienyl
503	3-Methylbutyl	5-Methylthio-2-thienyl
504	3-Methylbutyl	4-Fluorophenyl
505	3-Methylbutyl	2-Fluorophenyl
506	3-Methylbutyl	3-Methoxyphenyl
507	3-Methylbutyl	2,3,5,6-Tetrafluoro phenyl
508	2-Methylpropyl	2,4,6-Trifluoro phenyl
509	3-Methylbutyl	2,4,6-Trifluoro phenyl
510	Butyl	2,3,6-Trifluoro phenyl
511	2-Methylpropyl	2,3,6-Trifluoro phenyl
512	3-Methylbutyl	2-Fluoro-6- trifluoromethylphenyl
513	2-Methylpropyl	2,4,6-Trichlorophenyl
514	Pentyl	2,5-Dimethyl-3-furyl
515	3-Methylbutyl	4,5-Dimethyl-2-furyl
516	Butyl	3,4-Dimethyl-2-furyl
517	2-Methylpropyl	3,4-Dimethyl-2-furyl
518	Pentyl	3,4-Dimethyl-2-furyl
519	3-Methylbutyl	3,4-Dimethyl-2-furyl
520	Butyl	4-Methoxy-3-thienyl
521	3-Methylbutyl	4-Methoxy-3-thienyl
522	Butyl	3-Chloro-2-thienyl
523	Allyl	3-Bromo-4-fluorophenyl
524	Propyl	3-Bromo-4-fluorophenyl
525	Methyl	3-Iodophenyl
526	Ethyl	3-Iodophenyl
527	Allyl	3-Iodophenyl
528	Propyl	3-Iodophenyl
529	Propyl	3-Methyl-2-thienyl
530	Propyl	3-Fluorobenzyl
531	Pentyl	2,3,6-Trifluoro phenyl
532	3-Methylbutyl	2,3,6-Trifluoro phenyl
533	Butyl	2-Chloro-6-fluorophenyl
534	2-Methylpropyl	2-Chloro-6-fluorophenyl
535	Pentyl	2-Chloro-6-fluorophenyl
536	3-Methylbutyl	2-Chloro-6-fluorophenyl
537	Butyl	2-Fluoro-6-

		trifluoromethylphenyl
538	3-Methylbutyl	3-Chlorobenzyl
539	2-Methylpropyl	4-Chlorobenzyl
540	3-Methylbutyl	2-Chlorobenzyl
541	Butyl	2,3,5,6-Tetrafluoro phenyl
542	2-Methylpropyl	2,3,5,6-Tetrafluoro phenyl
543	Pentyl	2,3,5,6-Tetrafluoro phenyl
544	Allyl	3-Chloro-4-fluorophenyl
545	Propyl	3-Chloro-4-fluorophenyl
546	Propyl	4-Butylphenyl
547	Propyl	3-Chloro-4-methoxyphenyl
548	Allyl	5-Chloro-2-methoxyphenyl
549	Propyl	5-Chloro-2-methoxyphenyl
550	Propyl	3,4-Dichlorophenyl
551	Propyl	4-Hexylphenyl
552	Methyl	3-Bromo-4-methylphenyl
553	Allyl	3-Bromo-4-methylphenyl
554	Propyl	3-Bromo-4-methylphenyl
555	Methyl	3-Bromo-4-fluorophenyl
556	Butyl	2-Methoxybenzyl

### Example 8

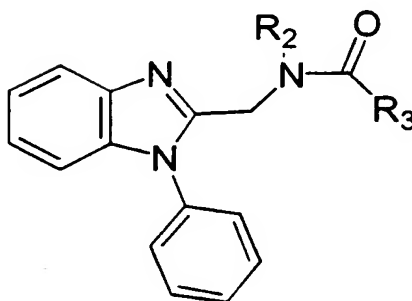


- 5 For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
557	Propyl	3-Chlorophenyl
558	Propyl	Phenyl
559	Allyl	2-Fluorophenyl
560	Propyl	2-Fluorophenyl
561	Propyl	3-Fluoro-4-methylphenyl
562	Methyl	2,5-Dichlorophenyl
563	Propyl	2,5-Dichlorophenyl
564	Propyl	4-Pentylphenyl
565	Propyl	3-Bromophenyl
566	Propyl	3-Methyl-2-thienyl

Compound No. 567: (5-Chloro-2-methoxyphenyl)-N-({3-[(2-chlorophenyl)methyl]imidazo[5,4-b]pyridin-2-yl}methyl)-N-pentylcarboxamide.

5

Example 9

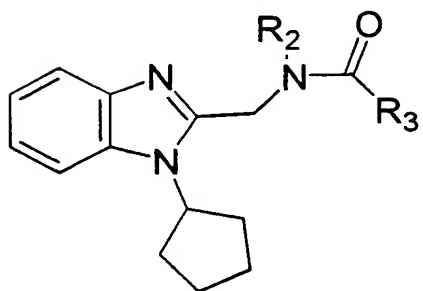
For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
568	Methyl	Phenyl
569	Methyl	3-Chlorophenyl
570	Butyl	2,5-Dimethylphenyl
571	Butyl	5-Fluoro-2-methylphenyl
572	Butyl	2,3-Dimethylphenyl
573	Propyl	3-Fluorophenyl
574	Butyl	3-Methylphenyl
575	Butyl	4-Fluorophenyl
576	Butyl	3-Methoxyphenyl
577	Butyl	2,5-Difluorophenyl
578	Methyl	2-Fluorophenyl
579	Butyl	4-Methylphenyl
580	Butyl	2-Fluorophenyl
581	Butyl	4-Methoxyphenyl
582	Butyl	3-Chlorophenyl
583	Methyl	2,5-Dimethylphenyl
584	Butyl	2-Methylphenyl
585	Butyl	4-Ethylphenyl
586	Butyl	2-Methoxyphenyl
587	Butyl	3-Chlorophenyl
588	Propyl	3-Fluoro-4-methylphenyl
589	Butyl	3-Fluorophenyl
590	Butyl	3,4-Dimethylphenyl
591	Butyl	3-Fluoro-4-methylphenyl
592	Butyl	3,4-Difluorophenyl
593	Propyl	2,4-Dimethoxyphenyl
594	Methyl	2,5-Dichlorophenyl
595	Butyl	5-Chloro-2-methoxyphenyl
596	Butyl	3-Methyl-2-thienyl

597	Butyl	3-Methylphenyl
598	Pentyl	3-Fluorophenyl
599	Pentyl	2,5-Dimethylphenyl
600	Propyl	2,5-Dichlorophenyl
601	Butyl	3-Methyl-2-thienyl
602	Pentyl	3-Methylphenyl
603	Butyl	2-Fluorophenyl
604	Pentyl	3-Methoxyphenyl
605	Methyl	3-Bromophenyl
606	Butyl	3-Iodophenyl
607	Butyl	4-Fluorophenyl
608	2-Methylpropyl	4-Methylphenyl
609	2-Methylpropyl	2-Fluorophenyl
610	2-Methylpropyl	4-Methoxyphenyl
611	Propyl	3-Bromophenyl
612	Allyl	4-Octylphenyl
613	Butyl	Phenyl
614	Pentyl	2-Methylphenyl
615	Pentyl	2-Fluorophenyl
616	Butyl	2-Methoxyphenyl
617	Butyl	3-Chloro-4-methoxyphenyl
618	Propyl	4-Octylphenyl
619	Pentyl	Phenyl
620	Butyl	3-Fluorophenyl
621	2-Methylpropyl	3,4-Dimethylphenyl
622	Pentyl	2-Methoxyphenyl
623	Butyl	3-Fluoro-4-methylphenyl
624	Butyl	2-Fluoro-3-methylphenyl
625	2-Methylpropyl	4-Chlorophenyl
626	2-Methylpropyl	2,3-Difluorophenyl
627	2-Methylpropyl	1,3-Benzodioxol-5-yl
628	2-Methylpropyl	3-Chloro-4-methoxyphenyl
629	2-Methylpropyl	3-Fluoro-4-methylphenyl
630	Pentyl	2-Fluoro-3-methylphenyl
631	Pentyl	2-Chlorophenyl
632	Pentyl	2,3-Difluorophenyl
633	Butyl	4-Methylthio phenyl
634	2-Methylpropyl	3-Chloro-4-methoxyphenyl
635	Butyl	5-Fluoro-2-methylphenyl
636	Butyl	3-Chlorophenyl
637	Butyl	3,4-Difluorophenyl
638	Butyl	2,5-Difluorophenyl
639	Butyl	3-Chloro-4-fluorophenyl
640	Butyl	5-Chloro-2-methoxyphenyl
641	2-Methylpropyl	5-Fluoro-2-methylphenyl
642	2-Methylpropyl	3-Chlorophenyl
643	2-Methylpropyl	3,4-Difluorophenyl
644	Pentyl	2,5-Difluorophenyl
645	2-Methylpropyl	4-Ethylthiophenyl
646	2-Methylpropyl	5-Chloro-2-methoxyphenyl
647	Pentyl	5-Fluoro-2-methylphenyl
648	Pentyl	3-Chlorophenyl
649	Butyl	2,3-Difluorophenyl
650	2-Methylpropyl	2,4-Difluorophenyl

651	Butyl	3-Chloro-4-methoxyphenyl
652	Pentyl	5-Chloro-2-methoxyphenyl
653	3-Methylbutyl	5-Chloro-2-methoxyphenyl
654	3-Methylbutyl	2,5-Dichlorophenyl
655	2-Methylpropyl	4-Bromophenyl
656	Butyl	2-Thienyl
657	3-Methylbutyl	3-Thienyl
658	2-Methylpropyl	3-Methyl-2-thienyl
659	3-Methylbutyl	3-Trifluoromethylphenyl
660	Butyl	3-Bromophenyl
661	3-Methylbutyl	2-Bromophenyl
662	Pentyl	2-Thienyl
663	Butyl	5-Methyl-2-thienyl
664	3-Methylbutyl	3-Methyl-2-thienyl
665	2-Methylpropyl	3,4-Dichlorophenyl
666	2-Methylpropyl	3-Bromophenyl
667	3-Methylbutyl	3-Bromo-4-fluorophenyl
668	3-Methylbutyl	2-Thienyl
669	Pentyl	5-Methyl-2-thienyl
670	Butyl	3-Fluorophenyl
671	Butyl	2,5-Dichlorophenyl
672	Pentyl	3-Bromophenyl
673	Pentyl	3-Iodophenyl
674	Butyl	3-Thienyl
675	3-Methylbutyl	5-Methyl-2-thienyl
676	3-Methylbutyl	3-Fluorophenyl
677	Pentyl	2,5-Dichlorophenyl
678	3-Methylbutyl	3-Bromophenyl
679	3-Methylbutyl	3-Iodophenyl
680	Pentyl	3-Thienyl
681	Butyl	3-Methyl-2-thienyl
682	2-Methylpropyl	2-Chlorophenyl
815	2-Methylpropyl	3,5-Difluorophenyl
816	3-Methylbutyl	3,5-Difluorophenyl
817	Butyl	3,5-Difluorophenyl
2238	Benzyl	3-Fluorophenyl
2242	Benzyl	2-Fluorophenyl
2253	Benzyl	2-Methoxyphenyl
2257	Benzyl	5-Fluoro-2-methylphenyl
2260	Benzyl	3-Chlorophenyl
2268	Benzyl	2,3-Difluorophenyl
2271	Benzyl	2,5-Difluorophenyl



Example 10

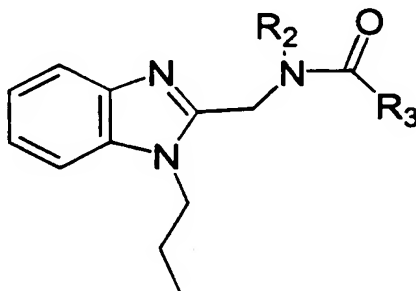
For each compound, the definitions of R<sub>2</sub> and R<sub>3</sub> are specified

5 in the following table.

Compound No.	R <sub>2</sub>	R <sub>3</sub>
683	Allyl	3-Fluorophenyl
684	Allyl	3,4-Difluorophenyl
685	Propyl	1,3-Benzodioxol-5-yl
686	Allyl	5-Chloro-2-methoxyphenyl
687	Propyl	3-Methyl-2-Thienyl
688	Propyl	3-Fluoro-4-methylphenyl
689	Propyl	3-Fluorophenyl
690	Propyl	3,4-Difluorophenyl
691	Allyl	3-Chloro-4-fluorophenyl
692	Propyl	5-Chloro-2-methoxyphenyl
693	Allyl	Phenyl
694	Allyl	5-Fluoro-2-methylphenyl
695	Propyl	4-Fluorophenyl
696	Allyl	2,5-Difluorophenyl
697	Propyl	3-Chloro-4-fluorophenyl
698	Methyl	2,5-Dichlorophenyl
699	Propyl	Phenyl
700	Propyl	5-Fluoro-2-methylphenyl
701	Allyl	2-Fluorophenyl
702	Propyl	2,5-Difluorophenyl
703	Methyl	5-Chloro-2-methoxyphenyl
704	Allyl	2,5-Dichlorophenyl
705	Allyl	3-Methylphenyl
706	Allyl	3-Chlorophenyl
707	Propyl	2-Fluorophenyl
708	Allyl	1,3-Benzodioxol-5-yl
709	Ethyl	5-Chloro-2-methoxyphenyl
710	Propyl	2,5-Dichlorophenyl
711	Propyl	3-Methylphenyl
712	Propyl	3-Chlorophenyl
713	Propyl	4-Methylthio phenyl
714	Propyl	3-Iodo-4-methylphenyl
887	Propyl	2,3,6-Trifluorophenyl
2306	3-Methylbutyl	2,3,6-Trifluorophenyl
2347	3-Methylbutyl	3-(2-1,2,3,4-Tetrahydro

		isoquinolinyl methyl) phenyl
2348	3-Methylbutyl	3-(Diethylamino methyl)phenyl
2349	3-Methylbutyl	3-(Hexylmethyl amino methyl)phenyl
2351	3-Methylbutyl	3-(Dibutylamino methyl)phenyl
2364	3-Methylbutyl	3-[(1-methylethyl) methylamino methyl]phenyl
2365	3-Methylbutyl	3-(Cyclohexyl ethylamino methyl)phenyl
2367	3-Methylbutyl	3-[bis(2-Methoxyethyl) aminomethyl] phenyl
2369	3-Methylbutyl	3-[(3,3,5-Trimethylaza perhydroepinyl)methyl]phenyl

5

Example 11

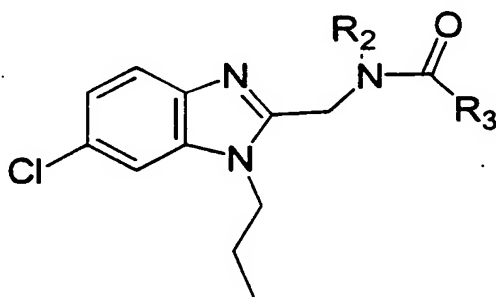
For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
715	Methyl	3-Fluorophenyl
716	Methyl	5-Fluoro-2-methylphenyl
717	Methyl	3-Chlorophenyl
718	Methyl	5-Chloro-2-methoxyphenyl
839	2-Methylpropyl	2,3,6-Trifluorophenyl
840	Pentyl	2,3,6-Trifluorophenyl
841	3-Methylbutyl	2,3,6-Trifluorophenyl
938	Butyl	Phenyl
939	2-Methylpropyl	Phenyl
940	Pentyl	Phenyl
941	3-Methylbutyl	Phenyl
942	Butyl	3-Methylphenyl

943	2-Methylpropyl	3-Methylphenyl
944	3-Methylbutyl	3-Methylphenyl
945	2-Methylpropyl	4-Methylphenyl
946	Butyl	3-Fluorophenyl
947	Pentyl	3-Fluorophenyl
948	3-Methylbutyl	3-Fluorophenyl
949	3-Methylbutyl	4-Fluorophenyl
950	Butyl	2-Fluorophenyl
951	2-Methylpropyl	2-Fluorophenyl
952	Pentyl	2-Fluorophenyl
953	3-Methylbutyl	2-Fluorophenyl
954	2-Methylpropyl	3,4-Dimethylphenyl
1002	Butyl	2-Chlorophenyl
1003	Pentyl	2-Chlorophenyl
1004	3-Methylbutyl	2-Chlorophenyl
1005	Butyl	3,4-Difluorophenyl
1006	2-Methylpropyl	3,4-Difluorophenyl
1007	Pentyl	3,4-Difluorophenyl
1008	3-Methylbutyl	3,4-Difluorophenyl
1009	Butyl	2,3-Difluorophenyl
1010	2-Methylpropyl	2,3-Difluorophenyl
1011	Pentyl	2,3-Difluorophenyl
1012	3-Methylbutyl	2,3-Difluorophenyl
1013	Butyl	2,5-Difluorophenyl
1014	2-Methylpropyl	2,5-Difluorophenyl
1015	Pentyl	2,5-Difluorophenyl
1016	3-Methylbutyl	2,5-Difluorophenyl
1017	Butyl	2,4-Difluorophenyl
1018	2-Methylpropyl	2,4-Difluorophenyl
1019	3-Methylbutyl	2,4-Difluorophenyl
1020	2-Methylpropyl	3-Ethoxyphenyl
1021	Butyl	1,3-Benzodioxol-5-yl
1022	2-Methylpropyl	1,3-Benzodioxol-5-yl
1023	3-Methylbutyl	1,3-Benzodioxol-5-yl
1024	2-Methylpropyl	4-Methylthio phenyl
1025	3-Methylbutyl	4-Methylthio phenyl
1026	2-Methylpropyl	3-Fluoro-4-methoxyphenyl
1027	3-Methylbutyl	3-Fluoro-4-methoxyphenyl
1028	2-Methylpropyl	4-Chloro-3-methylphenyl
1029	Butyl	3-Chloro-4-fluorophenyl
1030	2-Methylpropyl	3-Chloro-4-fluorophenyl
1031	Pentyl	3-Chloro-4-fluorophenyl
1032	3-Methylbutyl	3-Chloro-4-fluorophenyl
1033	2-Methylpropyl	3,4,5-Trifluorophenyl
1034	3-Methylbutyl	3,4,5-Trifluorophenyl
1035	2-Methylpropyl	4-Butylphenyl
1036	2-Methylpropyl	4-Ethylthiophenyl
1109	Cyclopropyl methyl	Phenyl
1110	Cyclopropyl Methyl	3-Methylphenyl
1111	Cyclopropyl Methyl	4-Methylphenyl

1112	Cyclopropyl Methyl	3-Fluorophenyl
1113	Cyclopropyl Methyl	2-Fluorophenyl
1114	Cyclopropyl Methyl	3-Methoxyphenyl
1115	Cyclopropyl Methyl	3-Fluoro-4-methylphenyl
1116	Cyclopropyl methyl	5-Fluoro-2-methylphenyl
1130	Cyclopropyl Methyl	5-Chloro-2-methoxyphenyl
1131	Cyclopropyl Methyl	2,5-Dichlorophenyl
1132	Cyclopropyl Methyl	3-Bromophenyl
1133	3-Methylbutyl	5-Chloro-2-methoxyphenyl
1134	Butyl	2,5-Dichlorophenyl
1135	2-Methylpropyl	2,5-Dichlorophenyl
1136	Pentyl	2,5-Dichlorophenyl
1137	3-Methylbutyl	2,5-Dichlorophenyl
1138	2-Methylpropyl	2,4-Dichlorophenyl
1139	2-Methylpropyl	4-Pentylphenyl
1140	Butyl	3-Bromophenyl
1141	2-Methylpropyl	3-Bromophenyl
1142	Pentyl	3-Bromophenyl
1143	3-Methylbutyl	3-Bromophenyl
1144	2-Methylpropyl	4-Bromophenyl
1256	Cyclopropyl Methyl	3,4-Difluorophenyl
1257	Cyclopropyl Methyl	2,4-Difluorophenyl
1258	Propyl	1,3-Benzodioxol-5-yl
1259	Cyclopropyl Methyl	1,3-Benzodioxol-5-yl
1260	Cyclopropyl Methyl	3-Chloro-4-fluorophenyl
1261	3-Methylbutyl	3-Iodo-4-methylphenyl
1262	3-Methylbutyl	2-Thienyl
1263	3-Methylbutyl	3-Thienyl
1264	2-Methylpropyl	5-Methyl-2-thienyl
1265	Pentyl	5-Methyl-2-thienyl
1266	3-Methylbutyl	5-Methyl-2-thienyl
1267	3-Methylbutyl	3-Fluorobenzyl
1448	Methyl	2,5-Difluorophenyl
1449	Methyl	2,5-Dichlorophenyl
2005	3-Methylbutyl	5-Bromo-2-thienyl
2239	Benzyl	3-Fluorophenyl
2243	Benzyl	2-Fluorophenyl
2245	Benzyl	3,4-Dimethylphenyl
2251	Benzyl	3-Methoxyphenyl
2254	Benzyl	2-Methoxyphenyl
2258	Benzyl	5-Fluoro-2-methylphenyl
2261	Benzyl	3-Chlorophenyl
2266	Benzyl	3,4-Difluorophenyl

2269	Benzyl	2,3-Difluorophenyl
2272	Benzyl	2,5-Difluorophenyl
2281	Benzyl	5-Chloro-2-methoxyphenyl
2289	Benzyl	2,5-Dichlorophenyl
2292	Benzyl	3-Bromophenyl
2295	Benzyl	2-Bromophenyl
2298	Benzyl	3-Iodophenyl
2302	Benzyl	2,5-Dimethylpyrrol-3-yl
2305	Benzyl	3-Methylbutyl
2320	3-Methylbutyl	3-(Methylamino methyl)phenyl
2321	3-Methylbutyl	3-(Ethylamino methyl)phenyl
2322	3-Methylbutyl	3-(Cyclobutyl amino methyl)phenyl
2323	3-Methylbutyl	3-[(1-Methylpropyl) amino methyl]phenyl
2324	3-Methylbutyl	3-(Cyclopentyl amino methyl)phenyl
2350	3-Methylbutyl	3-(Diethylamino methyl)phenyl
2366	3-Methylbutyl	3-[bis(2-Methoxyethyl) aminomethyl]phenyl
2368	3-Methylbutyl	3-[(3,3,5-Trimethylaza perhydroepinyl)methyl]phenyl
2391	Methyl	2,5-Difluorophenyl

Example 12

5

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
719	Propyl	3-Fluorophenyl
720	Propyl	1,3-Benzodioxol-5-yl
721	Propyl	5-Fluoro-2-methylphenyl

722	Allyl	2-Fluorophenyl
723	Propyl	3-Chloro-4-fluorophenyl
724	Propyl	3-Chlorophenyl
725	Propyl	2-Fluorophenyl
726	Allyl	5-Chloro-2-methoxyphenyl
727	Allyl	3-Chlorophenyl
728	Methyl	3-Fluorophenyl
729	Methyl	2,5-Difluorophenyl
730	Propyl	Phenyl
731	Propyl	3-Chlorophenyl
732	Allyl	3-Fluorophenyl
733	Propyl	2,5-Difluorophenyl
734	Propyl	3-Fluoro-4-methylphenyl
735	Propyl	4-Methylthio phenyl
736	3-Methylbutyl	3-Fluorophenyl
737	2-Methylpropyl	2-Fluorophenyl
738	Butyl	3,4-Difluorophenyl
739	2-Methylpropyl	2,5-Difluorophenyl
740	3-Methylbutyl	1,3-Benzodioxol-5-yl
741	Butyl	4-Fluorophenyl
742	Pentyl	2-Fluorophenyl
743	2-Methylpropyl	3,4-Difluorophenyl
744	Pentyl	2,5-Difluorophenyl
745	Butyl	3-Chloro-4-fluorophenyl
746	Butyl	3-Fluorophenyl
747	2-Methylpropyl	4-Fluorophenyl
748	3-Methylbutyl	2-Fluorophenyl
749	Pentyl	3,4-Difluorophenyl
750	3-Methylbutyl	2,5-Difluorophenyl
751	2-Methylpropyl	3-Chloro-4-fluorophenyl
752	2-Methylpropyl	3-Fluorophenyl
753	3-Methylbutyl	4-Fluorophenyl
754	2-Methylpropyl	2,5-Dimethylphenyl
755	3-Methylbutyl	3,4-Difluorophenyl
756	Butyl	1,3-Benzodioxol-5-yl
757	Pentyl	3-Chloro-4-fluorophenyl
758	Pentyl	3-Fluorophenyl
759	Butyl	2-Fluorophenyl
760	3-Methylbutyl	2,5-Dimethylphenyl
761	Butyl	2,5-Difluorophenyl
762	2-Methylpropyl	1,3-Benzodioxol-5-yl
763	3-Methylbutyl	3-Chloro-4-fluorophenyl
764	Butyl	5-Chloro-2-methoxyphenyl
765	2-Methylpropyl	2,5-Dichlorophenyl
766	Pentyl	5-Methyl-2-thienyl
767	3-Methylbutyl	Phenyl
768	2-Methylpropyl	2-Methylphenyl
769	3-Methylbutyl	5-Fluoro-2-methylphenyl
770	2-Methylpropyl	5-Chloro-2-methoxyphenyl
771	Pentyl	2,5-Dichlorophenyl
772	3-Methylbutyl	5-Methyl-2-thienyl
773	Butyl	3-Methylphenyl
774	3-Methylbutyl	2-Methylphenyl
775	Butyl	3-Chlorophenyl

776	Pentyl	5-Chloro-2-methoxyphenyl
777	3-Methylbutyl	2,5-Dichlorophenyl
778	Butyl	Phenyl
779	2-Methylpropyl	3-Methylphenyl
780	2-Methylpropyl	3-Fluoro-4-methylphenyl
781	2-Methylpropyl	3-Chlorophenyl
782	3-Methylbutyl	5-Chloro-2-methoxyphenyl
783	Butyl	5-Methyl-2-thienyl
784	2-Methylpropyl	Phenyl
785	Pentyl	3-Methylphenyl
786	3-Methylbutyl	3-Fluoro-4-methylphenyl
787	Pentyl	3-Chlorophenyl
788	Butyl	2,5-Dichlorophenyl
789	2-Methylpropyl	5-Methyl-2-thienyl
790	Pentyl	Phenyl
791	3-Methylbutyl	3-Methylphenyl
792	Pentyl	5-Fluoro-2-methylphenyl
793	3-Methylbutyl	3-Chlorophenyl
794	2-Methylpropyl	4-Methylthio phenyl
795	2-Methylpropyl	3-Fluoro-4-methoxyphenyl
796	3-Methylbutyl	3-Fluoro-4-methoxyphenyl
797	2-Methylpropyl	2,4,6-Trifluorophenyl
798	Butyl	2,3,6-Trifluorophenyl
799	2-Methylpropyl	2,3,6-Trifluorophenyl
885	Methyl	2,3,6-Trifluorophenyl
886	Propyl	2,3,6-Trifluorophenyl
933	Propyl	Phenyl
934	Propyl	3-Fluorophenyl
935	Propyl	4-Fluorophenyl
936	Allyl	2-Fluorophenyl
937	Propyl	2-Fluorophenyl
1037	Butyl	3-Chlorophenyl
1038	2-Methylpropyl	3-Chlorophenyl
1039	Pentyl	3-Chlorophenyl
1040	3-Methylbutyl	3-Chlorophenyl
1041	Butyl	3,4-Difluorophenyl
1042	2-Methylpropyl	3,4-Difluorophenyl
1043	Pentyl	3,4-Difluorophenyl
1044	3-Methylbutyl	3,4-Difluorophenyl
1045	Butyl	2,3-Difluorophenyl
1046	2-Methylpropyl	2,3-Difluorophenyl
1047	Pentyl	2,3-Difluorophenyl
1048	3-Methylbutyl	2,3-Difluorophenyl
1049	Butyl	2,5-Difluorophenyl
1050	2-Methylpropyl	2,5-Difluorophenyl
1051	Pentyl	2,5-Difluorophenyl
1052	3-Methylbutyl	2,5-Difluorophenyl
1053	Butyl	2,4-Difluorophenyl
1054	2-Methylpropyl	2,4-Difluorophenyl
1055	Pentyl	2,4-Difluorophenyl
1056	3-Methylbutyl	2,4-Difluorophenyl
1057	2-Methylpropyl	4-Propylphenyl
1058	2-Methylpropyl	4-Ethoxyphenyl
1059	Butyl	1,3-Benzodioxol-5-yl

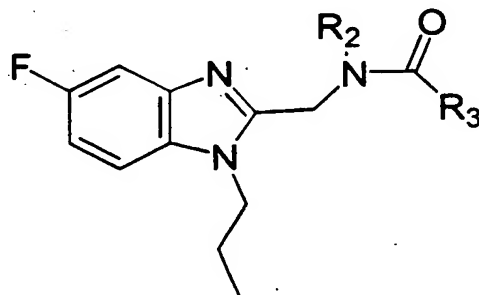
1060	2-Methylpropyl	1,3-Benzodioxol-5-yl
1061	Pentyl	1,3-Benzodioxol-5-yl
1062	3-Methylbutyl	1,3-Benzodioxol-5-yl
1063	Butyl	4-Methylthio phenyl
1064	2-Methylpropyl	4-Methylthio phenyl
1065	Butyl	3-Fluoro-4-methoxyphenyl
1066	2-Methylpropyl	3-Fluoro-4-methoxyphenyl
1067	3-Methylbutyl	3-Fluoro-4-methoxyphenyl
1068	2-Methylpropyl	4-Chloro-3-methylphenyl
1069	3-Methylbutyl	4-Chloro-3-methylphenyl
1070	Butyl	3-Chloro-4-fluorophenyl
1071	2-Methylpropyl	3-Chloro-4-fluorophenyl
1072	Pentyl	3-Chloro-4-fluorophenyl
1073	3-Methylbutyl	3-Chloro-4-fluorophenyl
1074	2-Methylpropyl	3,4,5-Trifluorophenyl
1075	3-Methylbutyl	3,4,5-Trifluorophenyl
1076	2-Methylpropyl	4-Ethylthiophenyl
1077	3-Methylbutyl	2,3,6-Trifluorophenyl
1078	Allyl	5-Chloro-2-methoxyphenyl
1079	Propyl	5-Chloro-2-methoxyphenyl
1080	Propyl	3-Trifluoromethylphenyl
1081	Allyl	2,5-Dichlorophenyl
1082	Propyl	2,5-Dichlorophenyl
1083	Methyl	3-Bromophenyl
1084	Allyl	3-Bromophenyl
1085	Propyl	3-Bromo-4-fluorophenyl
1086	Methyl	3-Iodophenyl
1087	Allyl	3-Iodophenyl
1088	Propyl	3-Iodophenyl
1089	2-Methoxy ethyl	2,5-Difluorophenyl
1090	2-Methoxy ethyl	2,5-Dichlorophenyl
1091	2-Methoxy ethyl	3-Bromophenyl
1145	2-Methylpropyl	3-Chloro-4-methoxyphenyl
1146	3-Methylbutyl	3-Chloro-4-methoxyphenyl
1147	2-Methylpropyl	5-Chloro-2-methoxyphenyl
1148	Pentyl	5-Chloro-2-methoxyphenyl
1149	3-Methylbutyl	5-Chloro-2-methoxyphenyl
1150	Pentyl	3-Trifluoromethylphenyl
1151	3-Methylbutyl	3-Trifluoromethylphenyl
1152	Butyl	2-Trifluoromethylphenyl
1153	3-Methylbutyl	2-Trifluoromethylphenyl
1154	Butyl	3,4-Dichlorophenyl
1155	2-Methylpropyl	3,4-Dichlorophenyl
1156	3-Methylbutyl	3,4-Dichlorophenyl
1157	2-Methylpropyl	2,5-Dichlorophenyl
1158	Pentyl	2,5-Dichlorophenyl
1159	3-Methylbutyl	2,5-Dichlorophenyl
1160	2-Methylpropyl	2,4-Dichlorophenyl
1161	2-Methylpropyl	3-Bromophenyl
1162	Pentyl	3-Bromophenyl



1163	3-Methylbutyl	3-Bromophenyl
1164	2-Methylpropyl	4-Bromophenyl
1165	2-Methylpropyl	2-Bromophenyl
1166	Pentyl	2-Bromophenyl
1167	3-Methylbutyl	2-Bromophenyl
1194	2-Methylpropyl	3-Phenoxyphenyl
1195	2-Methylpropyl	4-Phenoxyphenyl
1196	Butyl	3-Bromo-4-methylphenyl
1197	2-Methylpropyl	3-Bromo-4-methylphenyl
1198	Butyl	3-Bromo-4-fluorophenyl
1199	2-Methylpropyl	3-Bromo-4-fluorophenyl
1200	Pentyl	3-Bromo-4-fluorophenyl
1201	3-Methylbutyl	3-Bromo-4-fluorophenyl
1202	Butyl	3-Iodophenyl
1203	Pentyl	3-Iodophenyl
1204	3-Methylbutyl	3-Iodophenyl
1205	2-Methylpropyl	4-Iodophenyl
1206	Methyl	3-Iodophenyl
1239	Cyclopentyl	4-Methylphenyl
1240	Cyclopentyl	3-Fluoro-4-methylphenyl
1241	Cyclopropyl Methyl	5-Chloro-2-methoxyphenyl
1242	Cyclopropyl Methyl	3-Trifluoromethylphenyl
1243	Cyclopropyl Methyl	2,5-Dichlorophenyl
1244	Cyclopropyl Methyl	3-Bromophenyl
1245	Cyclopentyl	3-Methoxybenzyl
1246	Cyclopentyl	2-(2-Chlorophenyl) ethenyl
1247	Cyclopropyl Methyl	3-Bromo-4-methylphenyl
1248	Cyclopropyl Methyl	3-Bromo-4-fluorophenyl
1249	Cyclopropyl Methyl	3-Iodophenyl
1253	Cyclopentyl	3-Chloro-4-methoxyphenyl
1254	Cyclopropyl Methyl	5-Chloro-2-methoxyphenyl
1255	Cyclopentyl	2,4-Dichlorophenyl
1268	Cyclopentyl	3-Fluorobenzyl
1269	Cyclopentyl	2-(2-Trifluoromethylphenyl)ethenyl
1270	Cyclopentyl	2-(2-Bromophenyl)ethenyl
1271	Cyclopropyl Methyl	2,3,6-Trifluorophenyl
1274	Cyclopentyl	3-Chloro-4-methylphenyl
1275	Cyclopropyl Methyl	2,4,5-Trifluorophenyl
1425	Propyl	3-Fluoro-4-methylphenyl
1426	Propyl	3-Chlorophenyl
1427	Allyl	3-Bromo-4-fluorophenyl

1428	Propyl	3-Bromo-4-fluorophenyl
1429	Allyl	3-Iodophenyl
1430	Propyl	3-Iodophenyl
1431	Propyl	3-Iodo-4-methylphenyl
1433	Propyl	3,4-Difluorophenyl
1434	Propyl	2,3-Difluorophenyl
1435	Propyl	2,4-Difluorophenyl
1436	Propyl	1,3-Benzodioxol-5-yl
1437	Propyl	3-Chloro-4-fluorophenyl
1438	Propyl	5-Chloro-2-methoxyphenyl
1439	Methyl	2,5-Dichlorophenyl
1440	Allyl	2,5-Dichlorophenyl
1441	Propyl	2,5-Dichlorophenyl
1442	Propyl	2,4-Dichlorophenyl
1443	Methyl	3-Bromophenyl
1444	Allyl	3-Bromophenyl
1445	Propyl	3-Bromophenyl
1446	Propyl	5-Methyl-2-thienyl
1447	Propyl	2,6-Difluorophenyl
1977	3-Methylbutyl	4,5-Dimethyl-2-furyl
1978	3-Methylbutyl	3-Chloro-4-methylphenyl
1980	3-Methylbutyl	2,4,5-Trifluorophenyl
1982	3-Methylbutyl	2,6-Difluorophenyl
1983	3-Methylbutyl	2-Bromo-5-methoxyphenyl
1984	3-Methylbutyl	3,5-Difluorophenyl
2006	3-Methylbutyl	5-Bromo-2-thienyl
2008	3-Methylbutyl	3-Bromo-2-thienyl

### Example 13



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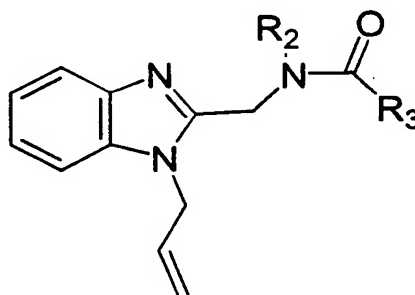
For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
800	Propyl	Phenyl
801	Methyl	3-Chlorophenyl
802	Allyl	3-Chlorophenyl
803	Propyl	3-Chlorophenyl
804	Propyl	5-Chloro-2-methoxyphenyl
805	Propyl	3-Trifluoromethylphenyl
806	Propyl	2,5-Dichlorophenyl

807	Propyl	3-Bromophenyl
808	Propyl	3-Bromo-4-fluorophenyl
809	Methyl	3-Iodophenyl
810	Allyl	3-Iodophenyl
811	Propyl	3-Iodophenyl
888	Allyl	5-Chloro-2-methoxyphenyl
931	Propyl	3-Fluorophenyl
932	Propyl	2-Fluorophenyl
1092	Propyl	3-Fluorophenyl
1093	Propyl	2-Fluorophenyl
1094	Allyl	2,5-Difluorophenyl
1095	Propyl	2,5-Difluorophenyl
1096	Propyl	1,3-Benzodioxol-5-yl
1097	Methyl	5-Chloro-2-methoxyphenyl
1098	Allyl	5-Chloro-2-methoxyphenyl
1099	Methyl	2,5-Dichlorophenyl
1168	Methyl	5-Chloro-2-methoxyphenyl
1169	Allyl	5-Chloro-2-methoxyphenyl
1170	Propyl	5-Chloro-2-methoxyphenyl
1171	Propyl	3,4-Dichlorophenyl
1172	Allyl	2,5-Dichlorophenyl
1173	Propyl	2,5-Dichlorophenyl
1174	Propyl	2,4-Dichlorophenyl
1175	Methyl	3-Bromophenyl
1176	Allyl	3-Bromophenyl
1177	Propyl	3-Bromophenyl
1178	Cyclopropyl methyl	5-Chloro-2-methoxyphenyl
1179	Cyclopropyl methyl	2,5-Dichlorophenyl
1180	Propyl	3-Bromophenyl
1181	Cyclopropyl methyl	3-Bromophenyl
1182	Pentyl	3-Bromo-4-fluorophenyl
1183	3-Methylbutyl	3-Bromo-4-fluorophenyl
1184	Pentyl	3-Iodophenyl
1185	Cyclopropyl Methyl	3-Bromo-4-fluorophenyl
1186	Cyclopropyl Methyl	3-Iodophenyl
1756	Butyl	2-Thienyl
1757	2-Methylpropyl	2-Thienyl
1758	Pentyl	2-Thienyl
1759	3-Methylbutyl	2-Thienyl
1760	Butyl	3-Thienyl
1761	2-Methylpropyl	3-Thienyl
1762	Pentyl	3-Thienyl
1763	3-Methylbutyl	3-Thienyl
1764	3-Methylbutyl	Benzyl
1765	Butyl	5-Methyl-2-thienyl
1766	2-Methylpropyl	5-Methyl-2-thienyl
1767	Pentyl	5-Methyl-2-thienyl
1768	3-Methylbutyl	5-Methyl-2-thienyl
1769	3-Methylbutyl	3-Fluorobenzyl
1770	3-Methylbutyl	4-Fluorobenzyl

1771	3-Methylbutyl	3-Methoxybenzyl
1787	3-Methylbutyl	2,3,6-Trifluorophenyl
1788	2-Methylpropyl	2,3,6-Trifluorophenyl
1789	3-Methylbutyl	2,3,6-Trifluorophenyl
1790	3-Methylbutyl	2-Chloro-6-fluorophenyl
1791	Butyl	Phenyl
1792	2-Methylpropyl	Phenyl
1793	Pentyl	Phenyl
1794	3-Methylbutyl	Phenyl
1795	Butyl	3-Methylphenyl
1796	2-Methylpropyl	3-Methylphenyl
1797	Pentyl	3-Methylphenyl
1798	3-Methylbutyl	3-Methylphenyl
1799	Butyl	4-Methylphenyl
1800	2-Methylpropyl	4-Methylphenyl
1801	Butyl	3-Fluorophenyl
1802	2-Methylpropyl	3-Fluorophenyl
1803	Pentyl	3-Fluorophenyl
1804	3-Methylbutyl	3-Fluorophenyl
1805	Butyl	4-Fluorophenyl
1806	3-Methylbutyl	4-Fluorophenyl
1807	Butyl	2-Fluorophenyl
1808	2-Methylpropyl	2-Fluorophenyl
1809	Pentyl	2-Fluorophenyl
1810	3-Methylbutyl	2-Fluorophenyl
1811	2-Methylpropyl	4-Ethylphenyl
1812	2-Methylpropyl	3,4-Dimethylphenyl
1813	3-Methylbutyl	3-Methoxyphenyl
1814	2-Methylpropyl	3-Fluoro-4-methylphenyl
1815	3-Methylbutyl	3-Fluoro-4-methylphenyl
1816	2-Methylpropyl	5-Fluoro-2-methylphenyl
1817	Pentyl	5-Fluoro-2-methylphenyl
1818	3-Methylbutyl	5-Fluoro-2-methylphenyl
1857	Butyl	2,5-Dichlorophenyl
1858	2-Methylpropyl	2,5-Dichlorophenyl
1859	Pentyl	2,5-Dichlorophenyl
1860	3-Methylbutyl	2,5-Dichlorophenyl
1861	2-Methylpropyl	4-Pentylphenyl
1862	Butyl	3-Bromophenyl
1863	2-Methylpropyl	3-Bromophenyl
1864	Pentyl	3-Bromophenyl
1865	3-Methylbutyl	3-Bromophenyl
1866	2-Methylpropyl	4-Bromophenyl
1922	Butyl	3,4-Dimethylphenyl
1923	2-Methylpropyl	3-Iodo-4-methylphenyl
1924	3-Methylbutyl	3-Iodo-4-methylphenyl
1986	Butyl	4,5-Dimethyl-2-furyl
1987	2-Methylpropyl	4,5-Dimethyl-2-furyl
1988	3-Methylbutyl	4,5-Dimethyl-2-furyl
1989	3-Methylbutyl	4-Methoxy-3-thienyl
1990	Butyl	3-Chloro-2-thienyl
1991	2-Methylpropyl	3-Chloro-2-thienyl
1992	Pentyl	3-Chloro-2-thienyl
1993	3-Methylbutyl	3-Chloro-2-thienyl
1994	2-Methylpropyl	3-Chloro-4-methylphenyl

1995	3-Methylbutyl	3-Chloro-4-methylphenyl
1996	3-Methylbutyl	2,4,5-Trifluorophenyl
1997	Pentyl	2,6-Difluorophenyl
1998	3-Methylbutyl	2,6-Difluorophenyl
1999	Pentyl	2-Bromo-5-methoxyphenyl
2000	3-Methylbutyl	2-Bromo-5-methoxyphenyl
2001	3-Methylbutyl	3,5-Difluorophenyl
2002	2-Methylpropyl	5-Bromo-2-thienyl
2003	3-Methylbutyl	5-Bromo-2-thienyl
2009	Butyl	5-Ethyl-2-thienyl
2010	2-Methylpropyl	5-Ethyl-2-thienyl
2011	3-Methylbutyl	5-Ethyl-2-thienyl
2012	2-Methylpropyl	5-Propyl-2-thienyl
2013	2-Methylpropyl	5-Butyl-2-thienyl
2014	2-Methylpropyl	5-Pentyl-2-thienyl
2015	2-Methylpropyl	5-Hexyl-2-thienyl

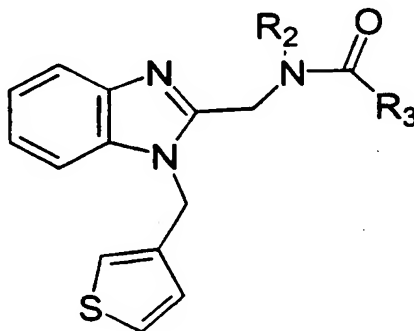
Example 14

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For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
889	Methyl	2,5-Difluorophenyl
890	Methyl	2,5-Dichlorophenyl
891	Propyl	3-Bromophenyl
892	Methyl	3-Iodophenyl
893	Allyl	3-Iodophenyl
894	Propyl	3-Iodophenyl
1126	Propyl	2,5-Dichlorophenyl
1127	Methyl	3-Bromophenyl
1128	Allyl	3-Bromophenyl
1432	Propyl	3-Bromo-4-fluorophenyl
1517	2-Methylpropyl	3-Fluorophenyl
1518	2-Methylpropyl	3,4-Dimethylphenyl
1519	2-Methylpropyl	3-Methoxyphenyl
1520	2-Methylpropyl	3-Fluoro-4-methylphenyl
1521	Cyclopentyl	3-Fluoro-4-methylphenyl
1522	2-Methylpropyl	5-Fluoro-2-methylphenyl
1523	2-Methylpropyl	2-Fluoro-3-methylphenyl
1524	2-Methylpropyl	3-Chlorophenyl

1525	2-Methylpropyl	4-Chlorophenyl
1567	2-Methylpropyl	1,3-Benzodioxol-5-yl
1568	Cyclopentyl	4-Methoxyphenyl
1569	Cyclopentyl	4-Butylphenyl
1570	3-Methylbutyl	3-Chloro-4-methoxyphenyl
1571	Cyclopentyl	3-Chloro-4-methoxyphenyl
1572	2-Methylpropyl	3,4-Dichlorophenyl
1573	3-Methylbutyl	2,5-Dichlorophenyl
1574	Cyclopentyl	2,4-Dichlorophenyl
1575	Cyclopentyl	4-Pentylphenyl
1576	3-Methylbutyl	3-Bromophenyl
1619	2-Methylpropyl	4-Hexylphenyl
1620	Cyclopentyl	4-Hexylphenyl
1621	2-Methylpropyl	3-Bromo-4-methylphenyl
1622	2-Methylpropyl	3-Bromo-4-fluorophenyl
1623	3-Methylbutyl	3-Bromo-4-fluorophenyl
1624	2-Methylpropyl	3-Iodophenyl
1625	3-Methylbutyl	3-Iodophenyl
1653	2-Methylpropyl	3-Iodo-4-methylphenyl
1654	3-Methylbutyl	2-Thienyl
1655	3-Methylbutyl	Benzyl
1656	2-Methylpropyl	5-Methyl-2-thienyl
1657	3-Methylbutyl	5-Methyl-2-thienyl
1658	3-Methylbutyl	3-Fluorobenzyl
1659	Cyclopentyl	3-Fluorobenzyl
1678	Cyclopentyl	2-Chlorobenzyl
1682	2-Methylpropyl	2-(2-Chlorophenyl) ethenyl
1683	Cyclopentyl	2-(2-Chlorophenyl) ethenyl
1701	2-Methylpropyl	2,3,6-Trifluorophenyl
1702	2-Methylpropyl	4,5-Dimethyl-2-furyl

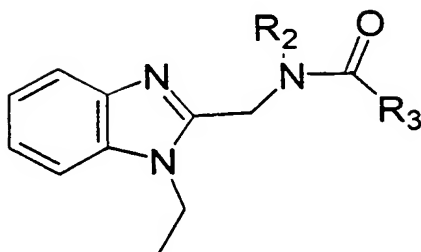
Example 15

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For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
895	Propyl	5-Bromo-2-thienyl

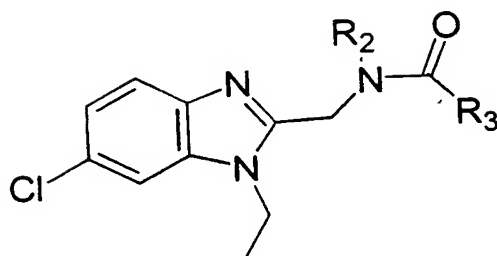
993	Propyl	1,3-Benzodioxol-5-yl
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Example 16

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
896	Propyl	3-Bromo-4-fluorophenyl
897	Allyl	3-Iodophenyl
898	Propyl	3-Iodophenyl
899	Propyl	3-Iodo-4-methylphenyl
900	Methyl	2-Thienyl
901	Methyl	5-Methyl-2-thienyl
923	Propyl	3-Methylphenyl
1117	Propyl	5-Chloro-2-methoxyphenyl
1118	Propyl	2,5-Dichlorophenyl
1119	Propyl	3-Bromophenyl
1979	3-Methylbutyl	3-Chloro-4-methylphenyl
1981	3-Methylbutyl	2,4,5-Trifluorophenyl
1985	3-Methylbutyl	3,5-Difluorophenyl
2007	3-Methylbutyl	5-Bromo-2-thienyl
2386	2-(2-Fluorophenyl)ethyl	2,5-Dichlorophenyl
2387	2-(2-Fluorophenyl)ethyl	3-Bromophenyl
2388	2-(2-Fluorophenyl)ethyl	3-Iodophenyl

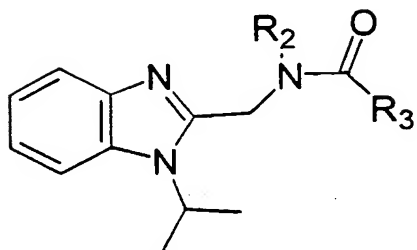


Example 17

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
902	Allyl	3-Bromo-4-methylphenyl
903	Propyl	3-Bromo-4-methylphenyl
904	Allyl	3-Bromo-4-fluorophenyl
905	Propyl	3-Bromo-4-fluorophenyl
906	Methyl	3-Iodophenyl
907	Allyl	3-Iodophenyl
908	Propyl	3-Iodophenyl
909	Propyl	3-Iodo-4-methylphenyl
910	Methyl	2-Thienyl
911	Methyl	3-Thienyl
912	Methyl	3-Methyl-2-thienyl
913	Propyl	5-Methyl-2-thienyl
914	Propyl	Phenyl
915	Methyl	3-Methylphenyl
916	Propyl	3-Fluorophenyl
917	Propyl	2-Fluorophenyl
918	Methyl	5-Fluoro-2-methylphenyl
919	Allyl	5-Fluoro-2-methylphenyl
920	Methyl	3-Chlorophenyl
921	Propyl	3-Chlorophenyl
976	Propyl	2-Chlorophenyl
977	Allyl	3,4-Difluorophenyl
978	Propyl	3,4-Difluorophenyl
979	Methyl	2,3-Difluorophenyl
980	Allyl	2,3-Difluorophenyl
981	Propyl	2,3-Difluorophenyl
982	Methyl	2,5-Difluorophenyl
983	Allyl	2,5-Difluorophenyl
984	Propyl	2,5-Difluorophenyl
985	Propyl	2,4-Difluorophenyl
986	Propyl	1,3-Benzodioxol-5-yl
987	Allyl	3-Chloro-4-fluorophenyl
988	Propyl	3-Chloro-4-fluorophenyl
1120	Allyl	5-Chloro-2-methoxyphenyl
1121	Propyl	5-Chloro-2-methoxyphenyl
1122	Allyl	2,5-Dichlorophenyl
1123	Propyl	2,5-Dichlorophenyl
1124	Allyl	3-Bromophenyl

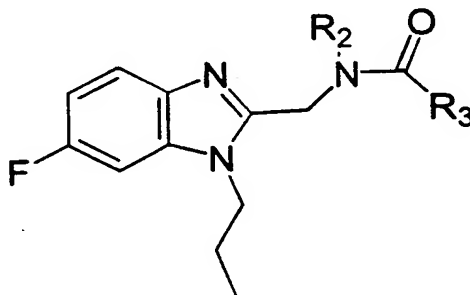
1125	Propyl	3-Bromophenyl
1516	Methyl	5-Ethoxy-2-thienyl
1706	2-Methylpropyl	2,4,6-Trifluorophenyl
1707	2-Methylpropyl	2,3,6-Trifluorophenyl
1708	3-Methylbutyl	2,3,6-Trifluorophenyl
1709	2-Methylpropyl	4,5-Dimethyl-2-furyl
1710	3-Methylbutyl	4,5-Dimethyl-2-furyl
1711	2-Methylpropyl	3-Chloro-2-thienyl
1712	3-Methylbutyl	3-Chloro-2-thienyl
1713	2-Methylpropyl	5-Methylthio-2-thienyl
1719	2-Methylpropyl	3-Chlorophenyl
1720	3-Methylbutyl	2,4,5-Trifluorophenyl
1725	2-Methylpropyl	2,6-Difluorophenyl
1727	3-Methylbutyl	Phenyl
1728	2-Methylpropyl	3-Methylphenyl
1729	3-Methylbutyl	3-Methylphenyl
1730	2-Methylpropyl	4-Methylphenyl
1731	3-Methylbutyl	4-Methylphenyl
1732	2-Methylpropyl	2-Methylphenyl
1733	3-Methylbutyl	2-Methylphenyl
1734	2-Methylpropyl	3-Fluorophenyl
1735	3-Methylbutyl	3-Fluorophenyl
1736	2-Methylpropyl	3-Fluorophenyl
1737	3-Methylbutyl	4-Fluorophenyl
1738	2-Methylpropyl	2-Fluorophenyl
1739	3-Methylbutyl	2-Fluorophenyl
1740	2-Methylpropyl	4-Ethylphenyl
1741	2-Methylpropyl	3,4-Dimethylphenyl
1742	2-Methylpropyl	3-Fluoro-4-methylphenyl
1743	Cyclopentyl	3-Fluoro-4-methylphenyl
1744	2-Methylpropyl	4-Chlorophenyl
1745	Cyclopentyl	4-Methoxyphenyl
1746	3-Methylbutyl	3-Chloro-4-fluorophenyl
1747	3-Methylbutyl	2-Thienyl

Example 18

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
812	Methyl	2,5-Difluorophenyl
813	Propyl	2,5-Dichlorophenyl
814	Propyl	3-Iodophenyl

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Example 19

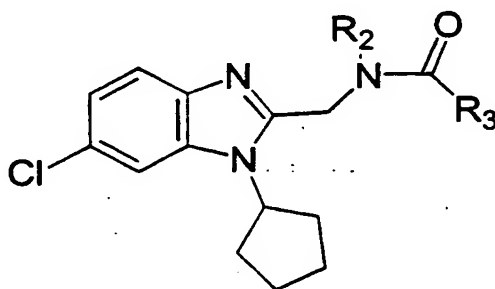
10 For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
818	Propyl	3-Fluorophenyl
819	Propyl	2-Fluorophenyl
820	Propyl	3,4-Difluorophenyl
821	Methyl	2,5-Difluorophenyl
822	Allyl	2,5-Difluorophenyl
823	Propyl	2,5-Difluorophenyl
824	Propyl	1,3-Benzodioxol-5-yl
825	Propyl	3-Chloro-4-fluorophenyl
826	Methyl	5-Chloro-2-methoxyphenyl
827	Ethyl	5-Chloro-2-methoxyphenyl
828	Allyl	5-Chloro-2-methoxyphenyl
829	Propyl	5-Chloro-2-methoxyphenyl
830	Methyl	2,5-Dichlorophenyl
831	Allyl	2,5-Dichlorophenyl

832	Propyl	2,5-Dichlorophenyl
833	Propyl	Phenyl
834	Propyl	3-Fluoro-4-methylphenyl
835	Propyl	5-Fluoro-2-methylphenyl
836	Methyl	3-Chlorophenyl
837	Allyl	3-Chlorophenyl
838	Propyl	3-Chlorophenyl
842	Methyl	5-Chloro-2-methoxyphenyl
843	Ethyl	5-Chloro-2-methoxyphenyl
844	Allyl	5-Chloro-2-methoxyphenyl
845	Propyl	5-Chloro-2-methoxyphenyl
846	Methyl	3-Trifluorophenyl
847	Propyl	3-Trifluorophenyl
848	Methyl	2,5-Dichlorophenyl
849	Allyl	2,5-Dichlorophenyl
850	Propyl	2,5-Dichlorophenyl
851	Methyl	3-Bromophenyl
852	Allyl	3-Bromophenyl
853	Propyl	3-Bromophenyl
854	Propyl	3-Bromo-4-fluorophenyl
855	Methyl	3-Iodophenyl
856	Allyl	3-Iodophenyl
857	Propyl	3-Iodophenyl
859	Allyl	2-Fluorophenyl
860	Propyl	2-Fluorophenyl
861	Propyl	2-Chlorophenyl
862	Propyl	3,4-Difluorophenyl
863	Propyl	2,3-Difluorophenyl
864	Methyl	2,5-Difluorophenyl
865	Propyl	4-Methylthio phenyl
866	Propyl	3-Fluoro-4-methoxyphenyl
867	Propyl	4-Chloro-3-methylphenyl
868	Methyl	3-Chloro-4-fluorophenyl
869	Allyl	3-Chloro-4-fluorophenyl
870	Propyl	3-Chloro-4-fluorophenyl
871	Propyl	3,4,5-Trifluorophenyl
872	Propyl	4-Butylphenyl
873	Propyl	4-Methylthio phenyl
1772	Butyl	2-Thienyl
1773	2-Methylpropyl	2-Thienyl
1774	Pentyl	2-Thienyl
1775	3-Methylbutyl	2-Thienyl
1776	Butyl	3-Thienyl
1777	2-Methylpropyl	3-Thienyl
1778	Pentyl	3-Thienyl
1779	3-Methylbutyl	3-Thienyl
1780	3-Methylbutyl	Benzyl
1781	Butyl	5-Methyl-2-thienyl
1782	2-Methylpropyl	5-Methyl-2-thienyl
1783	Pentyl	5-Methyl-2-thienyl
1784	3-Methylbutyl	5-Methyl-2-thienyl
1785	3-Methylbutyl	3-Fluorobenzyl
1786	3-Methylbutyl	3-Methoxybenzyl

1819	Butyl	Phenyl
1820	2-Methylpropyl	Phenyl
1821	Pentyl	Phenyl
1822	3-Methylbutyl	Phenyl
1823	Butyl	3-Methylphenyl
1824	2-Methylpropyl	3-Methylphenyl
1825	Pentyl	3-Methylphenyl
1826	3-Methylbutyl	3-Methylphenyl
1827	Butyl	4-Methylphenyl
1828	2-Methylpropyl	4-Methylphenyl
1829	3-Methylbutyl	4-Methylphenyl
1830	Butyl	3-Fluorophenyl
1831	2-Methylpropyl	3-Fluorophenyl
1832	Pentyl	3-Fluorophenyl
1833	3-Methylbutyl	3-Fluorophenyl
1834	Butyl	4-Fluorophenyl
1835	2-Methylpropyl	4-Fluorophenyl
1836	Pentyl	4-Fluorophenyl
1837	3-Methylbutyl	4-Fluorophenyl
1838	Butyl	2-Fluorophenyl
1839	2-Methylpropyl	2-Fluorophenyl
1840	Pentyl	2-Fluorophenyl
1841	3-Methylbutyl	2-Fluorophenyl
1842	2-Methylpropyl	4-Ethylphenyl
1843	2-Methylpropyl	3,4-Dimethylphenyl
1844	3-Methylbutyl	2,5-Dimethylphenyl
1845	2-Methylpropyl	2,4-Dimethylphenyl
1846	2-Methylpropyl	3-Methoxyphenyl
1847	3-Methylbutyl	3-Methoxyphenyl
1848	3-Methylbutyl	2-Methoxyphenyl
1849	2-Methylpropyl	3-Fluoro-4-methylphenyl
1850	3-Methylbutyl	3-Fluoro-4-methylphenyl
1851	Butyl	5-Fluoro-2-methylphenyl
1852	2-Methylpropyl	5-Fluoro-2-methylphenyl
1853	Pentyl	5-Fluoro-2-methylphenyl
1854	3-Methylbutyl	5-Fluoro-2-methylphenyl
1855	2-Methylpropyl	4-Chlorophenyl
1856	3-Methylbutyl	4-Chlorophenyl
1867	2-Methylpropyl	2,5-Dichlorophenyl
1868	Pentyl	2,5-Dichlorophenyl
1869	3-Methylbutyl	2,5-Dichlorophenyl
1870	2-Methylpropyl	4-Pentylphenyl
1871	2-Methylpropyl	3-Bromophenyl
1872	Pentyl	3-Bromophenyl
1873	3-Methylbutyl	3-Bromophenyl
1925	2-Methylpropyl	3-Iodo-4-methylphenyl
1926	3-Methylbutyl	3-Iodo-4-methylphenyl
1928	Butyl	2-Chlorophenyl
1929	2-Methylpropyl	2-Chlorophenyl
1930	Pentyl	2-Chlorophenyl
1931	Butyl	3,4-Difluorophenyl
1932	2-Methylpropyl	3,4-Difluorophenyl
1933	Pentyl	3,4-Difluorophenyl
1934	3-Methylbutyl	3,4-Difluorophenyl
1935	Butyl	2,3-Difluorophenyl

1936	2-Methylpropyl	2,3-Difluorophenyl
1937	Pentyl	2,3-Difluorophenyl
1938	3-Methylbutyl	2,3-Difluorophenyl
1939	Butyl	2,5-Difluorophenyl
1940	2-Methylpropyl	2,5-Difluorophenyl
1941	Pentyl	2,5-Difluorophenyl
1942	3-Methylbutyl	2,5-Difluorophenyl
1943	Butyl	2,4-Difluorophenyl
1944	2-Methylpropyl	2,4-Difluorophenyl
1945	Pentyl	2,4-Difluorophenyl
1946	3-Methylbutyl	2,4-Difluorophenyl
1947	2-Methylpropyl	4-Propylphenyl
1948	2-Methylpropyl	4-1-Propylphenyl
1949	Butyl	1,3-Benzodioxol-5-yl
1950	2-Methylpropyl	1,3-Benzodioxol-5-yl
1951	Pentyl	1,3-Benzodioxol-5-yl
1952	3-Methylbutyl	1,3-Benzodioxol-5-yl
1953	Butyl	3-Bromo-4-methylphenyl
1954	2-Methylpropyl	3-Bromo-4-methylphenyl
1955	Pentyl	3-Bromo-4-methylphenyl
1956	3-Methylbutyl	3-Bromo-4-methylphenyl
1957	2-Methylpropyl	4-Heptylphenyl
1958	Butyl	3-Iodophenyl
1959	2-Methylpropyl	3-Iodophenyl
1960	Pentyl	3-Iodophenyl
1961	3-Methylbutyl	3-Iodophenyl
1962	2-Methylpropyl	4-Iodophenyl
2016	Butyl	5-Ethyl-2-thienyl
2017	2-Methylpropyl	5-Ethyl-2-thienyl
2018	3-Methylbutyl	5-Ethyl-2-thienyl
2019	2-Methylpropyl	5-Propyl-2-thienyl

Example 20

5

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

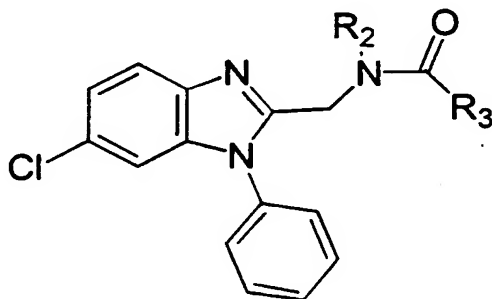
Compound No.	$R_2$	$R_3$
874	Methyl	3-Fluorophenyl
875	Allyl	3-Fluorophenyl

876	Propyl	3-Fluorophenyl
877	Propyl	4-Fluorophenyl
878	Methyl	3-Chloro-4-methylphenyl
879	Allyl	3-Chloro-4-methylphenyl
880	Propyl	3-Chloro-4-methylphenyl
881	Allyl	5-Bromo-2-thienyl
882	Propyl	5-Bromo-2-thienyl
883	Propyl	3-Fluoro-4-methylphenyl
884	Propyl	5-Fluoro-2-methylphenyl
922	Propyl	3-Methoxyphenyl
1450	Propyl	3-Bromo-4-methylphenyl
1451	Allyl	3-Bromo-4-fluorophenyl
1452	Propyl	3-Bromo-4-fluorophenyl
1453	Allyl	3-Iodophenyl
1454	Propyl	3-Iodophenyl
1455	Allyl	5-Chloro-2-methoxyphenyl
1456	Propyl	5-Chloro-2-methoxyphenyl
1457	Propyl	3,4-Dichlorophenyl
1458	Ethyl	2,5-Dichlorophenyl
1459	Allyl	2,5-Dichlorophenyl
1460	Propyl	2,5-Dichlorophenyl
1461	Propyl	2,4-Dichlorophenyl
1462	Ethyl	3-Bromophenyl
1463	Allyl	3-Bromophenyl
1464	Propyl	3-Bromophenyl
1465	Propyl	5-Methyl-2-thienyl
1466	Propyl	4-Chloro-3-methylphenyl
1467	Propyl	3-Chloro-4-fluorophenyl
1526	2-Methylpropyl	Phenyl
1527	3-Methylbutyl	Phenyl
1528	2-Methylpropyl	3-Methylphenyl
1529	3-Methylbutyl	3-Methylphenyl
1530	2-Methylpropyl	4-Methylphenyl
1531	Cyclopentyl	4-Methylphenyl
1532	2-Methylpropyl	2-Methylphenyl
1533	3-Methylbutyl	2-Methylphenyl
1534	2-Methylpropyl	3-Fluorophenyl
1535	3-Methylbutyl	3-Fluorophenyl
1536	2-Methylpropyl	4-Fluorophenyl
1537	3-Methylbutyl	4-Fluorophenyl
1538	2-Methylpropyl	2-Fluorophenyl
1539	Cyclopentyl	2-Fluorophenyl
1540	2-Methylpropyl	4-Ethylphenyl
1541	2-Methylpropyl	3,4-Dimethylphenyl
1542	2-Methylpropyl	2,3-Dimethylphenyl
1543	2-Methylpropyl	2,5-Dimethylphenyl
1544	3-Methylbutyl	2,5-Dimethylphenyl
1545	2-Methylpropyl	2,4-Dimethylphenyl
1546	3-Methylbutyl	2,4-Dimethylphenyl
1547	Cyclopentyl	2,4-Dimethylphenyl
1548	2-Methylpropyl	3-Methoxyphenyl
1549	3-Methylbutyl	3-Methoxyphenyl
1550	2-Methylpropyl	4-Methoxyphenyl
1551	3-Methylbutyl	4-Methoxyphenyl
1552	Cyclopentyl	4-Methoxyphenyl

1553	2-Methylpropyl	2-Methoxyphenyl
1554	3-Methylbutyl	2-Methoxyphenyl
1555	2-Methylpropyl	3-Fluoro-4-methylphenyl
1556	Cyclopentyl	3-Fluoro-4-methylphenyl
1557	2-Methylpropyl	3-Fluoro-2-methylphenyl
1558	3-Methylbutyl	3-Fluoro-2-methylphenyl
1559	2-Methylpropyl	5-Fluoro-2-methylphenyl
1560	3-Methylbutyl	5-Fluoro-2-methylphenyl
1561	2-Methylpropyl	2-Fluoro-3-methylphenyl
1562	2-Methylpropyl	3-Chlorophenyl
1563	3-Methylbutyl	3-Chlorophenyl
1564	Cyclopentyl	3-Chlorophenyl
1565	2-Methylpropyl	4-Chlorophenyl
1566	Cyclopentyl	4-Chlorophenyl
1577	3-Methylbutyl	2-Chlorophenyl
1578	Cyclopentyl	2-Chlorophenyl
1579	2-Methylpropyl	3,4-Difluorophenyl
1580	3-Methylbutyl	3,4-Difluorophenyl
1581	2-Methylpropyl	2,3-Difluorophenyl
1582	3-Methylbutyl	2,3-Difluorophenyl
1583	Cyclopentyl	2,3-Difluorophenyl
1584	2-Methylpropyl	2,5-Difluorophenyl
1585	3-Methylbutyl	2,5-Difluorophenyl
1586	2-Methylpropyl	2,4-Difluorophenyl
1587	3-Methylbutyl	2,4-Difluorophenyl
1588	Cyclopentyl	2,4-Difluorophenyl
1589	2-Methylpropyl	1,3-Benzodioxol-5-yl
1590	3-Methylbutyl	1,3-Benzodioxol-5-yl
1591	Cyclopentyl	1,3-Benzodioxol-5-yl
1592	2-Methylpropyl	4-Methylthio phenyl
1593	Cyclopentyl	4-Methylthio phenyl
1594	Cyclopentyl	3-Fluoro-4-methoxy
1595	Cyclopentyl	4-Butylphenyl
1596	Cyclopentyl	4-Ethylthiophenyl
1597	2-Methylpropyl	3-Chloro-4-methoxyphenyl
1598	3-Methylbutyl	3-Chloro-4-methoxyphenyl
1599	Cyclopentyl	3-Chloro-4-methoxyphenyl
1600	2-Methylpropyl	2-Trifluoromethylphenyl
1601	3-Methylbutyl	2-Trifluoromethylphenyl
1602	2-Methylpropyl	3,4-Dichlorophenyl
1603	3-Methylbutyl	3,4-Dichlorophenyl
1604	2-Methylpropyl	2,3-Dichlorophenyl
1605	2-Methylpropyl	2,5-Dichlorophenyl
1606	3-Methylbutyl	2,5-Dichlorophenyl
1607	2-Methylpropyl	2,4-Dichlorophenyl
1608	Cyclopentyl	2,4-Dichlorophenyl
1609	2-Methylpropyl	3-Bromophenyl
1610	3-Methylbutyl	3-Bromophenyl
1611	Cyclopentyl	3-Bromophenyl
1612	2-Methylpropyl	4-Bromophenyl
1613	Cyclopentyl	4-Bromophenyl
1614	2-Methylpropyl	2-Bromophenyl
1615	3-Methylbutyl	2-Bromophenyl



1626	2-Methylpropyl	3-Bromo-4-methylphenyl
1627	3-Methylbutyl	3-Bromo-4-methylphenyl
1628	Cyclopentyl	3-Bromo-4-methylphenyl
1629	2-Methylpropyl	3-Bromo-4-fluorophenyl
1630	3-Methylbutyl	3-Bromo-4-fluorophenyl
1631	2-Methylpropyl	3-Iodophenyl
1632	3-Methylbutyl	3-Iodophenyl
1633	2-Methylpropyl	4-Iodophenyl
1660	2-Methylpropyl	3-Iodo-4-methylphenyl
1661	2-Methylpropyl	4-Iodobenzyl
1662	2-Methylpropyl	2-Thienyl
1663	3-Methylbutyl	2-Thienyl
1664	2-Methylpropyl	Benzyl
1665	3-Methylbutyl	Benzyl
1666	Cyclopentyl	Benzyl
1667	2-Methylpropyl	5-Methyl-2-thienyl
1668	3-Methylbutyl	5-Methyl-2-thienyl
1669	Cyclopentyl	5-Methyl-2-thienyl
1670	Cyclopentyl	3-Methylbenzyl
1671	2-Methylpropyl	3-Fluorobenzyl
1672	3-Methylbutyl	3-Fluorobenzyl
1673	Cyclopentyl	3-Fluorobenzyl
1679	3-Methylbutyl	2-Methoxybenzyl
1680	Cyclopentyl	1-(4-Fluorophenyl) ethyl
1681	Cyclopentyl	2-Chlorobenzyl
1684	Cyclopentyl	2-(2-Chlorophenyl) ethenyl
1703	2-Methylpropyl	2,4,6-Trifluorophenyl
1704	2-Methylpropyl	2,3,6-Trifluorophenyl
1705	2-Methylpropyl	2-Chloro-6-fluorophenyl
1714	2-Methylpropyl	3-Chloro-4-methylphenyl

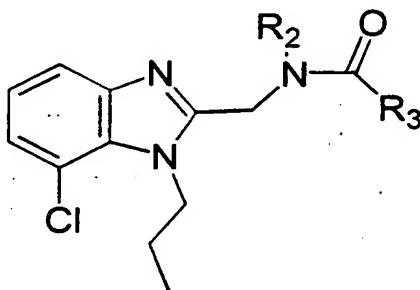
Example 21

5

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

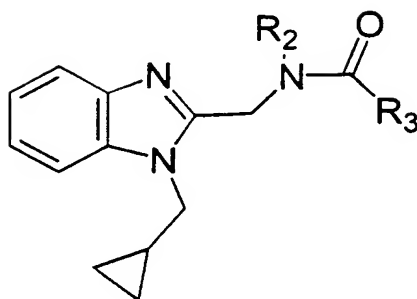
Compound No.	$R_2$	$R_3$
923	Propyl	Phenyl
924	Propyl	3-Methylphenyl

925	Propyl	4-Methylphenyl
926	Propyl	3-Fluorophenyl
927	Methyl	2-Fluorophenyl
928	Allyl	2-Fluorophenyl
929	Propyl	2-Fluorophenyl
1000	Methyl	2,3-Difluorophenyl
1001	Methyl	2,5-Difluorophenyl
1129	Ethyl	5-Chloro-2-methoxyphenyl
2307	3-Methylbutyl	2,3,6-Trifluorophenyl

Example 22

- 5 For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

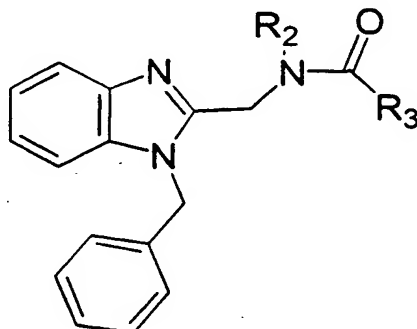
Compound No.	$R_2$	$R_3$
955	Methyl	Phenyl
956	Propyl	Phenyl
957	Methyl	3-Methylphenyl
958	Propyl	3-Methylphenyl
959	Methyl	3-Fluorophenyl
960	Propyl	3-Fluorophenyl
961	Methyl	2-Fluorophenyl
962	Allyl	2-Fluorophenyl
963	Propyl	2-Fluorophenyl
964	Methyl	5-Fluoro-2-methylphenyl
965	Methyl	3-Chlorophenyl
966	Propyl	3-Chlorophenyl
989	Propyl	3-Chloro-4-fluorophenyl
994	Methyl	2-Thienyl
995	Propyl	2-Thienyl
996	Methyl	3-Thienyl
997	Methyl	3-Methyl-2-thienyl
998	Methyl	5-Methyl-2-thienyl
999	Propyl	5-Methyl-2-thienyl
1100	Propyl	5-Chloro-2-methoxyphenyl
1101	Methyl	3-Bromophenyl
1102	Propyl	3-Bromophenyl

Example 23

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
967	Propyl	Phenyl
968	Propyl	3-Methylphenyl
969	Propyl	4-Methylphenyl
970	Propyl	3-Fluorophenyl
971	Propyl	2-Fluorophenyl
972	Propyl	5-Fluoro-2-methylphenyl
973	Ethyl	3-Chlorophenyl
974	Allyl	3-Chlorophenyl
975	Propyl	3-Chlorophenyl
990	Propyl	1,3-Benzodioxol-5-yl
991	Allyl	3-Chloro-4-fluorophenyl
992	Propyl	3-Chloro-4-fluorophenyl
1103	Propyl	5-Chloro-2-methoxyphenyl
1104	Propyl	3-Trifluoromethylphenyl
1105	Propyl	3,4-Dichlorophenyl
1106	Allyl	2,5-Dichlorophenyl
1107	Allyl	3-Bromophenyl
1108	Propyl	3-Bromophenyl
1187	Propyl	3-Bromo-4-methylphenyl
1188	Methyl	3-Bromo-4-fluorophenyl
1189	Allyl	3-Bromo-4-fluorophenyl
1190	Propyl	3-Bromo-4-fluorophenyl
1191	Methyl	3-Iodophenyl
1192	Allyl	3-Iodophenyl
1193	Propyl	3-Iodophenyl
1207	Propyl	3-Bromo-4-fluorophenyl
1208	Methyl	3-Bromo-4-fluorophenyl
1209	Allyl	3-Bromo-4-fluorophenyl
1210	Propyl	3-Bromo-4-fluorophenyl
1211	Methyl	3-Iodophenyl
1212	Ethyl	3-Iodophenyl
1213	Allyl	3-Iodophenyl
1214	Propyl	3-Iodophenyl
1215	Propyl	3-Iodo-4-methylphenyl
1216	Methyl	2-Thienyl
1217	Propyl	2-Thienyl
1218	Allyl	5-Methyl-2-thienyl

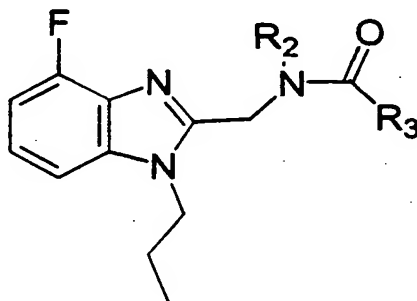
1219	Propyl	5-Methyl-2-thienyl
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Example 24

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For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
1220	2-Methylpropyl	Phenyl
1221	2-Methylpropyl	3-Methylphenyl
1222	2-Methylpropyl	4-Methylphenyl
1223	2-Methylpropyl	2-Fluorophenyl
1224	2-Methylpropyl	4-Ethylphenyl
1225	2-Methylpropyl	3,4-Dimethylphenyl
1227	2-Methylpropyl	2,5-Difluorophenyl
1228	2-Methylpropyl	2,4-Difluorophenyl
1229	2-Methylpropyl	1,3-Benzodioxol-5-yl
1230	2-Methylpropyl	4-Bromophenyl
1251	2-Methylpropyl	3-Bromo-4-methylphenyl
1272	2-Methylpropyl	3-Chloro-4-methylphenyl
1273	2-Methylpropyl	2,4,5-Trifluorophenyl

Example 25

10

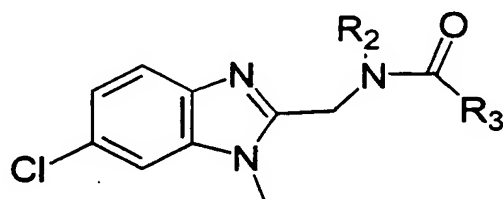
For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
1226	Propyl	2-Fluorophenyl

1231	Allyl	5-Chloro-2-methoxyphenyl
1232	Propyl	5-Chloro-2-methoxyphenyl
1233	Methyl	2,5-Dichlorophenyl
1234	Allyl	2,5-Dichlorophenyl
1235	Propyl	2,5-Dichlorophenyl
1236	Methyl	3-Bromophenyl
1237	Allyl	3-Bromophenyl
1238	Propyl	3-Bromophenyl
1252	Propyl	3-Iodophenyl
1748	2-Methylpropyl	2,3,6-Trifluorophenyl
1749	3-Methylbutyl	2,3,6-Trifluorophenyl
1750	2-Methylpropyl	3-Chloro-4-phenyl
1751	3-Methylbutyl	3-Chloro-4-phenyl
1752	2-Methylpropyl	2,4,5-Trifluorophenyl
1753	3-Methylbutyl	2,4,5-Trifluorophenyl
1754	2-Methylpropyl	2,6-Difluorophenyl
1755	3-Methylbutyl	2,6-Difluorophenyl
1881	Butyl	Phenyl
1882	2-Methylpropyl	Phenyl
1883	Pentyl	Phenyl
1884	3-Methylbutyl	Phenyl
1885	Butyl	3-Methylphenyl
1886	2-Methylpropyl	3-Methylphenyl
1887	Pentyl	3-Methylphenyl
1888	3-Methylbutyl	3-Methylphenyl
1889	2-Methylpropyl	4-Methylphenyl
1890	3-Methylbutyl	4-Methylphenyl
1891	Butyl	3-Fluorophenyl
1892	2-Methylpropyl	3-Fluorophenyl
1893	Pentyl	3-Fluorophenyl
1894	3-Methylbutyl	3-Fluorophenyl
1895	2-Methylpropyl	4-Fluorophenyl
1896	3-Methylbutyl	4-Fluorophenyl
1897	Butyl	2-Fluorophenyl
1898	2-Methylpropyl	2-Fluorophenyl
1899	Pentyl	2-Fluorophenyl
1900	3-Methylbutyl	2-Fluorophenyl
1901	2-Methylpropyl	3,4-Dimethylphenyl
1902	Butyl	2-Chlorophenyl
1903	2-Methylpropyl	2-Chlorophenyl
1904	Pentyl	2-Chlorophenyl
1905	3-Methylbutyl	2-Chlorophenyl
1906	Butyl	3,4-Difluorophenyl
1907	2-Methylpropyl	3,4-Difluorophenyl
1908	Pentyl	3,4-Difluorophenyl
1909	3-Methylbutyl	3,4-Difluorophenyl
1910	Butyl	2,3-Difluorophenyl
1911	2-Methylpropyl	2,3-Difluorophenyl
1912	Pentyl	2,3-Difluorophenyl
1913	3-Methylbutyl	2,3-Difluorophenyl
1914	Butyl	2,5-Difluorophenyl
1915	2-Methylpropyl	2,5-Difluorophenyl
1916	Pentyl	2,5-Difluorophenyl
1917	3-Methylbutyl	2,5-Difluorophenyl
1918	2-Methylpropyl	2,4-Difluorophenyl

1919	3-Methylbutyl	2,4-Difluorophenyl
1920	2-Methylpropyl	1,3-Benzodioxol-5-yl
1921	3-Methylbutyl	1,3-Benzodioxol-5-yl
1927	3-Methylbutyl	3-Iodo-4-methylphenyl
1963	2-Methylpropyl	2-(2-Chlorophenyl) ethenyl
1964	Butyl	2-Thienyl
1965	Pentyl	2-Thienyl
1966	3-Methylbutyl	2-Thienyl
1967	Pentyl	3-Thienyl
1968	3-Methylbutyl	3-Thienyl
1969	3-Methylbutyl	Benzyl
1970	Butyl	5-Methyl-2-thienyl
1971	2-Methylpropyl	5-Methyl-2-thienyl
1972	Pentyl	5-Methyl-2-thienyl
1973	3-Methylbutyl	5-Methyl-2-thienyl
1974	3-Methylbutyl	3-Fluorobenzyl
1975	3-Methylbutyl	3-Methoxybenzyl

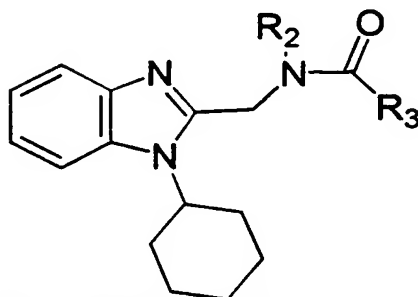
## Example 26



For each compound, the definitions of  $R_2$  and  $R_3$  are specified  
5 in the following table.

Compound No.	$R_2$	$R_3$
1250	Propyl	3-Iodophenyl
1616	2-Methylpropyl	3-Chloro-4-fluorophenyl
1617	2-Methylpropyl	3-Bromophenyl
1618	3-Methylbutyl	3-Bromophenyl
1634	2-Methylpropyl	3-Bromo-4-methylphenyl
1635	2-Methylpropyl	3-Bromo-4-fluorophenyl
1636	3-Methylbutyl	3-Bromo-4-fluorophenyl
1637	2-Methylpropyl	3-Iodophenyl
1638	3-Methylbutyl	3-Bromo-4-fluorophenyl
1639	2-Methylpropyl	Phenyl
1640	3-Methylbutyl	Phenyl
1641	2-Methylpropyl	3-Methylphenyl
1642	3-Methylbutyl	3-Methylphenyl
1643	2-Methylpropyl	4-Methylphenyl
1644	2-Methylpropyl	3-Fluorophenyl
1645	3-Methylbutyl	3-Fluorophenyl
1646	2-Methylpropyl	4-Fluorophenyl
1647	2-Methylpropyl	2-Fluorophenyl
1648	3-Methylbutyl	2-Fluorophenyl
1649	2-Methylpropyl	3,4-Dimethylphenyl
1650	2-Methylpropyl	3-Fluoro-4-methylphenyl

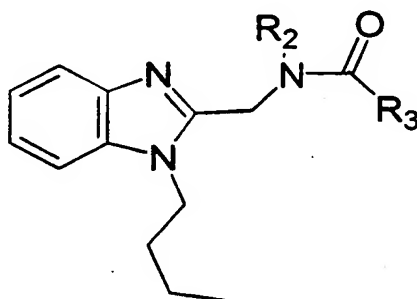
1651	2-Methylpropyl	3-Chlorophenyl
1652	3-Methylbutyl	3-Chlorophenyl
1674	2-Methylpropyl	3-Iodo-4-methylphenyl
1675	2-Methylpropyl	5-Methyl-2-thienyl
1676	3-Methylbutyl	5-Methyl-2-thienyl
1677	3-Methylbutyl	3-Fluorobenzyl
1715	2-Methylpropyl	3-Chloro-4-methylphenyl
1716	2-Methylpropyl	2,4,5-Trifluorophenyl
1874	Butyl	3,4-Dimethylphenyl
1875	2-Methylpropyl	3,4-Dimethylphenyl
1876	3-Methylbutyl	3,4-Dimethylphenyl
1877	3-Methylbutyl	2,3-Dimethylphenyl
1878	2-Methylpropyl	2,5-Dimethylphenyl
1879	3-Methylbutyl	2,5-Dimethylphenyl
1880	2-Methylpropyl	1,3-Benzodioxol-5-yl
1976	3-Methylbutyl	3-Methoxybenzyl
2262	Benzyl	3-Chlorophenyl
2282	Benzyl	5-Chloro-2-methoxyphenyl
2293	Benzyl	3-Bromophenyl
2299	Benzyl	3-Iodophenyl

Example 27

- 5 For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
1276	2-Methylpropyl	Phenyl
1277	Pentyl	Phenyl
1278	3-Methylbutyl	Phenyl
1279	3-Methylbutyl	3-Methylphenyl
1280	2-Methylpropyl	4-Methylphenyl
1281	2-Methylpropyl	3-Fluorophenyl
1282	3-Methylbutyl	3-Fluorophenyl
1283	2-Methylpropyl	4-Fluorophenyl
1284	Butyl	2-Fluorophenyl
1285	2-Methylpropyl	2-Fluorophenyl
1286	Pentyl	2-Fluorophenyl
1287	3-Methylbutyl	2-Fluorophenyl
1288	2-Methylpropyl	3-Methoxyphenyl
1289	3-Methylbutyl	3-Methoxyphenyl
1290	3-Methylbutyl	4-Methoxyphenyl

1291	2-Methylpropyl	3-Fluoro-4-methylphenyl
1292	3-Methylbutyl	2-Fluoro-3-methylphenyl
1293	Butyl	3-Chlorophenyl
1294	2-Methylpropyl	3-Chlorophenyl
1295	Pentyl	3-Chlorophenyl
1296	3-Methylbutyl	3-Chlorophenyl
1297	2-Methylpropyl	3,4-Difluorophenyl
1298	2-Methylpropyl	2,3-Difluorophenyl
1299	3-Methylbutyl	2,3-Difluorophenyl
1300	3-Methylbutyl	2,5-Difluorophenyl
1301	2-Methylpropyl	1,3-Benzodioxol-5-yl
1302	2-Methylpropyl	1,3-Benzodioxol-5-yl
1386	3-Methylbutyl	5-Chloro-2-methoxyphenyl
1387	3-Methylbutyl	3-Bromophenyl
1388	2-Methylpropyl	4-Bromophenyl
1389	2-Methylpropyl	5-Methyl-2-thienyl
1390	3-Methylbutyl	5-Methyl-2-thienyl
1685	3-Methylbutyl	2,3,6-Trifluorophenyl

Example 28

5

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

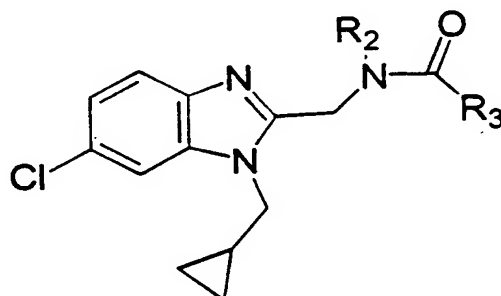
Compound No.	$R_2$	$R_3$
1303	Butyl	Phenyl
1304	2-Methylpropyl	Phenyl
1305	Pentyl	Phenyl
1306	3-Methylbutyl	Phenyl
1307	Butyl	3-Methylphenyl
1308	2-Methylpropyl	3-Methylphenyl
1309	Pentyl	3-Methylphenyl
1310	3-Methylbutyl	3-Methylphenyl
1311	Butyl	4-Methylphenyl
1312	2-Methylpropyl	4-Methylphenyl
1313	3-Methylbutyl	4-Methylphenyl
1314	3-Methylbutyl	2-Methylphenyl
1315	Butyl	3-Fluorophenyl
1316	2-Methylpropyl	3-Fluorophenyl
1317	Pentyl	3-Fluorophenyl
1318	3-Methylbutyl	3-Fluorophenyl



1319	2-Methylpropyl	4-Fluorophenyl
1320	3-Methylbutyl	4-Fluorophenyl
1321	Butyl	2-Fluorophenyl
1322	2-Methylpropyl	2-Fluorophenyl
1323	Pentyl	2-Fluorophenyl
1324	3-Methylbutyl	2-Fluorophenyl
1325	2-Methylpropyl	4-Ethylphenyl
1326	Butyl	3,4-Dimethylphenyl
1327	2-Methylpropyl	3,4-Dimethylphenyl
1328	3-Methylbutyl	3,4-Dimethylphenyl
1329	2-Methylpropyl	2,4-Dimethylphenyl
1330	Butyl	3-Methoxyphenyl
1331	2-Methylpropyl	3-Methoxyphenyl
1332	Pentyl	3-Methoxyphenyl
1333	3-Methylbutyl	3-Methoxyphenyl
1334	Butyl	4-Methoxyphenyl
1335	2-Methylpropyl	4-Methoxyphenyl
1336	3-Methylbutyl	4-Methoxyphenyl
1337	Pentyl	2-Methoxyphenyl
1338	3-Methylbutyl	2-Methoxyphenyl
1339	Butyl	3-Fluoro-4-methylphenyl
1340	Pentyl	3-Fluoro-4-methylphenyl
1341	3-Methylbutyl	3-Fluoro-4-methylphenyl
1342	3-Methylbutyl	3-Fluoro-2-methylphenyl
1343	Butyl	2-Fluoro-3-methylphenyl
1344	2-Methylpropyl	2-Fluoro-3-methylphenyl
1345	Pentyl	2-Fluoro-3-methylphenyl
1346	3-Methylbutyl	2-Fluoro-3-methylphenyl
1347	Butyl	3-Chlorophenyl
1348	2-Methylpropyl	3-Chlorophenyl
1349	Pentyl	3-Chlorophenyl
1350	3-Methylbutyl	3-Chlorophenyl
1351	2-Methylpropyl	4-Chlorophenyl
1352	Pentyl	4-Chlorophenyl
1353	3-Methylbutyl	4-Chlorophenyl
1354	Butyl	2-Chlorophenyl
1355	2-Methylpropyl	2-Chlorophenyl
1356	Pentyl	2-Chlorophenyl
1357	3-Methylbutyl	2-Chlorophenyl
1358	Butyl	3,4-Difluorophenyl
1359	2-Methylpropyl	3,4-Difluorophenyl
1360	Pentyl	3,4-Difluorophenyl
1361	3-Methylbutyl	3,4-Difluorophenyl
1362	Butyl	2,3-Difluorophenyl
1363	2-Methylpropyl	2,3-Difluorophenyl
1364	Pentyl	2,3-Difluorophenyl
1365	3-Methylbutyl	2,3-Difluorophenyl
1366	Butyl	2,5-Difluorophenyl
1367	2-Methylpropyl	2,5-Difluorophenyl
1368	Pentyl	2,5-Difluorophenyl
1369	3-Methylbutyl	2,5-Difluorophenyl
1370	Butyl	2,4-Difluorophenyl
1371	2-Methylpropyl	2,4-Difluorophenyl
1372	Pentyl	2,4-Difluorophenyl
1373	3-Methylbutyl	2,4-Difluorophenyl

1374	2-Methylpropyl	3-Ethoxyphenyl
1375	3-Methylbutyl	3-Ethoxyphenyl
1376	Butyl	1,3-Benzodioxol-5-yl
1377	2-Methylpropyl	1,3-Benzodioxol-5-yl
1378	Pentyl	1,3-Benzodioxol-5-yl
1379	3-Methylbutyl	1,3-Benzodioxol-5-yl
1380	Butyl	4-Methylthio phenyl
1381	2-Methylpropyl	4-Methylthio phenyl
1382	3-Methylbutyl	3-Fluoro-4-methoxyphenyl
1383	Butyl	3-Chloro-4-fluorophenyl
1384	2-Methylpropyl	3-Chloro-4-fluorophenyl
1385	3-Methylbutyl	3-Chloro-4-fluorophenyl
1391	3-Methylbutyl	3-Chloro-4-methoxyphenyl
1392	Pentyl	5-Chloro-2-methoxyphenyl
1393	3-Methylbutyl	5-Chloro-2-methoxyphenyl
1394	2-Methylpropyl	3,4-Dichlorophenyl
1395	3-Methylbutyl	3,4-Dichlorophenyl
1396	Butyl	2,5-Dichlorophenyl
1397	2-Methylpropyl	2,5-Dichlorophenyl
1398	Pentyl	2,5-Dichlorophenyl
1399	3-Methylbutyl	2,5-Dichlorophenyl
1400	2-Methylpropyl	2,4-Dichlorophenyl
1401	3-Methylbutyl	2,4-Dichlorophenyl
1402	Butyl	3-Bromophenyl
1403	2-Methylpropyl	3-Bromophenyl
1404	Pentyl	3-Bromophenyl
1405	3-Methylbutyl	3-Bromophenyl
1406	2-Methylpropyl	4-Bromophenyl
1407	3-Methylbutyl	4-Bromophenyl
1408	3-Methylbutyl	2-Bromophenyl
1409	3-Methylbutyl	3-Bromo-4-methylphenyl
1410	Butyl	3-Bromo-4-fluorophenyl
1411	2-Methylpropyl	3-Bromo-4-fluorophenyl
1412	Pentyl	3-Bromo-4-fluorophenyl
1413	3-Methylbutyl	3-Bromo-4-fluorophenyl
1414	Butyl	3-Iodophenyl
1415	2-Methylpropyl	3-Iodophenyl
1416	Pentyl	3-Iodophenyl
1417	3-Methylbutyl	3-Iodophenyl
1418	Butyl	5-Methyl-2-thienyl
1419	2-Methylpropyl	5-Methyl-2-thienyl
1420	Pentyl	5-Methyl-2-thienyl
1421	3-Methylbutyl	5-Methyl-2-thienyl
1422	3-Methylbutyl	3-Fluorobenzyl
1423	3-Methylbutyl	3-Methoxybenzyl
1424	3-Methylbutyl	2-Methoxybenzyl
1686	2-Methylpropyl	2,4,6-Trifluorophenyl
1687	Butyl	2,3,6-Trifluorophenyl
1688	2-Methylpropyl	2,3,6-Trifluorophenyl
1689	Pentyl	2,3,6-Trifluorophenyl
1690	3-Methylbutyl	2,3,6-Trifluorophenyl
1691	3-Methylbutyl	2,5-Dimethyl-3-furyl
1692	Butyl	4,5-Dimethyl-2-furyl

1693	2-Methylpropyl	4,5-Dimethyl-2-furyl
1694	Pentyl	4,5-Dimethyl-2-furyl
1695	3-Methylbutyl	4,5-Dimethyl-2-furyl
1696	2-Methylpropyl	2-(3-Thienyl)ethenyl
1697	Pentyl	3-Chloro-2-thienyl
1698	3-Methylbutyl	3-Chloro-2-thienyl
1699	2-Methylpropyl	5-Methylthio-2-thienyl
1700	3-Methylbutyl	5-Methylthio-2-thienyl
1721	Butyl	3-Chloro-4-methylphenyl
1722	2-Methylpropyl	3-Chloro-4-methylphenyl
1723	3-Methylbutyl	3-Chloro-4-methylphenyl
1724	2-Methylpropyl	2,4,5-Trichlorophenyl

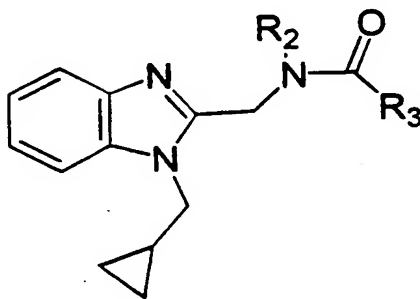
Example 29

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For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
1468	Methyl	Phenyl
1469	Allyl	Phenyl
1470	Propyl	Phenyl
1471	Methyl	3-Methylphenyl
1472	Allyl	3-Methylphenyl
1473	Propyl	3-Methylphenyl
1474	Propyl	4-Methylphenyl
1475	Methyl	3-Fluorophenyl
1476	Allyl	3-Fluorophenyl
1477	Propyl	3-Fluorophenyl
1478	Propyl	4-Fluorophenyl
1479	Methyl	2-Fluorophenyl
1480	Allyl	2-Fluorophenyl
1481	Propyl	2-Fluorophenyl
1482	Propyl	3,4-Dimethylphenyl
1483	Propyl	3-Methoxyphenyl
1484	Propyl	3-Fluoro-4-methylphenyl
1485	Allyl	3-Chlorophenyl
1486	Propyl	3-Chlorophenyl
1487	Propyl	2-Chlorophenyl
1488	Propyl	3,4-Difluorophenyl
1489	Methyl	2,3-Difluorophenyl
1490	Propyl	2,3-Difluorophenyl

1491	Methyl	2,5-Difluorophenyl
1492	Allyl	2,5-Difluorophenyl
1493	Propyl	2,5-Difluorophenyl
1494	Propyl	2,4-Difluorophenyl
1495	Propyl	1,3-Benzodioxol-5-yl
1496	Propyl	3-Chloro-4-fluorophenyl
1497	Methyl	5-Chloro-2-methoxyphenyl
1498	Methyl	3-Trifluoromethylphenyl
1499	Propyl	3-Trifluoromethylphenyl
1500	Methyl	2,5-Dichlorophenyl
1501	Propyl	2,5-Dichlorophenyl
1502	Methyl	3-Bromophenyl
1503	Allyl	3-Bromophenyl
1504	Propyl	3-Bromophenyl
1505	Propyl	3-Bromo-4-methylphenyl
1506	Methyl	3-Bromo-4-fluorophenyl
1507	Allyl	3-Bromo-4-fluorophenyl
1508	Propyl	3-Bromo-4-fluorophenyl
1509	Methyl	3-Iodophenyl
1510	Ethyl	3-Iodophenyl
1511	Allyl	3-Iodophenyl
1512	Propyl	3-Iodophenyl
1513	Propyl	5-Methyl-2-thienyl
1514	Propyl	3-Fluorobenzyl
1515	Methyl	5-Ethoxy-2-thienyl

Example 30

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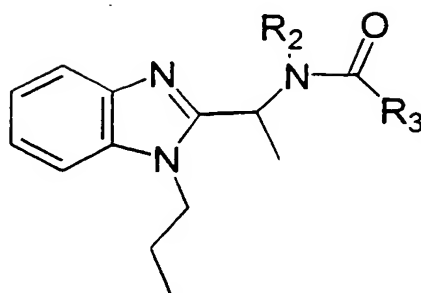
For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
1717	Propyl	3-Chloro-4-methylphenyl
1718	Propyl	2,4,5-Trifluorophenyl
2237	Benzyl	Phenyl
2240	Benzyl	3-Fluorophenyl
2241	Benzyl	4-Fluorophenyl
2244	Benzyl	2-Fluorophenyl
2246	Benzyl	3,4-Dimethylphenyl
2247	Benzyl	3,5-Dimethylphenyl
2248	Benzyl	2,3-Dimethylphenyl

2249	Benzyl	2,5-Dimethylphenyl
2250	Benzyl	2,4-Dimethylphenyl
2252	Benzyl	3-Methoxyphenyl
2255	Benzyl	2-Methoxyphenyl
2256	Benzyl	3-Fluoro-4-methylphenyl
2259	Benzyl	5-Fluoro-2-methylphenyl
2263	Benzyl	3-Chlorophenyl
2264	Benzyl	4-Chlorophenyl
2265	Benzyl	2-Chlorophenyl
2267	Benzyl	3,4-Difluorophenyl
2270	Benzyl	2,3-Difluorophenyl
2273	Benzyl	2,5-Difluorophenyl
2274	Benzyl	2,4-Difluorophenyl
2275	Benzyl	3-Ethoxyphenyl
2276	Benzyl	1,3-Benzodioxol-5-yl
2277	Benzyl	4-Chloro-3-methylphenyl
2278	Benzyl	3-Chloro-4-fluorophenyl
2279	Benzyl	3,4,5-Trifluorophenyl
2280	Benzyl	2,5-Dimethoxyphenyl
2283	Benzyl	5-Chloro-2-methoxyphenyl
2284	Benzyl	4-Chloro-2-methoxyphenyl
2285	Benzyl	3-Trifluoromethylphenyl
2286	Benzyl	2-Trifluoromethylphenyl
2287	Benzyl	3,4-Dichlorophenyl
2288	Benzyl	2,3-Dichlorophenyl
2290	Benzyl	2,5-Dichlorophenyl
2291	Benzyl	2,4-Dichlorophenyl
2294	Benzyl	3-Bromophenyl
2296	Benzyl	2-Bromophenyl
2297	Benzyl	3-Bromo-4-fluorophenyl
2300	Benzyl	3-Iodophenyl
2301	Benzyl	2-Methoxyphenyl
2303	Benzyl	2,5-Dimethylpyrrol-3-yl
2308	Benzyl	2,3,6-Trifluorophenyl
2309	3-Methylbutyl	2-Chloro-6-fluorophenyl
2325	3-Methylbutyl	3-(Methylamino methyl)phenyl
2326	3-Methylbutyl	3-(Ethylamino methyl)phenyl
2327	3-Methylbutyl	3-(allylamino methyl)phenyl
2328	3-Methylbutyl	3-(propylamino methyl)phenyl
2329	3-Methylbutyl	3-[(Cyclopropyl methyl)amino methyl]phenyl
2330	3-Methylbutyl	3-(butylamino methyl)phenyl
2331	3-Methylbutyl	3-[(2-Methylpropyl) amino methyl]phenyl
2332	3-Methylbutyl	3-(Pentylamino methyl)phenyl
2333	3-Methylbutyl	3-[(3-Methylbutyl) amino

		methyl)phenyl
2334	3-Methylbutyl	3-[(2-Methylbutyl) amino methyl)phenyl
2335	3-Methylbutyl	3-(Hexylamino methyl)phenyl
2336	3-Methylbutyl	3-(Cyclopropyl amino methyl)phenyl
2337	3-Methylbutyl	3-[(1-Methylethyl) aminomethyl] phenyl
2338	3-Methylbutyl	3-(Cyclobutyl amino methyl)phenyl
2339	3-Methylbutyl	3-[(1-Methylpropyl) aminomethyl] phenyl
2340	3-Methylbutyl	3-[(1,1-Dimethylethyl) aminomethyl] phenyl
2341	3-Methylbutyl	3-(Cyclopentyl amino methyl)phenyl
2342	3-Methylbutyl	3-[(1-Methylbutyl) aminomethyl] phenyl
2343	3-Methylbutyl	3-[(1,2-Dimethylpropyl) aminomethyl] phenyl
2344	3-Methylbutyl	3-[(1-Ethylpropyl) aminomethyl] phenyl
2345	3-Methylbutyl	3-[(1,1-Dimethylpropyl) aminomethyl] phenyl
2346	3-Methylbutyl	3-(Cyclohexyl amino methyl)phenyl
2352	3-Methylbutyl	3-(Piperidyl methyl)phenyl
2353	3-Methylbutyl	3-(Morpholin-4-yl methyl)phenyl
2354	3-Methylbutyl	3-(Azaperhydro epinylmethyl) phenyl
2355	3-Methylbutyl	3-(Azaperhydro ocinylmethyl) phenyl
2356	3-Methylbutyl	3-(2-1,2,3,4-Tetrahydro isoquinolinyl methyl) phenyl
2357	3-Methylbutyl	3-(Methylpropyl aminomethyl) phenyl

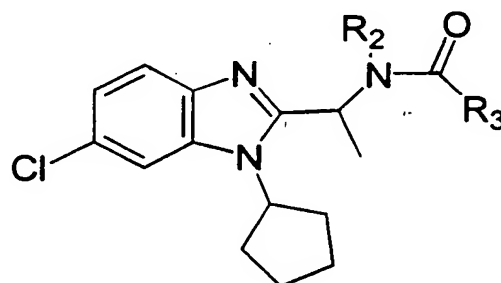
2358	3-Methylbutyl	3-(1-propylethyl aminomethyl) phenyl
2359	3-Methylbutyl	3-(Diethyl aminomethyl) phenyl
2360	3-Methylbutyl	3-(Butylethyl aminomethyl) phenyl
2361	3-Methylbutyl	3-[(Cyclopropyl methyl)propyl aminomethyl] phenyl
2362	3-Methylbutyl	3-(Hexylmethyl aminomethyl) phenyl
2363	3-Methylbutyl	3-(Dibutyl aminomethyl) phenyl
2370	3-Methylbutyl	3-[(1-methylethyl) methyl aminomethyl] phenyl
2371	3-Methylbutyl	3-[(2-Methyl piperidyl) methyl]phenyl
2372	3-Methylbutyl	3-[Ethyl(2-Methylprop-2-enyl)amino methyl]phenyl
2373	3-Methylbutyl	3-[(2-Ethyl piperidyl) methyl]phenyl
2374	3-Methylbutyl	3-(Cyclohexyl ethyl aminomethyl) phenyl
2375	3-Methylbutyl	3-[bis(2-Methoxyethyl) aminomethyl] phenyl
2376	3-Methylbutyl	3-[(3,3,5-Trimethylaza perhydroepinyl)methyl]phenyl
2377	3-Methylbutyl	3-[(8-Aza-1,4-dioxaspiro[4.5]dec-8- yl)methyl] phenyl
2378	3-Methylbutyl	3-(Dipentylamino methyl)phenyl
2379	3-Methylbutyl	3-(Diethylamino methyl)phenyl

Example 31

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
2004	2-Methylpropyl	2-(4-Chlorophenyl) ethenyl

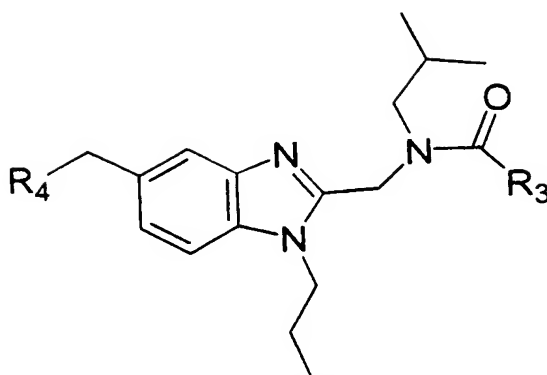
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Example 32

10 For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
2020	Methyl	3-Thienyl
2021	1-Propyl	3-Methyl-2-thienyl
2022	Methyl	4-Methylbenzyl
2023	Methyl	2-Methylbenzyl
2024	Methyl	3-Fluorobenzyl



Example 33

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

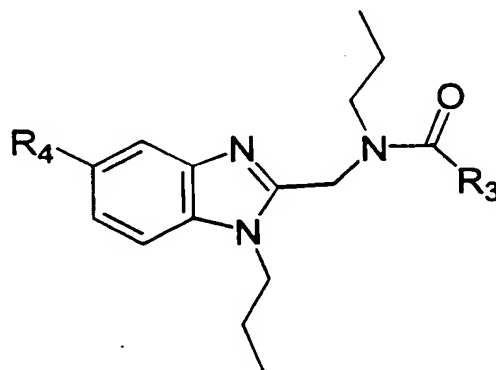
Compound No.	$R_4$	$R_3$
2025	3-Pyrrolinyl	2,5-Difluorophenyl
2026	3-Pyrrolinyl	3-Fluorophenyl
2027	Pyrrolidinyl	2,5-Difluorophenyl
2028	Pyrrolidinyl	3-Fluorophenyl
2029	1,2,5,6-Tetrahydro pyridyl	2,5-Difluorophenyl
2030	1,2,5,6-Tetrahydro pyridyl	3-Fluorophenyl
2031	Piperidyl	2,5-Difluorophenyl
2032	Piperidyl	3-Fluorophenyl
2039	Morpholinyl	2,5-Difluorophenyl
2040	Morpholinyl	3-Fluorophenyl
2043	4-Methyl piperidyl	2,5-Difluorophenyl
2044	4-Methyl piperidyl	3-Fluorophenyl
2046	Azaperhydro epinyl	2,5-Difluorophenyl
2047	Azaperhydro Epinyl	3-Fluorophenyl
2049	1,4-Thiazaper hydroin-4-yl	2,5-Difluorophenyl
2050	1,4-Thiazaper hydroin-4-yl	3-Fluorophenyl
2053	3,3-dimethyl piperidyl	2,5-Difluorophenyl
2054	3,3-dimethyl piperidyl	3-Fluorophenyl
2057	Azaperhydro ocinyl	2,5-Difluorophenyl
2058	Azaperhydro Ocinyll	3-Fluorophenyl
2061	2-(1,2,3,4-Tetrahydroiso quinolyl)	2,5-Difluorophenyl
2062	2-(1,2,3,4-Tetrahydroiso	3-Fluorophenyl

	quinolyl)	
2065	Methylprop-2-enylamino	2,5-Difluorophenyl
2066	Methylprop-2-enylamino	3-Fluorophenyl
2068	Diethylamino	2,5-Difluorophenyl
2069	Diethylamino	3-Fluorophenyl
2072	Methylpropyl amino	2,5-Difluorophenyl
2073	Methylpropyl Amino	3-Fluorophenyl
2076	Butylmethyl amino	2,5-Difluorophenyl
2077	Butylmethyl Amino	3-Fluorophenyl
2080	1-Propylethyl amino	2,5-Difluorophenyl
2081	1-Propylethyl amino	3-Fluorophenyl
2084	Diallylamino	2,5-Difluorophenyl
2085	Diallylamino	3-Fluorophenyl
2088	Dipropylamino	2,5-Difluorophenyl
2089	Dipropylamino	3-Fluorophenyl
2092	Butylethyl Amino	2,5-Difluorophenyl
2093	Butylethyl Amino	3-Fluorophenyl
2096	(Cyclo propylmethyl) propylamino	2,5-Difluorophenyl
2097	(Cyclo propylmethyl) propylamino	3-Fluorophenyl
2100	Hexylmethyl amino	2,5-Difluorophenyl
2101	Hexylmethyl Amino	3-Fluorophenyl
2104	Dibutylamino	2,5-Difluorophenyl
2105	Dibutylamino	3-Fluorophenyl
2107	Methylamino	2,5-Difluorophenyl
2108	Methylamino	3-Fluorophenyl
2110	Ethylamino	2,5-Difluorophenyl
2111	Ethylamino	3-Fluorophenyl
2114	Allylamino	2,5-Difluorophenyl
2115	Allylamino	3-Fluorophenyl
2118	Propylamino	2,5-Difluorophenyl
2119	Propylamino	3-Fluorophenyl
2122	(Cyclopropyl methyl) amino	2,5-Difluorophenyl
2123	(Cyclopropyl methyl) amino	3-Fluorophenyl
2126	Butyl	2,5-Difluorophenyl
2127	Butyl	3-Fluorophenyl
2130	(2-Methylpropyl) amino	2,5-Difluorophenyl
2131	(2-Methylpropyl) amino	3-Fluorophenyl

2134	Pentylamino	2,5-Difluorophenyl
2135	Pentylamino	3-Fluorophenyl
2138	(3-Methylbutyl) amino	2,5-Difluorophenyl
2139	(3-Methylbutyl) amino	3-Fluorophenyl
2141	(2-Methylbutyl) amino	2,5-Difluorophenyl
2142	(2-Methylbutyl) amino	3-Fluorophenyl
2145	Hexylamino	2,5-Difluorophenyl
2146	Hexylamino	3-Fluorophenyl
2148	[2-(Dimethyl amino)ethyl] amino	2,5-Difluorophenyl
2149	[2-(Dimethyl amino)ethyl] amino	3-Fluorophenyl
2150	[3-(Dimethyl amino)propyl] amino	2,5-Difluorophenyl
2151	[3-(Dimethyl amino)propyl] amino	3-Fluorophenyl
2153	(2-Pyrrolidinyl ethyl) amino	2,5-Difluorophenyl
2154	(2-Pyrrolidinyl ethyl) amino	3-Fluorophenyl
2157	[2-(Diethyl amino)ethyl] amino	2,5-Difluorophenyl
2158	[2-(Diethyl amino)ethyl] amino	3-Fluorophenyl
2161	(2-Piperidyl ethyl) amino	2,5-Difluorophenyl
2162	(2-Piperidyl ethyl) amino	3-Fluorophenyl
2164	[2-(1-Methyl pyrrolidin-2-yl)ethyl] amino	2,5-Difluorophenyl
2165	[2-(1-Methyl pyrrolidin-2-yl)ethyl] amino	3-Fluorophenyl
2168	[2-(Diethyl amino)propyl] amino	2,5-Difluorophenyl
2169	[2-(Diethyl amino)propyl] amino	3-Fluorophenyl
2172	(2-Morpholin-4-yl ethyl) amino	2,5-Difluorophenyl
2173	(2-Morpholin-4-yl ethyl) amino	3-Fluorophenyl
2176	(3-Morpholin-4-yl propyl) amino	2,5-Difluorophenyl
2177	(3-Morpholin-4-yl propyl) amino	3-Fluorophenyl

2180	[3-(2-Methyl piperidyl) propyl]amino	2,5-Difluorophenyl
2181	[3-(2-Methyl piperidyl) propyl]amino	3-Fluorophenyl
2184	[3-(2-Oxo pyrrolidinyl) propyl]amino	2,5-Difluorophenyl
2185	[3-(2-Oxo pyrrolidinyl) propyl]amino	3-Fluorophenyl

5

Example 34

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

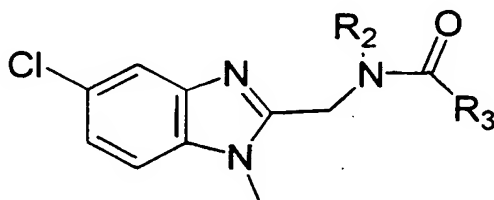
Compound No.	$R_4$	$R_3$
2033	Pyrrolidinyl	2,5-Difluorophenyl
2034	Pyrrolidinyl	3-Fluorophenyl
2035	1,2,5,6-Tetrahydro pyridyl	2,5-Difluorophenyl
2036	1,2,5,6-Tetrahydro pyridyl	3-Fluorophenyl
2037	Piperidyl	2,5-Difluorophenyl
2038	Morpholinyl	3-Fluorophenyl
2041	4-Methyl piperidyl	2,5-Difluorophenyl
2042	4-Methyl piperidyl	3-Fluorophenyl
2045	Azaperhydro Epiny	3-Fluorophenyl
2048	1,4-Thiazaper hydroin-4-yl	3-Fluorophenyl
2051	3,3-dimethyl piperidyl	2,5-Difluorophenyl

2052	3,3-dimethyl piperidyl	3-Fluorophenyl
2055	Azaperhydro ocinyl	2,5-Difluorophenyl
2056	Azaperhydro Ocinyl	3-Fluorophenyl
2059	2-(1,2,3,4- Tetrahydroiso quinolyl)	2,5-Difluorophenyl
2060	2-(1,2,3,4- Tetrahydroiso quinolyl)	3-Fluorophenyl
2063	Methylprop-2- enylamino	2,5-Difluorophenyl
2064	Methylprop-2- enylamino	3-Fluorophenyl
2067	Diethylamino	3-Fluorophenyl
2070	Methylpropyl amino	2,5-Difluorophenyl
2071	Methylpropyl Amino	3-Fluorophenyl
2074	Butylmethyl amino	2,5-Difluorophenyl
2075	Butylmethyl Amino	3-Fluorophenyl
2078	1-Propylethyl amino	2,5-Difluorophenyl
2079	1-Propylethyl amino	3-Fluorophenyl
2082	Diallylamino	2,5-Difluorophenyl
2083	Diallylamino	3-Fluorophenyl
2086	Dipropylamino	2,5-Difluorophenyl
2087	Dipropylamino	3-Fluorophenyl
2090	Butylethyl Amino	2,5-Difluorophenyl
2091	Butylethyl Amino	3-Fluorophenyl
2094	(Cyclo propylmethyl) propylamino	2,5-Difluorophenyl
2095	(Cyclo propylmethyl) propylamino	3-Fluorophenyl
2098	Hexylmethyl Amino	2,5-Difluorophenyl
2099	Hexylmethyl Amino	3-Fluorophenyl
2102	Dibutylamino	2,5-Difluorophenyl
2103	Dibutylamino	3-Fluorophenyl
2106	Methylamino	3-Fluorophenyl
2109	Ethylamino	3-Fluorophenyl
2112	Allylamino	2,5-Difluorophenyl
2113	Allylamino	3-Fluorophenyl
2116	Propylamino	2,5-Difluorophenyl
2117	Propylamino	3-Fluorophenyl
2120	(Cyclopropyl	2,5-Difluorophenyl

2121	methyl) amino (Cyclopropyl methyl) amino	3-Fluorophenyl
2124	Butyl	2,5-Difluorophenyl
2125	Butyl	3-Fluorophenyl
2128	(2-Methylpropyl) amino	2,5-Difluorophenyl
2129	(2-Methylpropyl) amino	3-Fluorophenyl
2132	Pentylamino	2,5-Difluorophenyl
2133	Pentylamino	3-Fluorophenyl
2136	(3-Methylbutyl) amino	2,5-Difluorophenyl
2137	(3-Methylbutyl) amino	3-Fluorophenyl
2140	(2-Methylbutyl) amino	3-Fluorophenyl
2143	Hexylamino	2,5-Difluorophenyl
2144	Hexylamino	3-Fluorophenyl
2152	(2-Pyrrolidinyl ethyl) amino	3-Fluorophenyl
2155	[2-(Diethyl amino) ethyl] amino	2,5-Difluorophenyl
2156	[2-(Diethyl amino) ethyl] amino	3-Fluorophenyl
2159	(2-Piperidyl ethyl) amino	2,5-Difluorophenyl
2160	(2-Piperidyl ethyl) amino	3-Fluorophenyl
2163	[2-(1-Methyl pyrrolidin-2- yl) ethyl] amino	3-Fluorophenyl
2166	[2-(Diethyl amino) propyl] amino	2,5-Difluorophenyl
2167	[2-(Diethyl amino) propyl] amino	3-Fluorophenyl
2170	(2-Morpholin-4-yl ethyl) amino	2,5-Difluorophenyl
2171	(2-Morpholin-4-yl ethyl) amino	3-Fluorophenyl
2174	(3-Morpholin-4-yl propyl) amino	2,5-Difluorophenyl
2175	(3-Morpholin-4-yl propyl) amino	3-Fluorophenyl
2178	[3-(2-Methyl piperidyl) propyl] amino	2,5-Difluorophenyl
2179	[3-(2-Methyl piperidyl) propyl] amino	3-Fluorophenyl
2182	[3-(2-Oxo pyrrolidinyl)	2,5-Difluorophenyl

2183	propyl] amino [3-(2-Oxo pyrrolidiny]l) propyl] amino	3-Fluorophenyl
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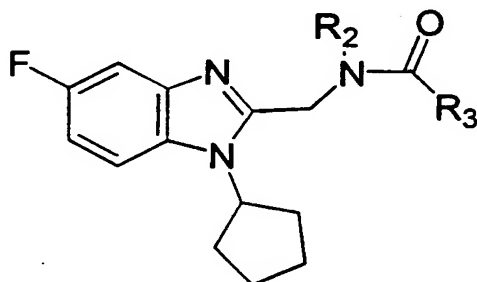
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Example 35

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table..

Compound No.	$R_2$	$R_3$
2147	3-Methylbutyl	3-Chlorophenyl
2219	3-Methylbutyl	3-Trifluoromethylphenyl
2220	Butyl	3-Bromophenyl
2221	2-Methylpropyl	3-Bromophenyl
2222	3-Methylbutyl	3-Bromophenyl

10

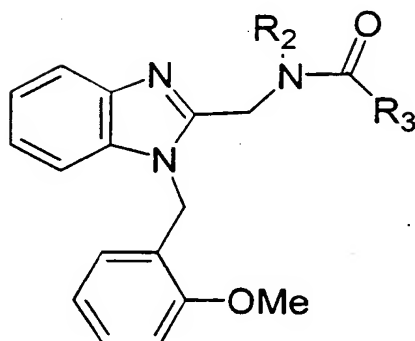
Example 36

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
2186	Butyl	2,5-Dimethoxyphenyl
2187	2-Methylpropyl	2,5-Dimethoxyphenyl
2188	3-Methylbutyl	2,5-Dimethoxyphenyl
2189	Butyl	3-Chloro-4-methoxyphenyl
2190	2-Methylpropyl	3-Chloro-4-methoxyphenyl
2191	3-Methylbutyl	3-Chloro-4-methoxyphenyl
2192	Butyl	5-Chloro-2-methoxyphenyl

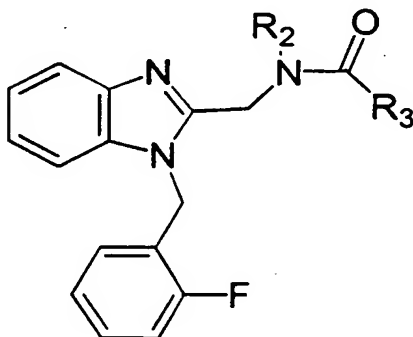
2193	2-Methylpropyl	5-Chloro-2-methoxyphenyl
2194	3-Methylbutyl	5-Chloro-2-methoxyphenyl
2195	2-Methylpropyl	4-Chloro-2-methoxyphenyl
2196	Butyl	3-Trifluoromethylphenyl
2197	2-Methylpropyl	3-Trifluoromethylphenyl
2198	3-Methylbutyl	3-Trifluoromethylphenyl
2199	Butyl	2-Trifluoromethylphenyl
2200	3-Methylbutyl	2-Trifluoromethylphenyl
2201	Butyl	3,4-Dichlorophenyl
2202	2-Methylpropyl	3,4-Dichlorophenyl
2203	3-Methylbutyl	3,4-Dichlorophenyl
2204	Butyl	2,5-Dichlorophenyl
2205	2-Methylpropyl	2,5-Dichlorophenyl
2206	Pentyl	2,5-Dichlorophenyl
2207	3-Methylbutyl	2,5-Dichlorophenyl
2208	Butyl	2,4-Dichlorophenyl
2209	2-Methylpropyl	2,4-Dichlorophenyl
2210	3-Methylbutyl	2,4-Dichlorophenyl
2211	Butyl	3-Bromophenyl
2212	2-Methylpropyl	3-Bromophenyl
2213	Pentyl	3-Bromophenyl
2214	3-Methylbutyl	3-Bromophenyl
2215	2-Methylpropyl	4-Bromophenyl
2216	Butyl	2-Bromophenyl
2217	2-Methylpropyl	2-Bromophenyl
2218	3-Methylbutyl	2-Bromophenyl
2223	2-Methylpropyl	3-Phenoxyphenyl
2224	2-Methylpropyl	4-Phenoxyphenyl
2225	2-Methylpropyl	3-Bromo-4-methylphenyl
2226	Pentyl	3-Bromo-4-methylphenyl
2227	3-Methylbutyl	3-Bromo-4-methylphenyl
2228	Butyl	3-Bromo-4-methylphenyl
2229	2-Methylpropyl	3-Bromo-4-methylphenyl
2230	Pentyl	3-Bromo-4-methylphenyl
2231	3-Methylbutyl	3-Bromo-4-methylphenyl
2232	Butyl	3-Iodophenyl
2233	2-Methylpropyl	3-Iodophenyl
2234	Pentyl	3-Iodophenyl
2235	3-Methylbutyl	3-Iodophenyl
2236	2-Methylpropyl	4-Iodophenyl
2310	2-Methylpropyl	2,3,5,6-Tetrafluorophenyl
2311	2-Methylpropyl	2,4,6-Trifluorophenyl
2312	Butyl	2,3,6-Trifluorophenyl
2313	2-Methylpropyl	2,3,6-Trifluorophenyl
2314	Pentyl	2,3,6-Trifluorophenyl
2315	3-Methylbutyl	2,3,6-Trifluorophenyl
2316	Butyl	3-Chloro-6-fluorophenyl
2317	Pentyl	3-Chloro-6-fluorophenyl
2318	3-Methylbutyl	3-Chloro-6-fluorophenyl
2319	Butyl	2-Fluoro-6-trifluoromethylphenyl



Example 37

For each compound, the definitions of  $R_2$  and  $R_3$  are specified  
 5 in the following table.

Compound No.	$R_2$	$R_3$
2304	2-Methylpropyl	5-Methyl-2-thienyl

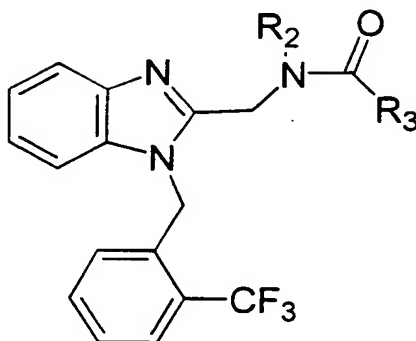
Example 38

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For each compound, the definitions of  $R_2$  and  $R_3$  are specified  
 in the following table.

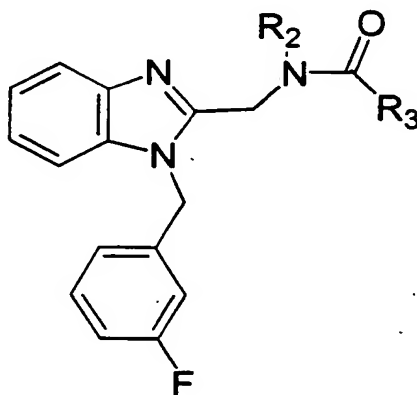
Compound No.	$R_2$	$R_3$
2380	2-Methylpropyl	2,4-Difluorophenyl
2381	2-Methylpropyl	2H-Benzo[d]1,3-dioxolane
2382	2-Methylpropyl	3-Chloro-4-methylphenyl

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Example 39

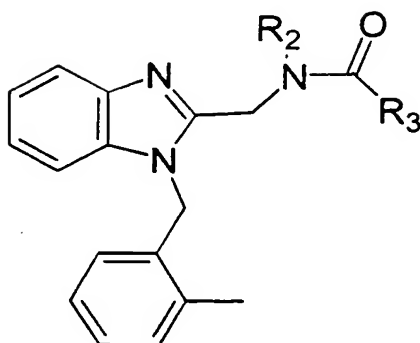
For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
2390	2-Methylpropyl	5-Methyl-2-thienyl

Example 40

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
2383	2-Methylpropyl	3-Chloro-4-methylphenyl
2384	2-Methylpropyl	2,4-Difluorophenyl
2385	2-Methylpropyl	2H-Benzo[d][1,3-dioxolane

Example 41

For each compound, the definitions of  $R_2$  and  $R_3$  are specified in the following table.

Compound No.	$R_2$	$R_3$
2389	Pentyl	3-Fluoro-4-methylphenyl

Example 42Assay for GABA<sub>A</sub> Receptor Binding

The following assay is a standard assay for GABA<sub>A</sub> receptor binding.

The high affinity and high selectivity of compounds of this invention for the benzodiazepine site of the GABA<sub>A</sub> receptor is confirmed using the binding assay described in Thomas and Tallman (*J. Bio. Chem.* 1981; 156:9838-9842, and *J. Neurosci.* 1983; 3:433-440).

Rat cortical tissue is dissected and homogenized in 25 volumes (w/v) of Buffer A (0.05 M Tris HCl buffer, pH 7.4 at 4 °C). The tissue homogenate is centrifuged in the cold (4 °C) at 20,000 x g for 20 minutes. The supernatant is decanted, the pellet rehomogenized in the same volume of buffer, and centrifuged again at 20,000 x g. The supernatant of this centrifugation step is decanted and the pellet stored at -20 °C overnight. The pellet is then thawed and resuspended in 25 volumes of Buffer A (original wt/vol), centrifuged at 20,000 x g and the supernatant decanted. This wash step is repeated

once. The pellet is finally resuspended in 50 volumes of Buffer A.

Incubations contain 100  $\mu$ l of tissue homogenate, 100  $\mu$ l of radioligand, (0.5 nM  $^3$ H-Ro15-1788 [ $^3$ H-Flumazenil], specific activity 80 Ci/mmol), and test compound or control (see below), and are brought to a total volume of 500  $\mu$ l with Buffer A. Incubations are carried for 30 min at 4°C and then rapidly filtered through Whatman GFB filters to separate free and bound ligand. Filters are washed twice with fresh Buffer A and counted in a liquid scintillation counter. Nonspecific binding (control) is determined by displacement of  $^3$ H Ro15-1788 with 10  $\mu$ M Diazepam (Research Biochemicals International, Natick, MA). Data were collected in triplicate, averaged, and percent inhibition of total specific binding (Total Specific Binding = Total - Nonspecific) was calculated for each compound.

A competition binding curve is obtained with up to 11 points spanning the compound concentration range from  $10^{-12}$ M to  $10^{-5}$ M obtained per curve by the method described above for determining percent inhibition.  $K_i$  values are calculated according to the Cheng-Prusoff equation. When tested in this assay compounds of the invention exhibit  $K_i$  values of less than 1  $\mu$ M, preferred compounds of the invention have  $K_i$  values of less than 500 nM and more compounds of the invention have  $K_i$  values of less than 100 nM.

#### Example 43

##### Assay for GABA<sub>A</sub> Receptor Functional Activity

##### Electrophysiology

The following assay is used to determine if a compound of the invention act as an agonist, an antagonist, or an inverse agonist at the benzodiazepine site of the GABA<sub>A</sub> receptor.

Assays are carried out as described in White and Gurley (NeuroReport 6: 1313-1316, 1995) and White, Gurley, Hartnett, Stirling, and Gregory (Receptors and Channels 3: 1-5, 1995) with modifications. Electrophysiological recordings are carried out using the two electrode voltage-clamp technique at a membrane holding potential of -70 mV. *Xenopus Laevis* oocytes

are enzymatically isolated and injected with non-polyadenylated cRNA mixed in a ratio of 4:1:4 for , and subunits, respectively. Of the nine combinations of , and subunits described in the White et al. publications, preferred combinations are  $1_2 2_1$ ,  $2_3 2_2$ ,  $3_3 2_2$ , and  $5_3 2_2$ . Preferably all of the subunit cRNAs in each combination are human clones or all are rat clones. The sequence of each of these cloned subunits is available from GENBANK, e.g., human  $1_1$ , GENBANK accession no. X14766, human  $2_2$ , GENBANK accession no. A28100; human  $3_3$ , GENBANK accession no. A28102; human  $5_5$ , GENBANK accession no. A28104; human  $2_2$ , GENBANK accession no. M82919; human  $3_3$ , GENBANK accession no. Z20136; human  $2_2$ , GENBANK accession no. X15376; rat  $1_1$ , GENBANK accession no. L08490, rat  $2_2$ , GENBANK accession no. L08491; rat  $3_3$ , GENBANK accession no. L08492; rat  $5_5$ , GENBANK accession no. L08494; rat  $2_2$ , GENBANK accession no. X15467; rat  $3_3$ , GENBANK accession no. X15468; and rat  $2_2$ , GENBANK accession no. L08497. For each subunit combination, sufficient message for each constituent subunit is injected to provide current amplitudes of >10 nA when 1  $\mu$ M GABA is applied.

Compounds are evaluated against a GABA concentration that evokes <10% of the maximal evokable GABA current (e.g. 1  $\mu$ M - 9 M). Each oocyte is exposed to increasing concentrations of compound in order to evaluate a concentration/effect relationship. Compound efficacy is calculated as a percent-change in current amplitude:  $100 * ((I_c/I) - 1)$ , where  $I_c$  is the GABA evoked current amplitude observed in the presence of test compound and  $I$  is the GABA evoked current amplitude observed in the absence of the test compound.

Specificity of a compound for the benzodiazepine site is determined following completion of a concentration/effect curve. After washing the oocyte sufficiently to remove previously applied compound, the oocyte is exposed to GABA + 1  $\mu$ M RO15-1788, followed by exposure to GABA + 1  $\mu$ M RO15-1788 + test compound. Percent change due to addition of compound is calculated as described above. Any percent change observed in the presence of RO15-1788 is subtracted from the percent changes in current amplitude observed in the absence of 1  $\mu$ M

RO15-1788. These net values are used for the calculation of average efficacy and  $EC_{50}$  values by standard methods. To evaluate average efficacy and  $EC_{50}$  values, the concentration/effect data are averaged across cells and fit to the logistic equation.

#### Example 44

##### Preparation of radiolabeled probe compounds of the invention

The compounds of the invention are prepared as radiolabeled probes by carrying out their synthesis using precursors comprising at least one atom that is a radioisotope. The radioisotope is preferably selected from of at least one of carbon (preferably  $^{14}C$ ), hydrogen (preferably  $^3H$ ), sulfur (preferably  $^{35}S$ ), or iodine (preferably  $^{125}I$ ). Such radiolabeled probes are conveniently synthesized by a radioisotope supplier specializing in custom synthesis of radiolabeled probe compounds. Such suppliers include Amersham Corporation, Arlington Heights, IL; Cambridge Isotope Laboratories, Inc. Andover, MA; SRI International, Menlo Park, CA; Wizard Laboratories, West Sacramento, CA; ChemSyn Laboratories, Lexena, KS; American Radiolabeled Chemicals, Inc., St. Louis, MO; and Moravsek Biochemicals Inc., Brea, CA.

Tritium labeled probe compounds are also conveniently prepared catalytically via platinum-catalyzed exchange in tritiated acetic acid, acid-catalyzed exchange in tritiated trifluoroacetic acid, or heterogeneous-catalyzed exchange with tritium gas. Such preparations are also conveniently carried out as a custom radiolabeling by any of the suppliers listed in the preceding paragraph using the compound of the invention as substrate. In addition, certain precursors may be subjected to tritium-halogen exchange with tritium gas, tritium gas reduction of unsaturated bonds, or reduction using sodium borotritide, as appropriate.

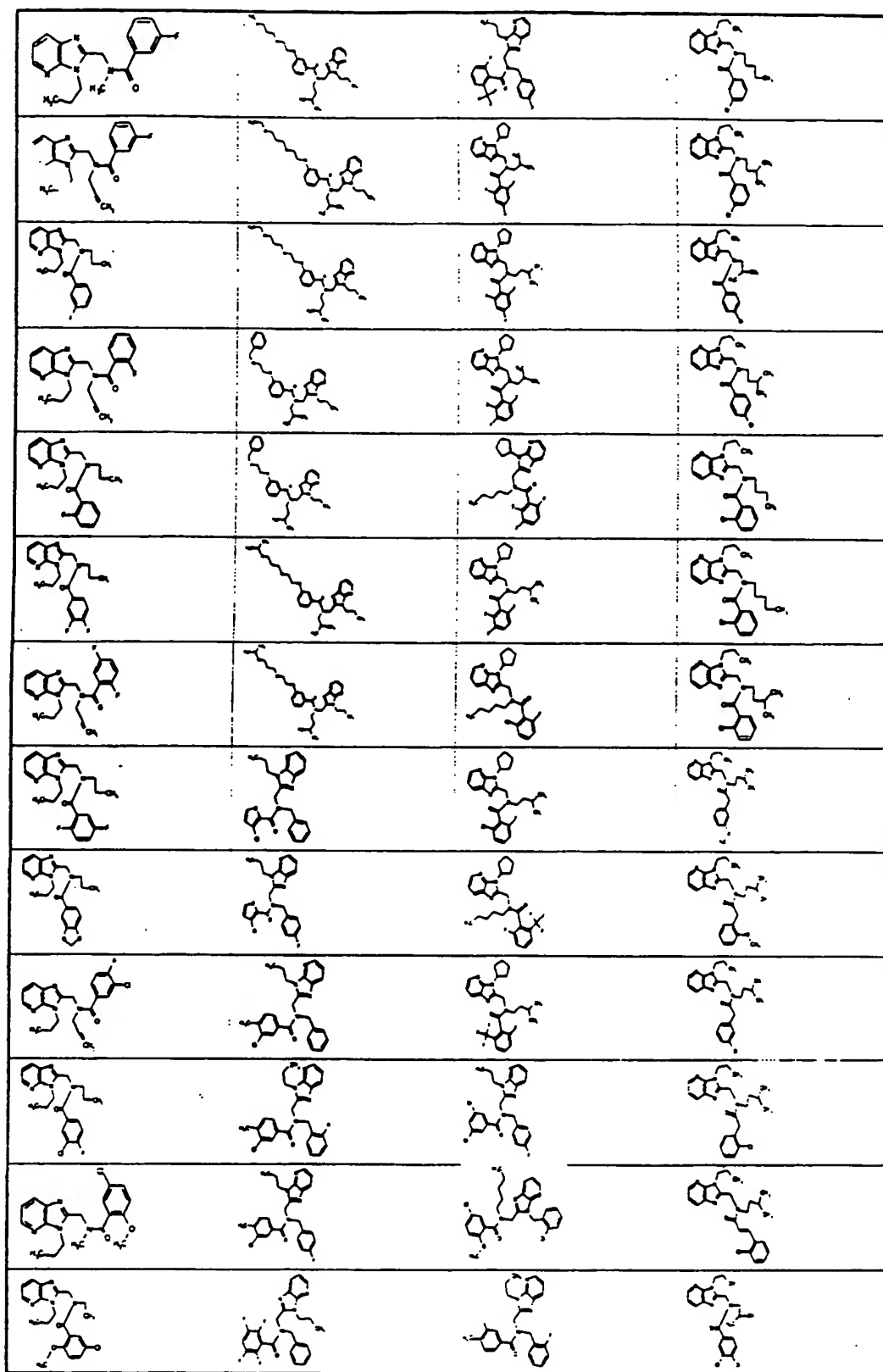
#### Example 45

##### Use of compounds of the invention as probes for GABA<sub>A</sub> receptors in cultured cells and tissue samples

Receptor autoradiography (receptor mapping) of NK-3 or GABA<sub>A</sub> receptors in cultured cells or tissue samples is carried out in vitro as described by Kuhar in sections 8.1.1 to 8.1.9 of Current Protocols in Pharmacology (1998) John Wiley & Sons, New York, using radiolabeled compounds of the invention prepared as described in the preceding Example.

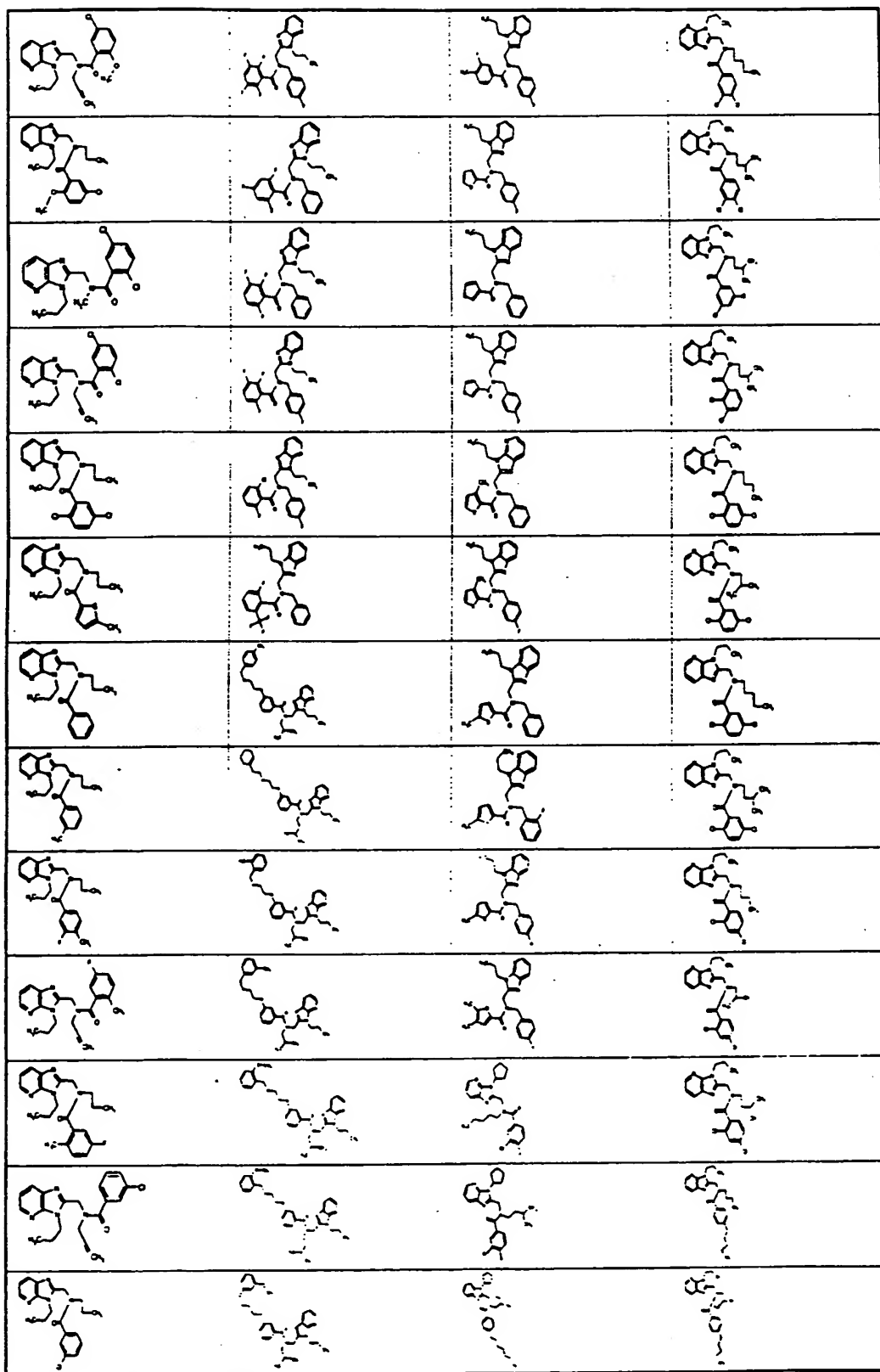
The invention and the manner and process of making and using it, are now described in such full, clear, concise and exact terms as to enable any person skilled in the art to which it pertains, to make and use the same. It is to be understood that the foregoing describes preferred embodiments of the present invention and that modifications may be made therein without departing from the spirit or scope of the present invention as set forth in the claims. To particularly point out and distinctly claim the subject matter regarded as invention, the following claims conclude this specification.

## Appendix 1

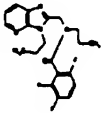
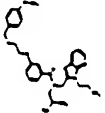
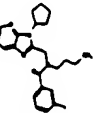
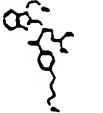
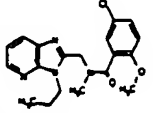
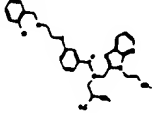
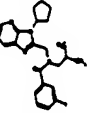
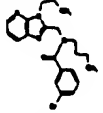
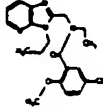
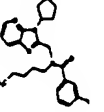
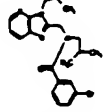
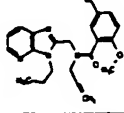
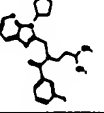
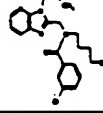
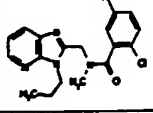
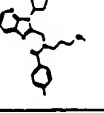
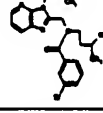
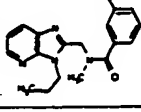
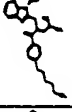
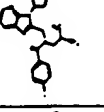
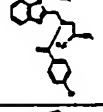
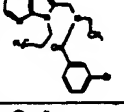
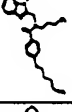
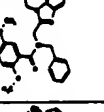
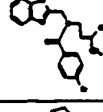
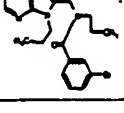

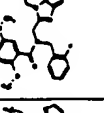
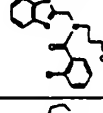
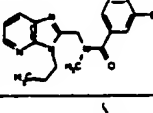
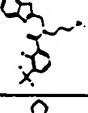
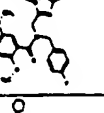
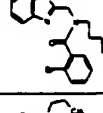
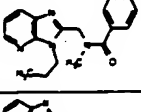
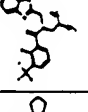
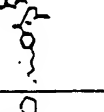
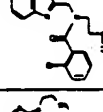
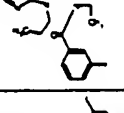
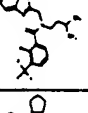
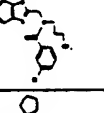
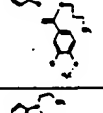
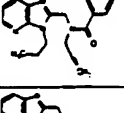
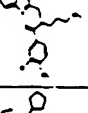
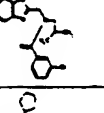
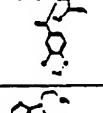
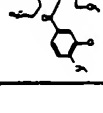
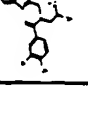
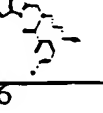
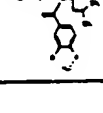




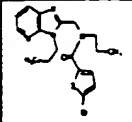
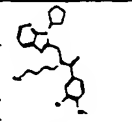
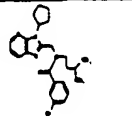
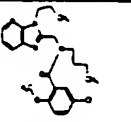
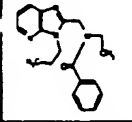
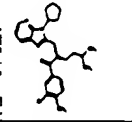
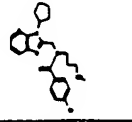
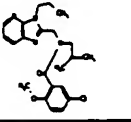
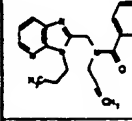
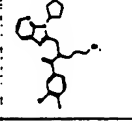
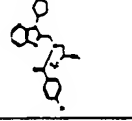
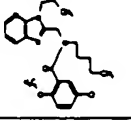
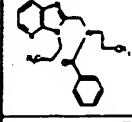
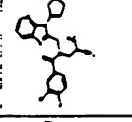
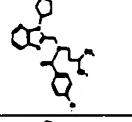
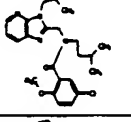
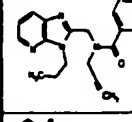
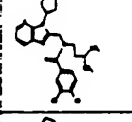
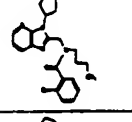
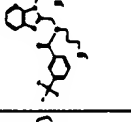
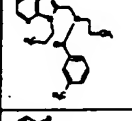
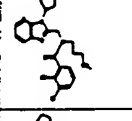
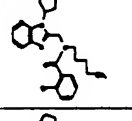
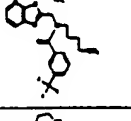
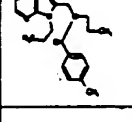
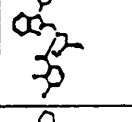
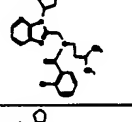
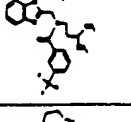
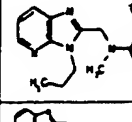
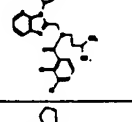
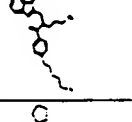
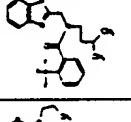
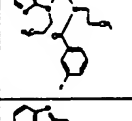
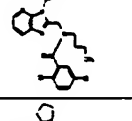
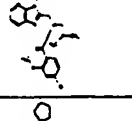
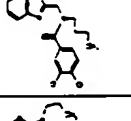
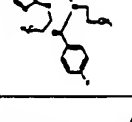
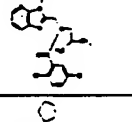
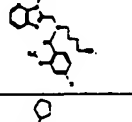
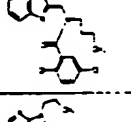
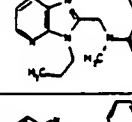
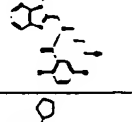
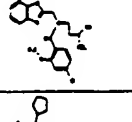
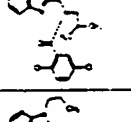
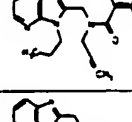
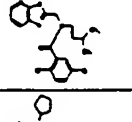
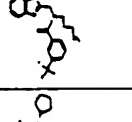
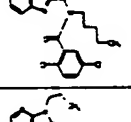
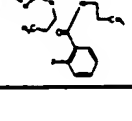
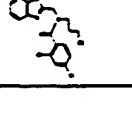
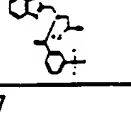
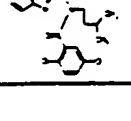
## Appendix 1



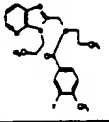
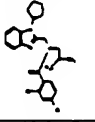
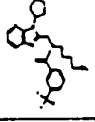
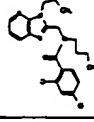
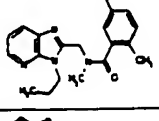
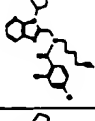
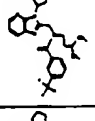
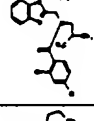
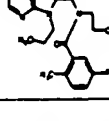
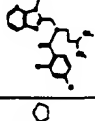
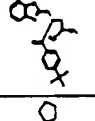
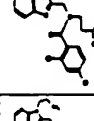
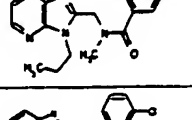
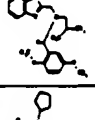
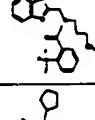
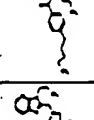
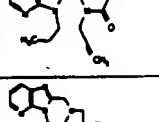
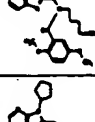
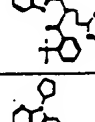
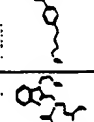
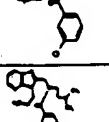
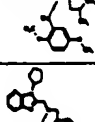
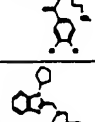
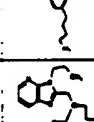
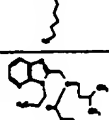
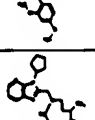
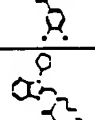
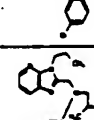
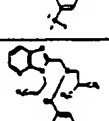
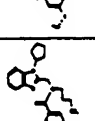
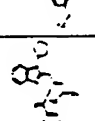
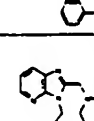
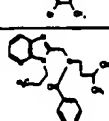
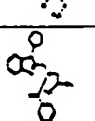
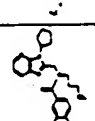
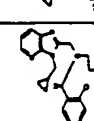
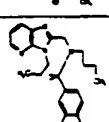
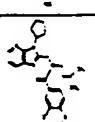
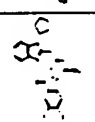
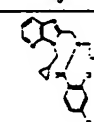
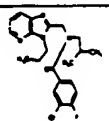
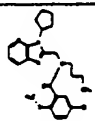
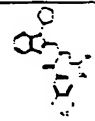
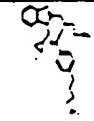
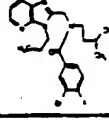
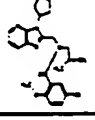
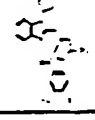
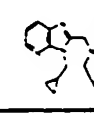
## Appendix 1

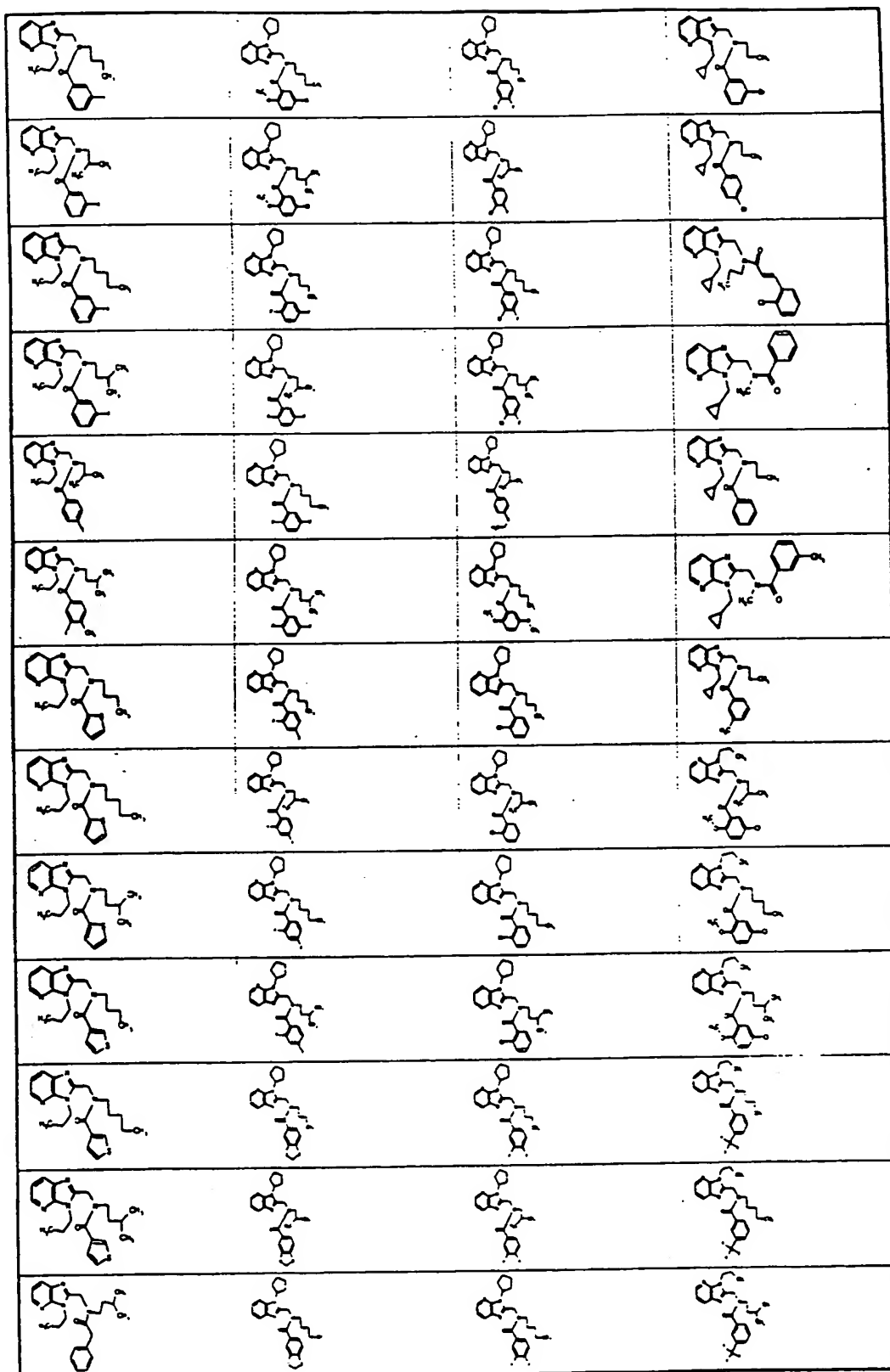
## Appendix 1

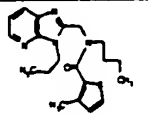
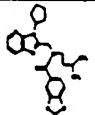
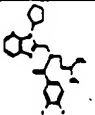
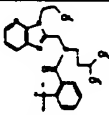
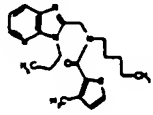
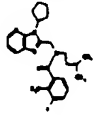
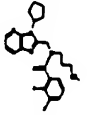
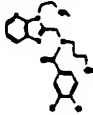
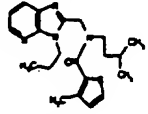
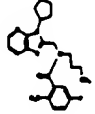
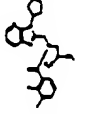
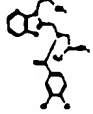
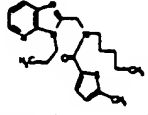
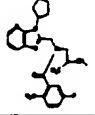
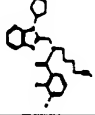
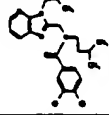
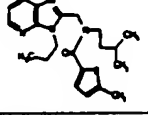
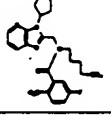
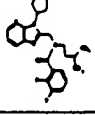
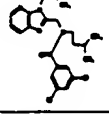
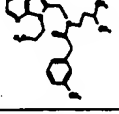
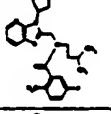
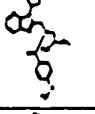
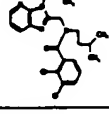
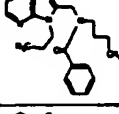
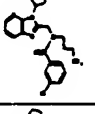
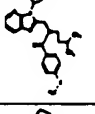
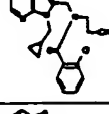
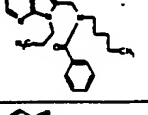
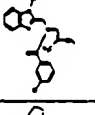
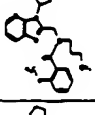
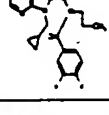
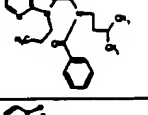
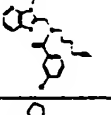
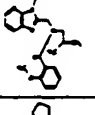
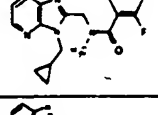
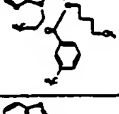
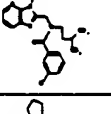
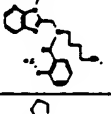
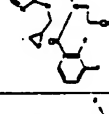
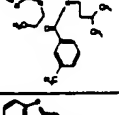
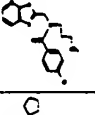
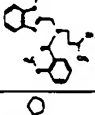
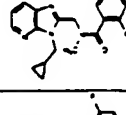
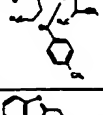
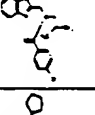
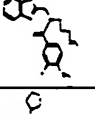
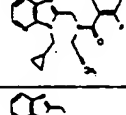
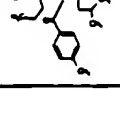
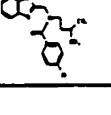
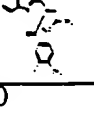
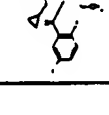
## Appendix 1

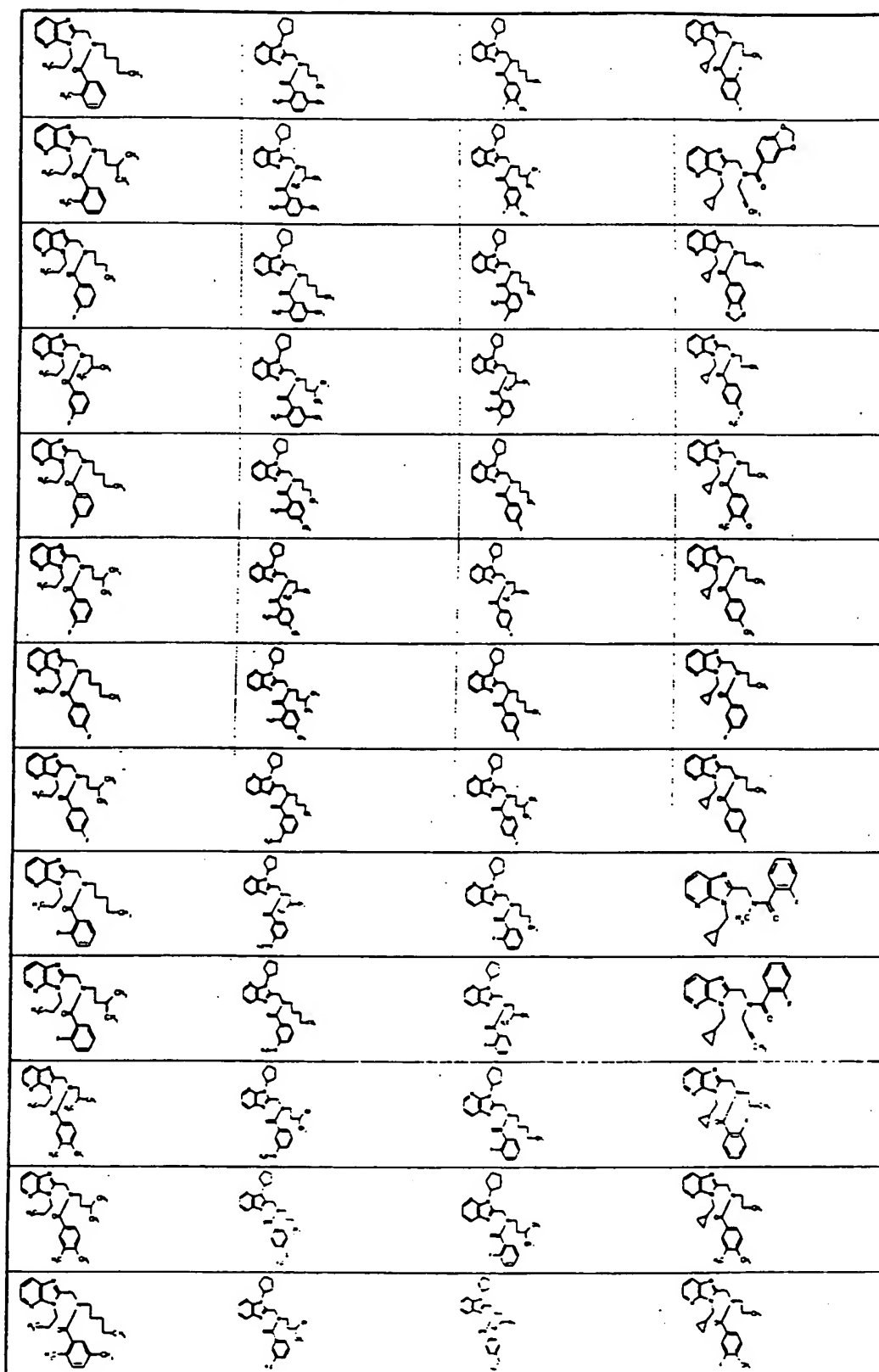
## Appendix 1



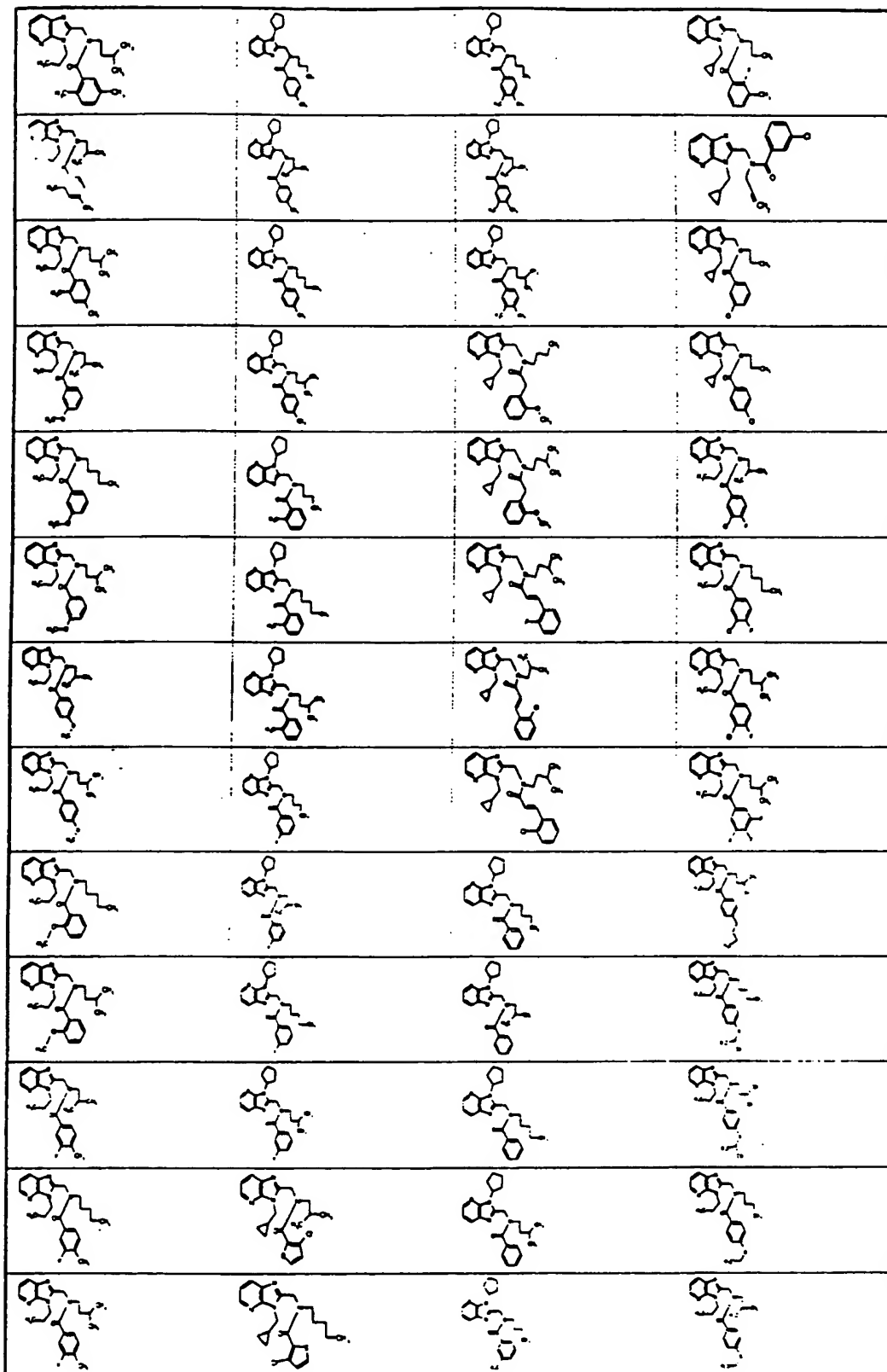
## Appendix 1

## Appendix 1

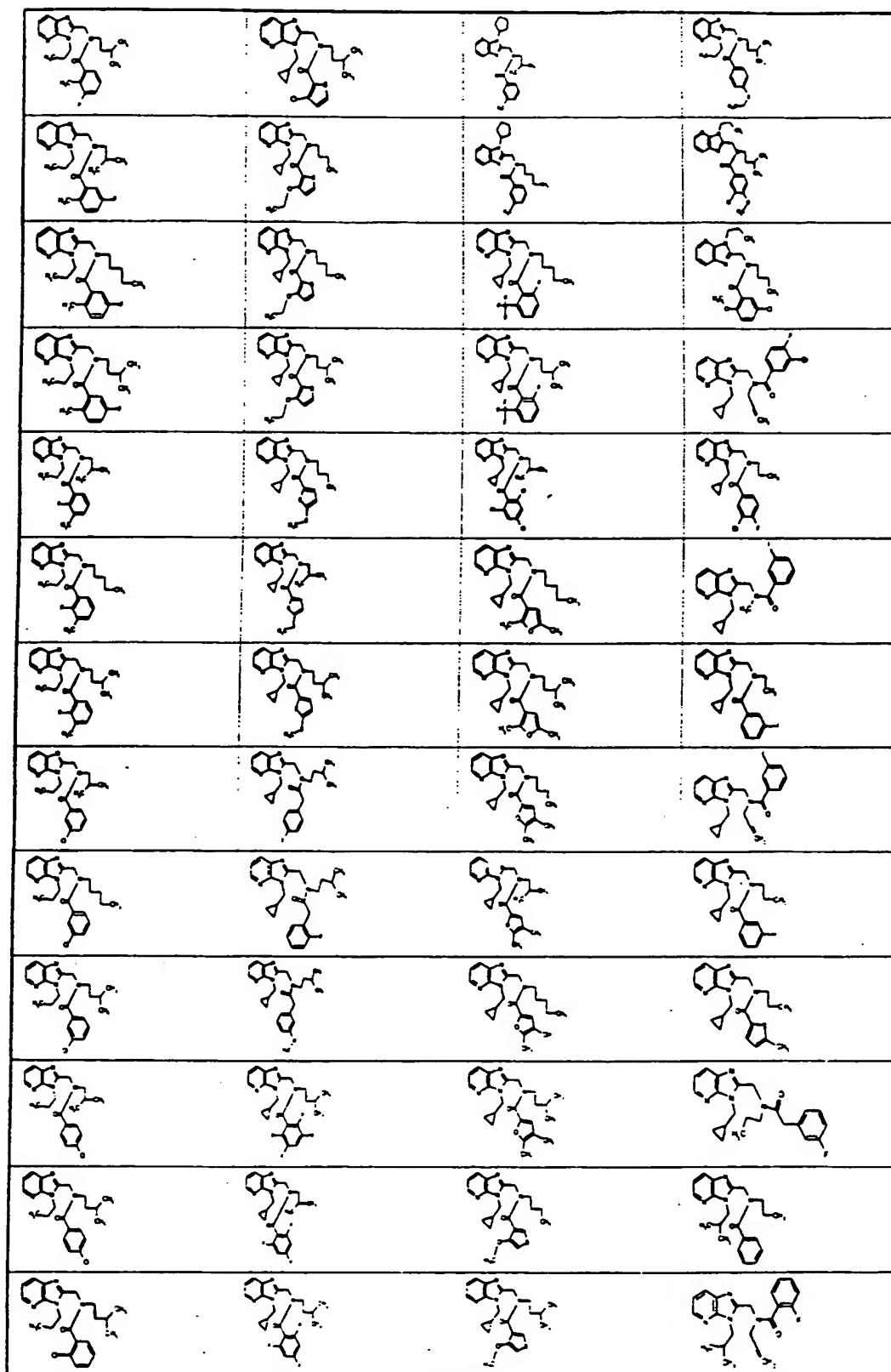


## Appendix 1

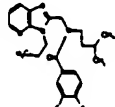
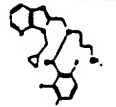
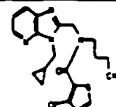
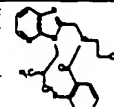
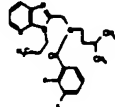
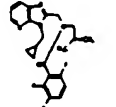
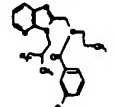
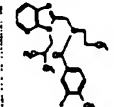
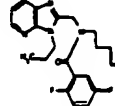
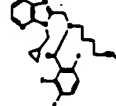
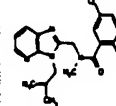
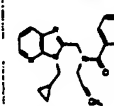
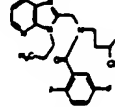
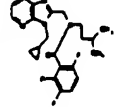
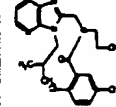
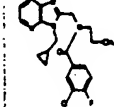
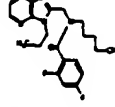
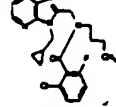
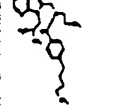
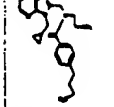
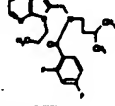
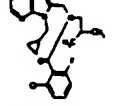
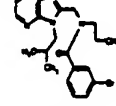
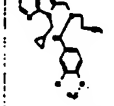
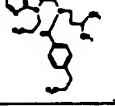
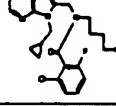
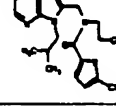
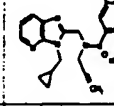
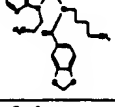
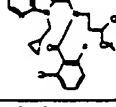
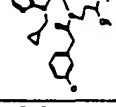
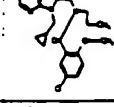
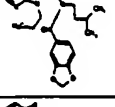
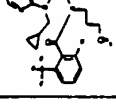
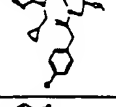
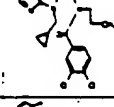
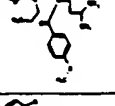
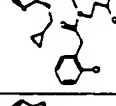
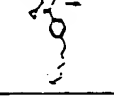
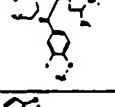
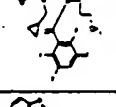
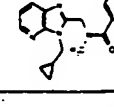
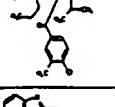
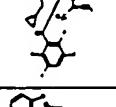
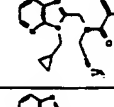
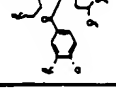
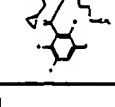
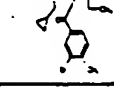




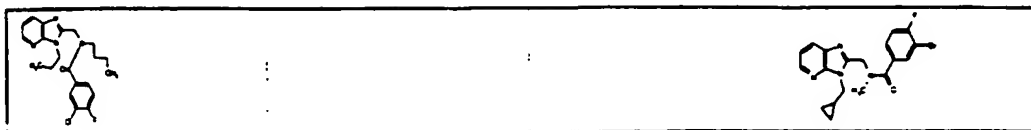
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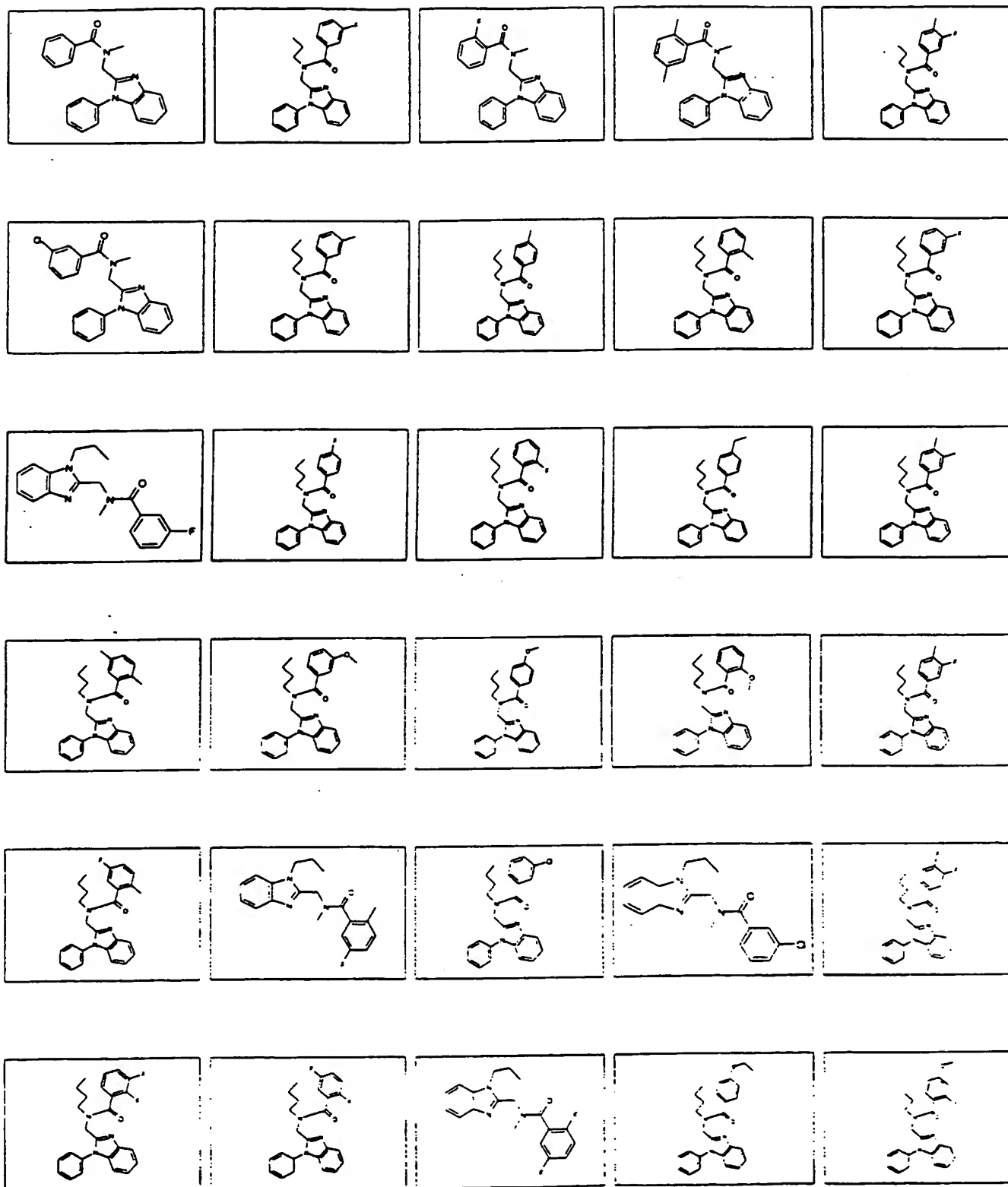
## Appendix 1

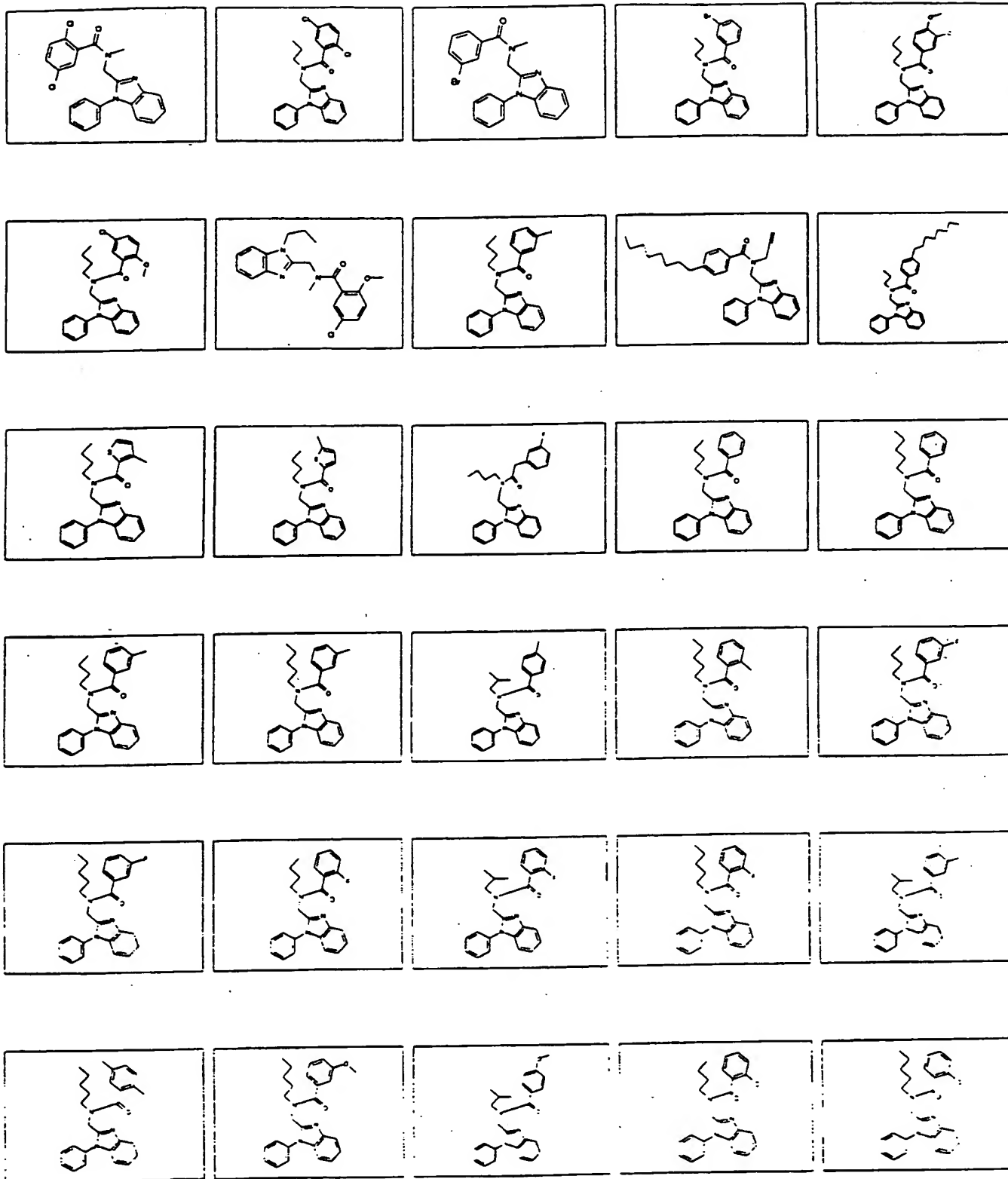
			
			
			
			
			
			
			
			
			
			
			
			
			

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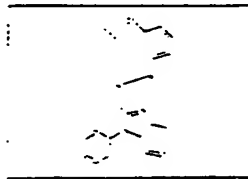
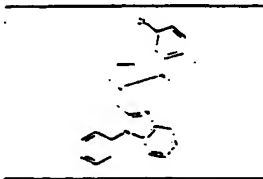
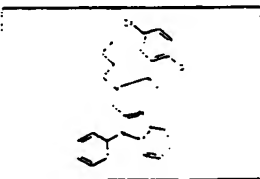
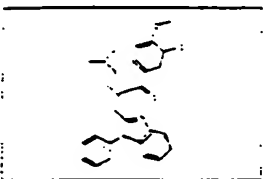
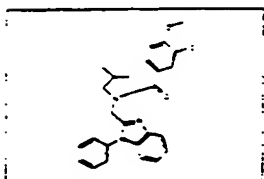
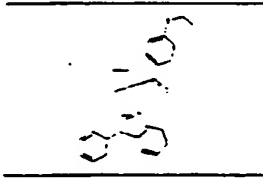
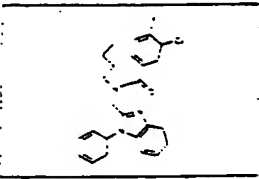
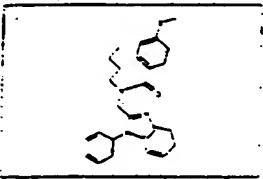
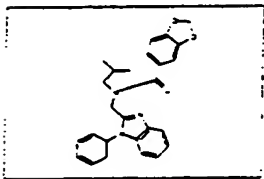
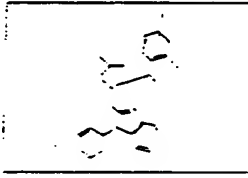
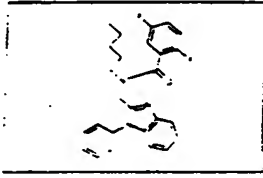
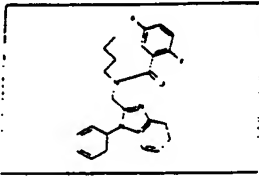
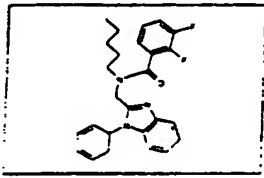
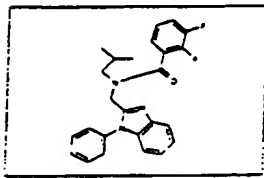
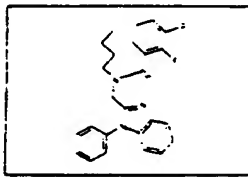
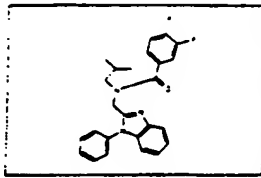
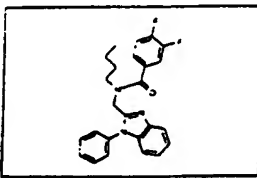
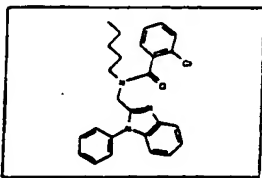
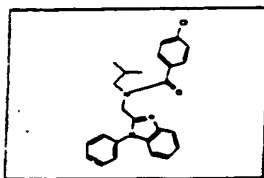
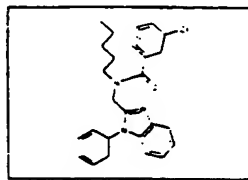
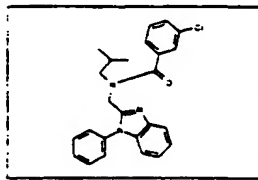
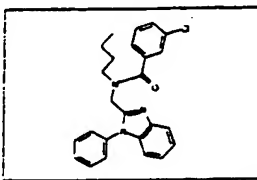
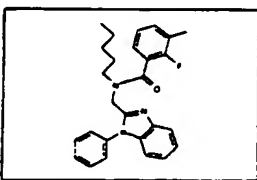
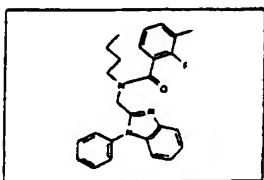
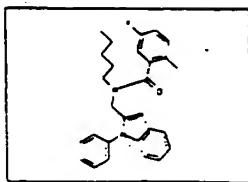
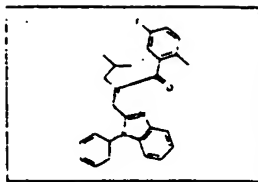
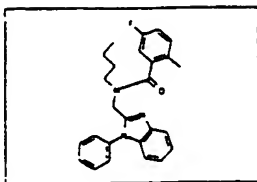
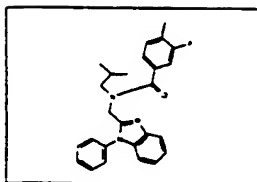
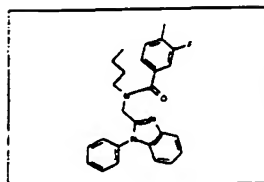


## Appendix 2

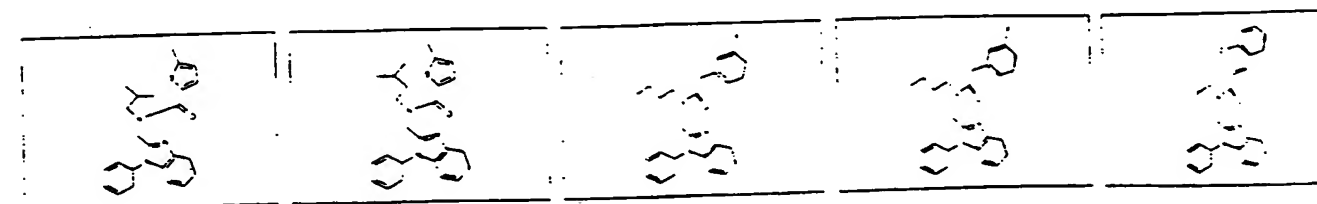
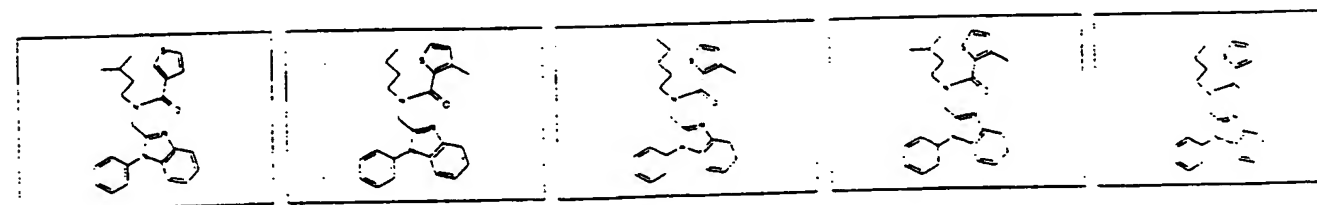
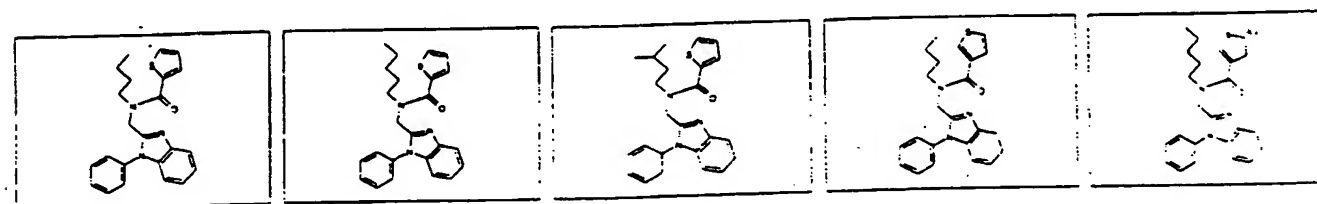
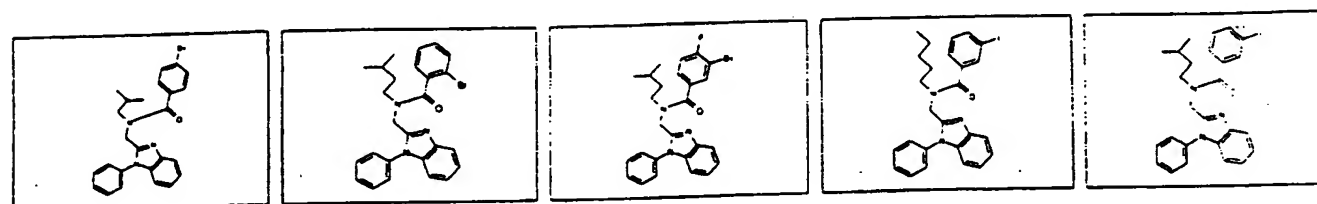
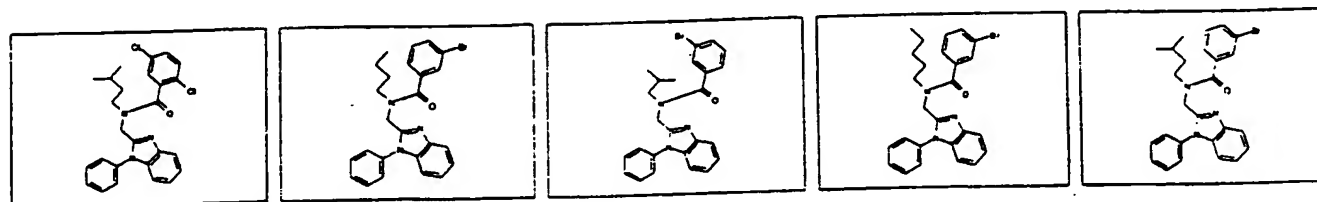
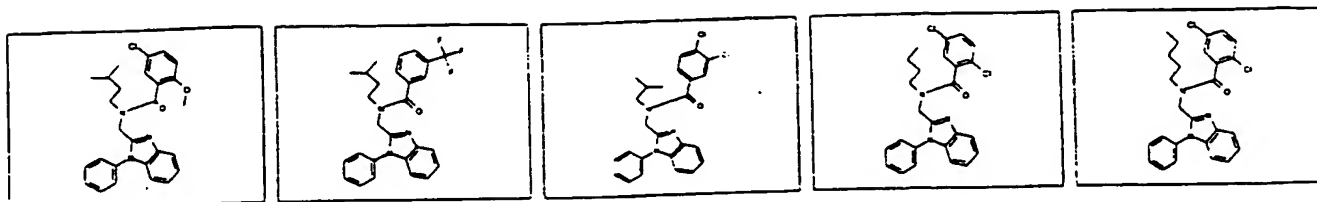


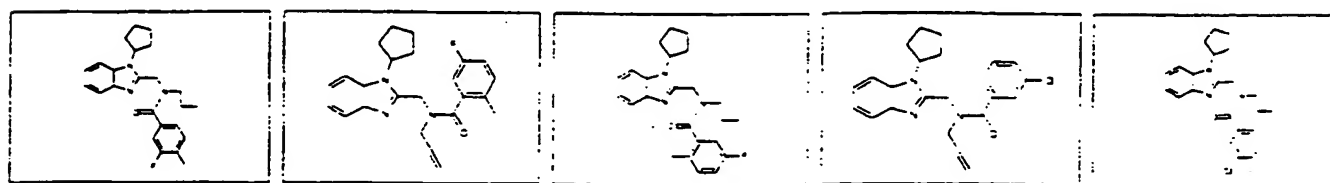
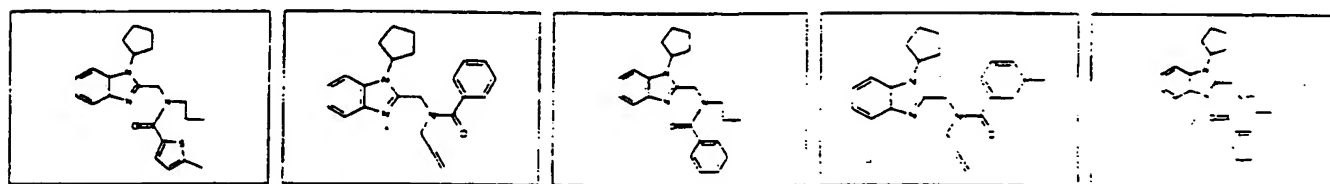
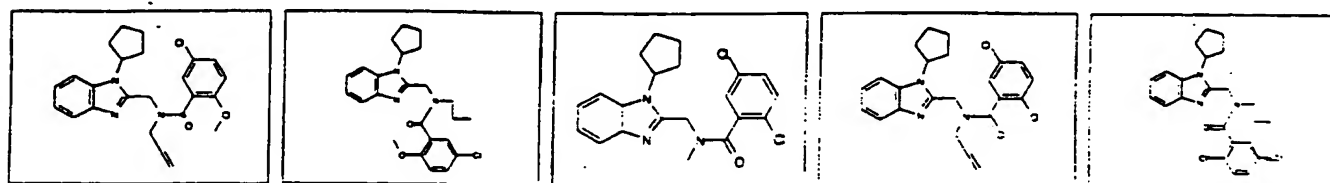
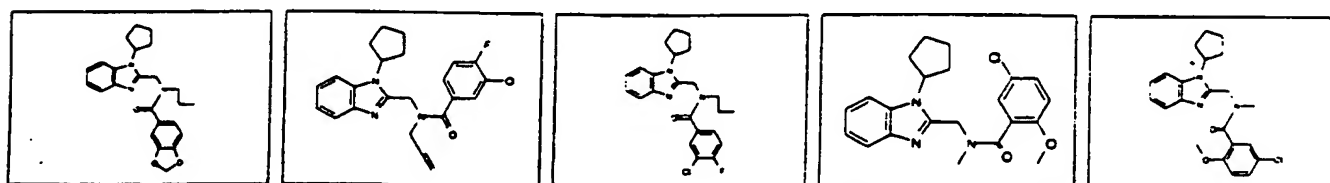
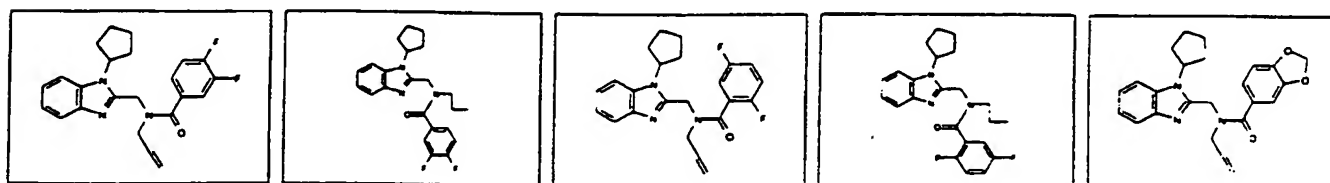
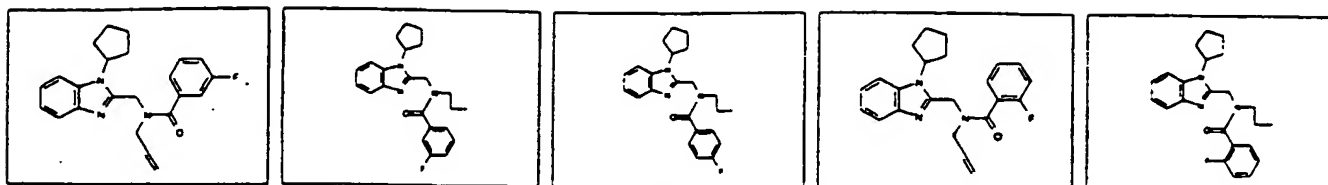


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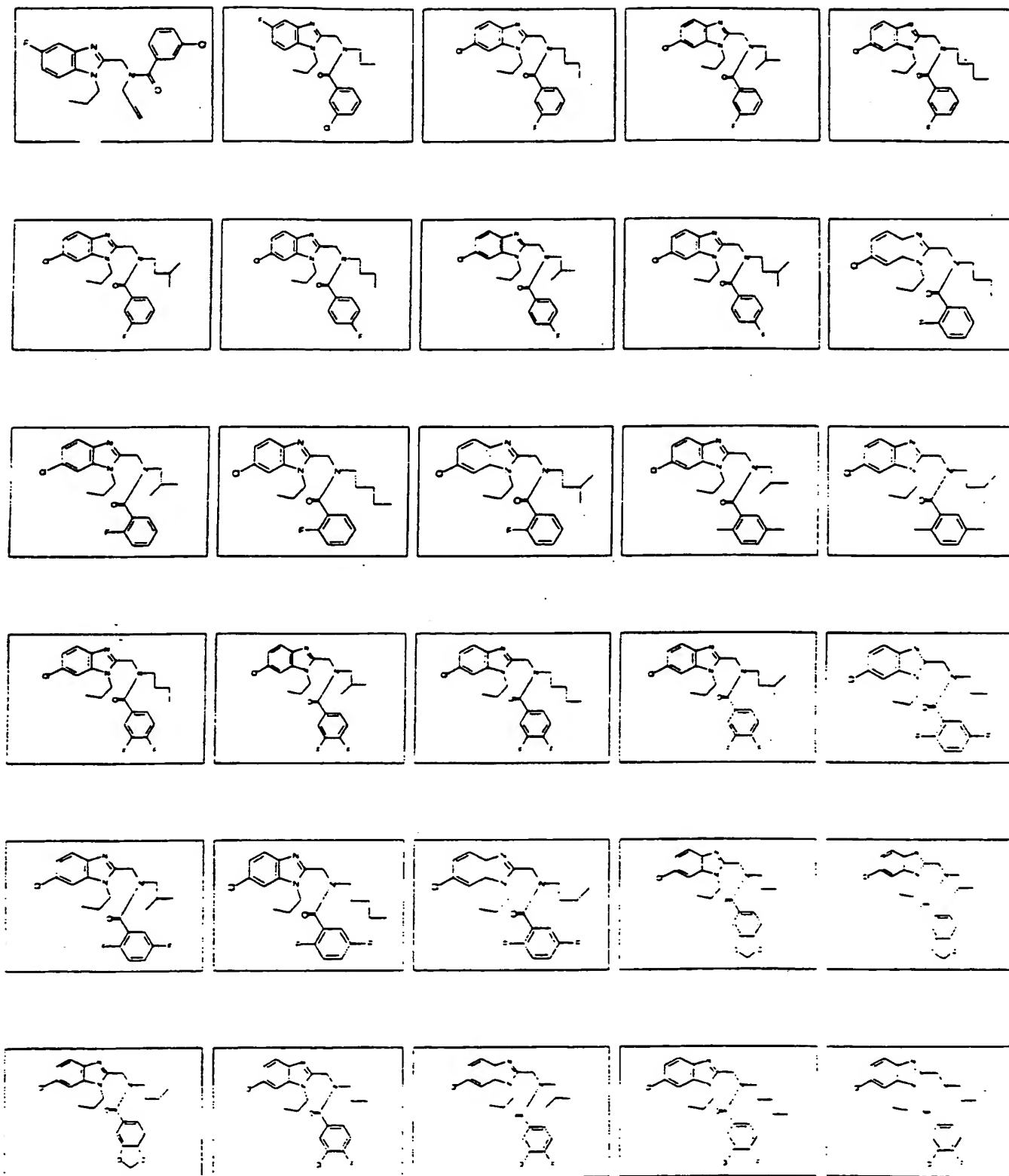
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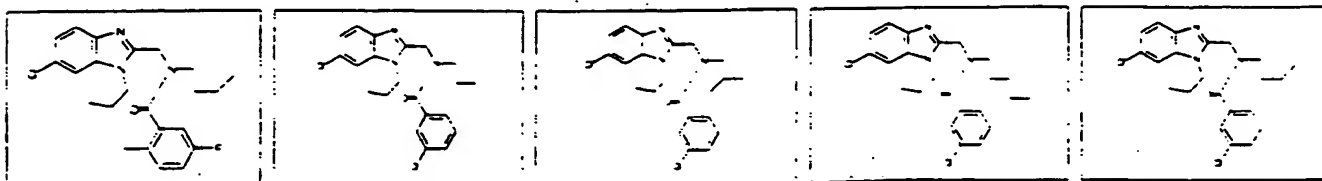
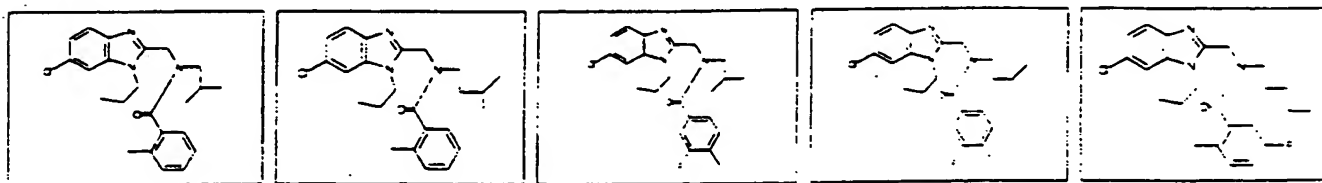
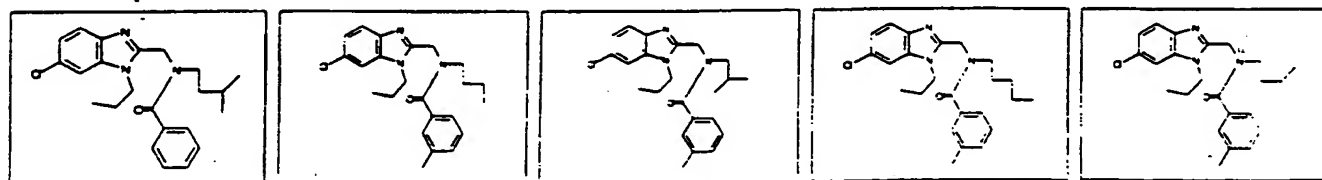
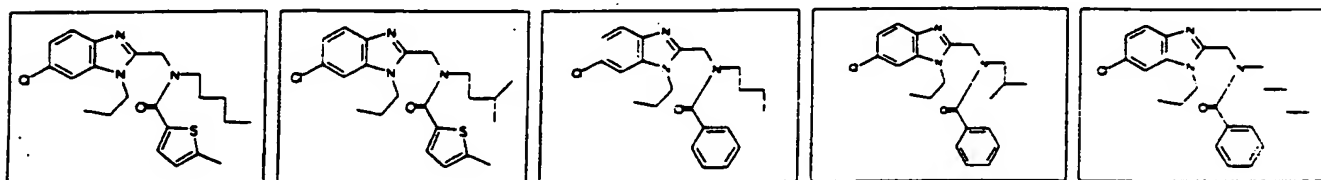
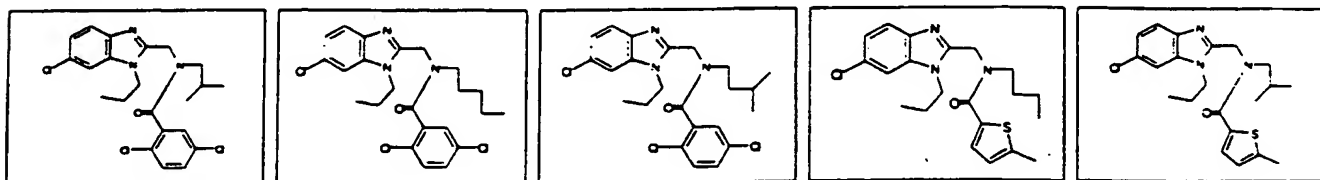
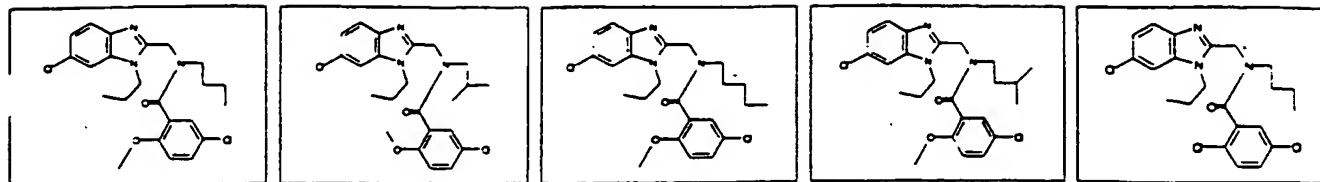


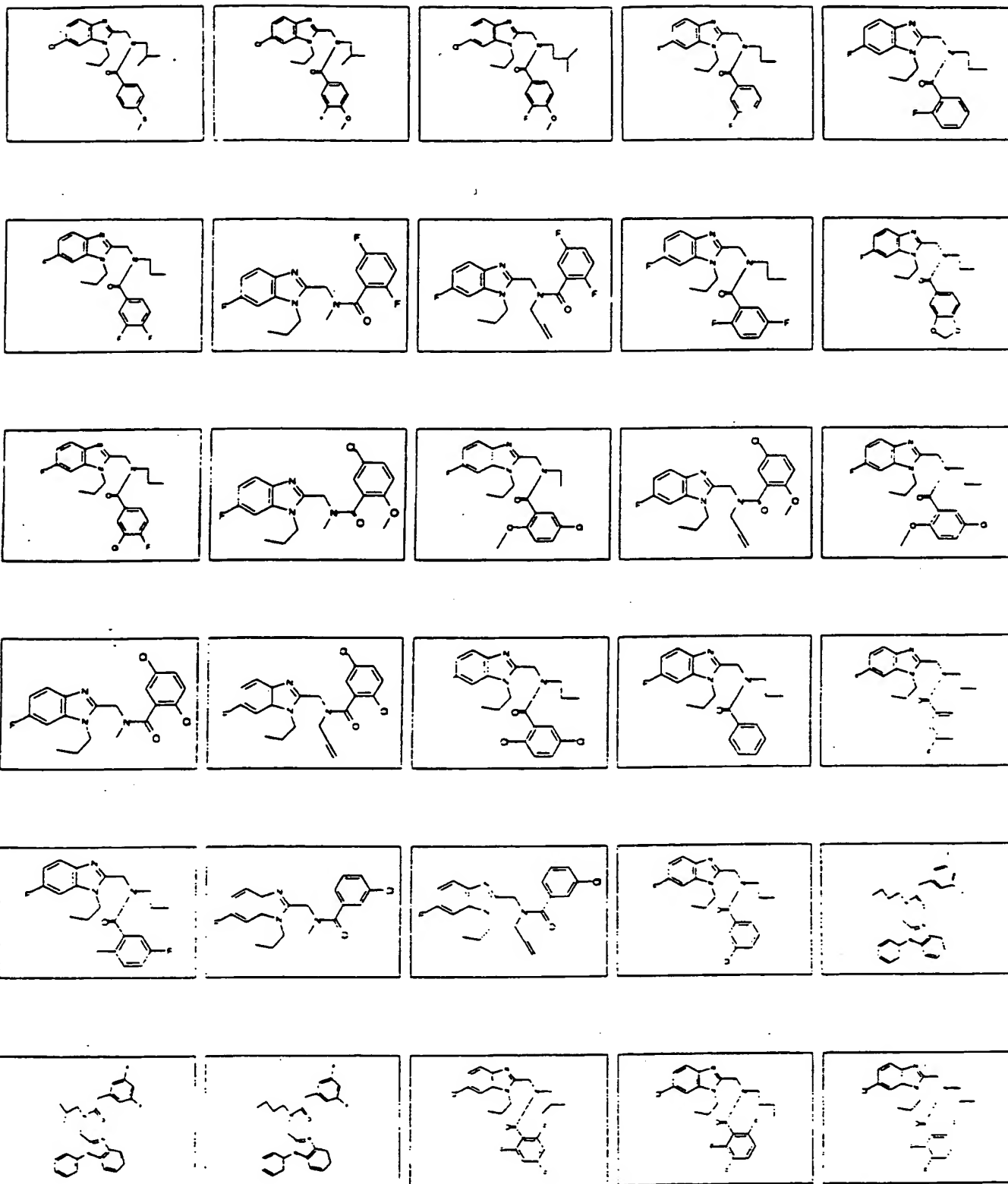


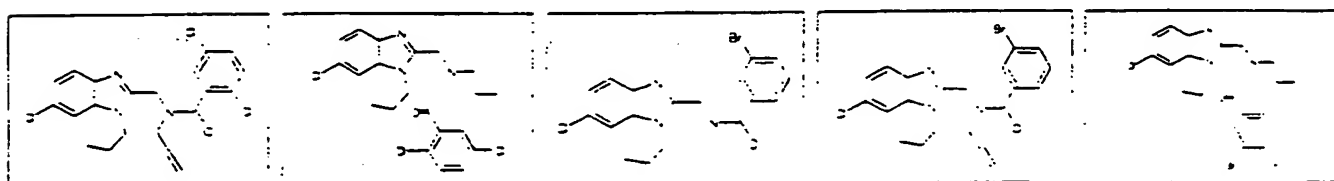
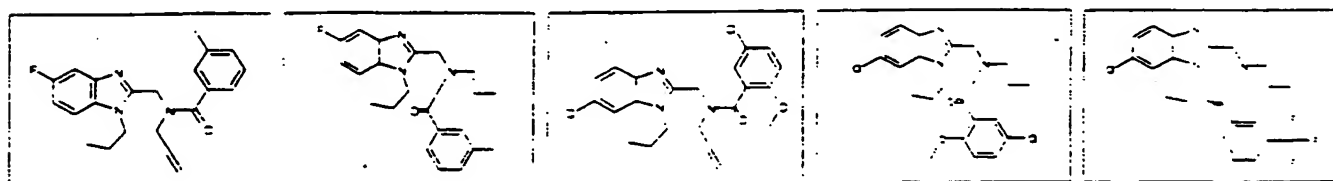
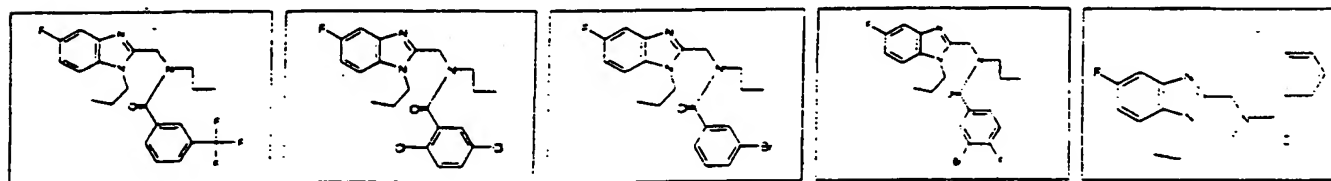
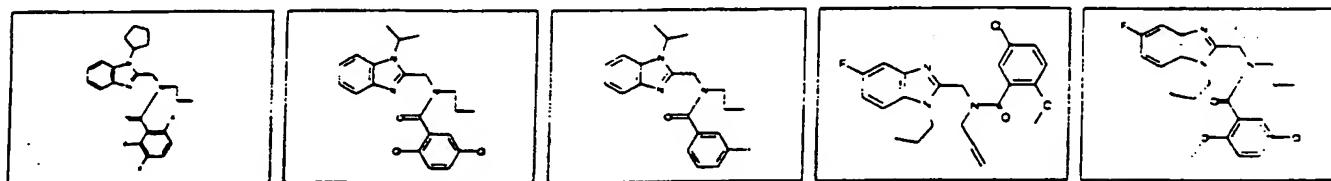
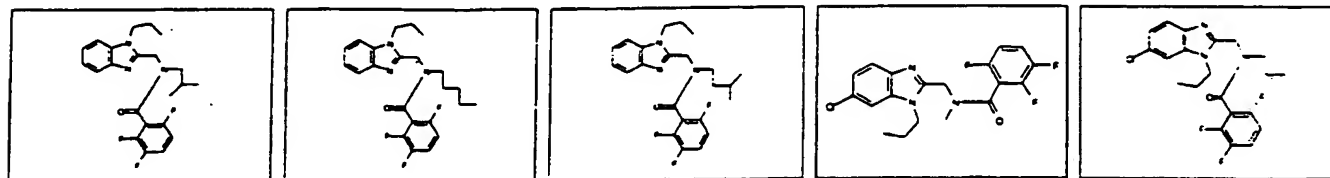
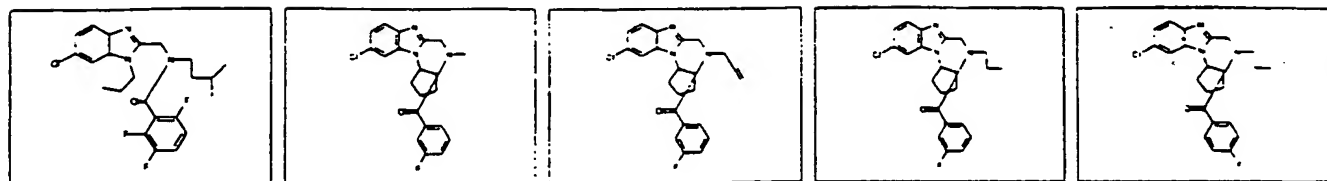




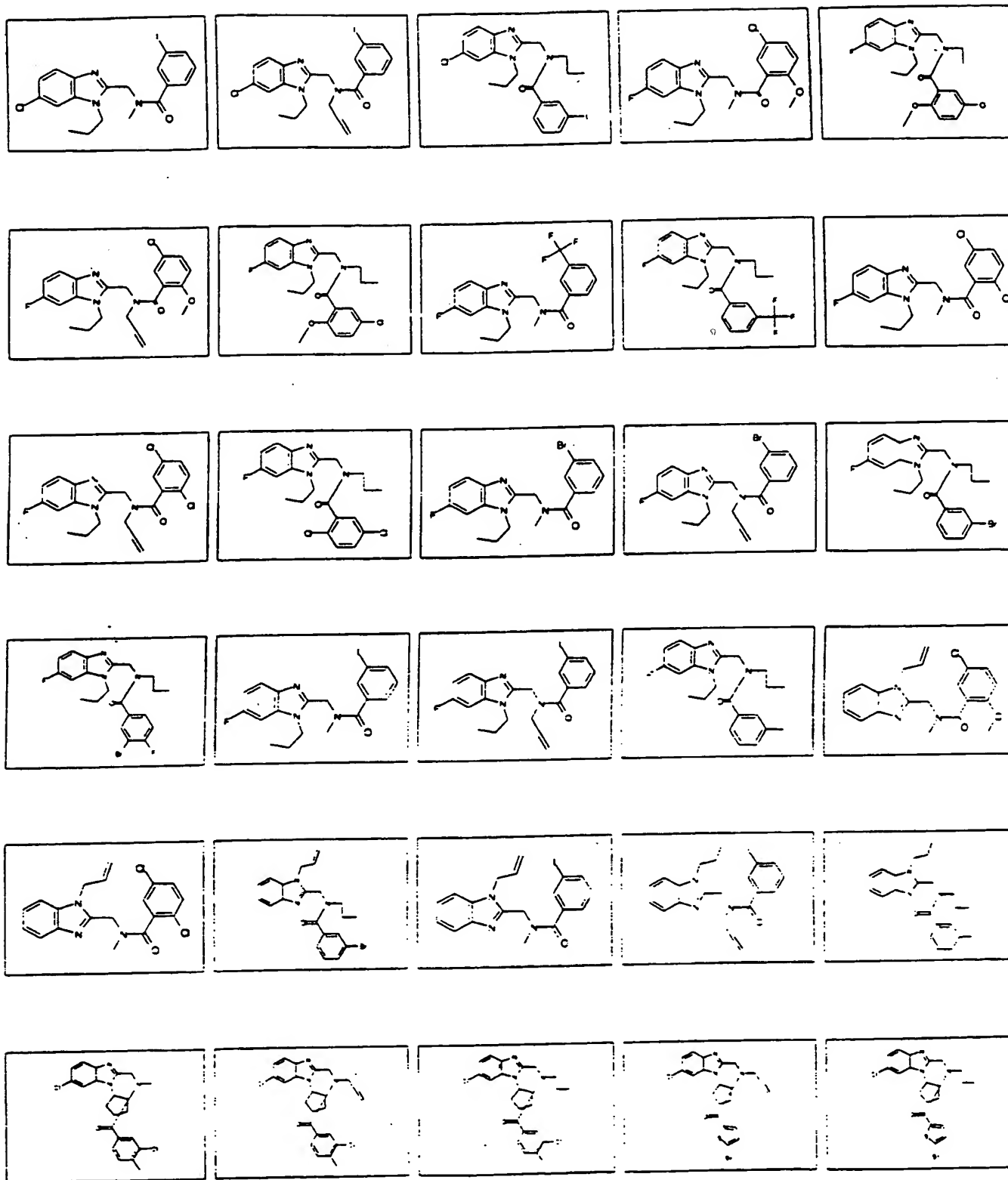
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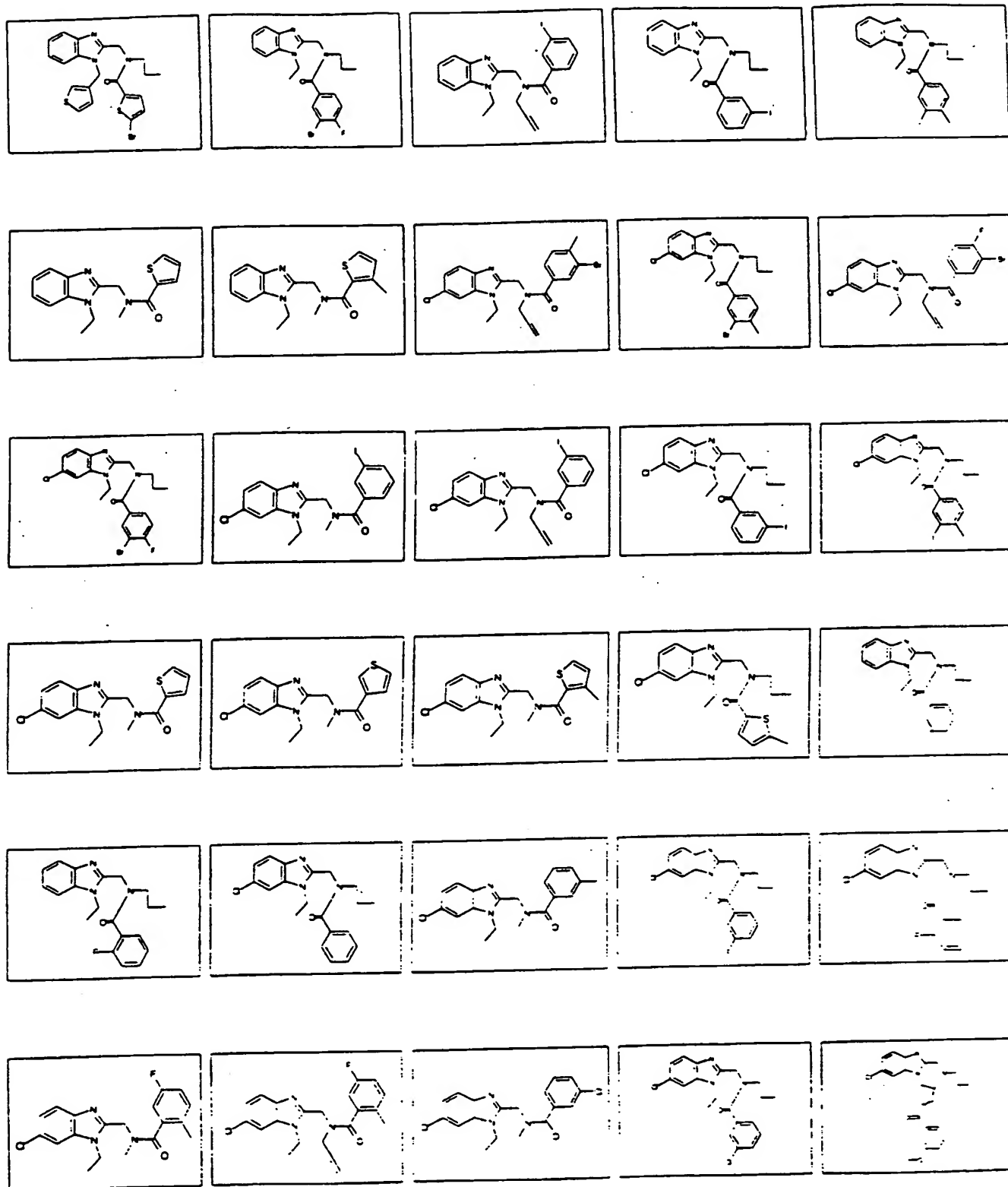




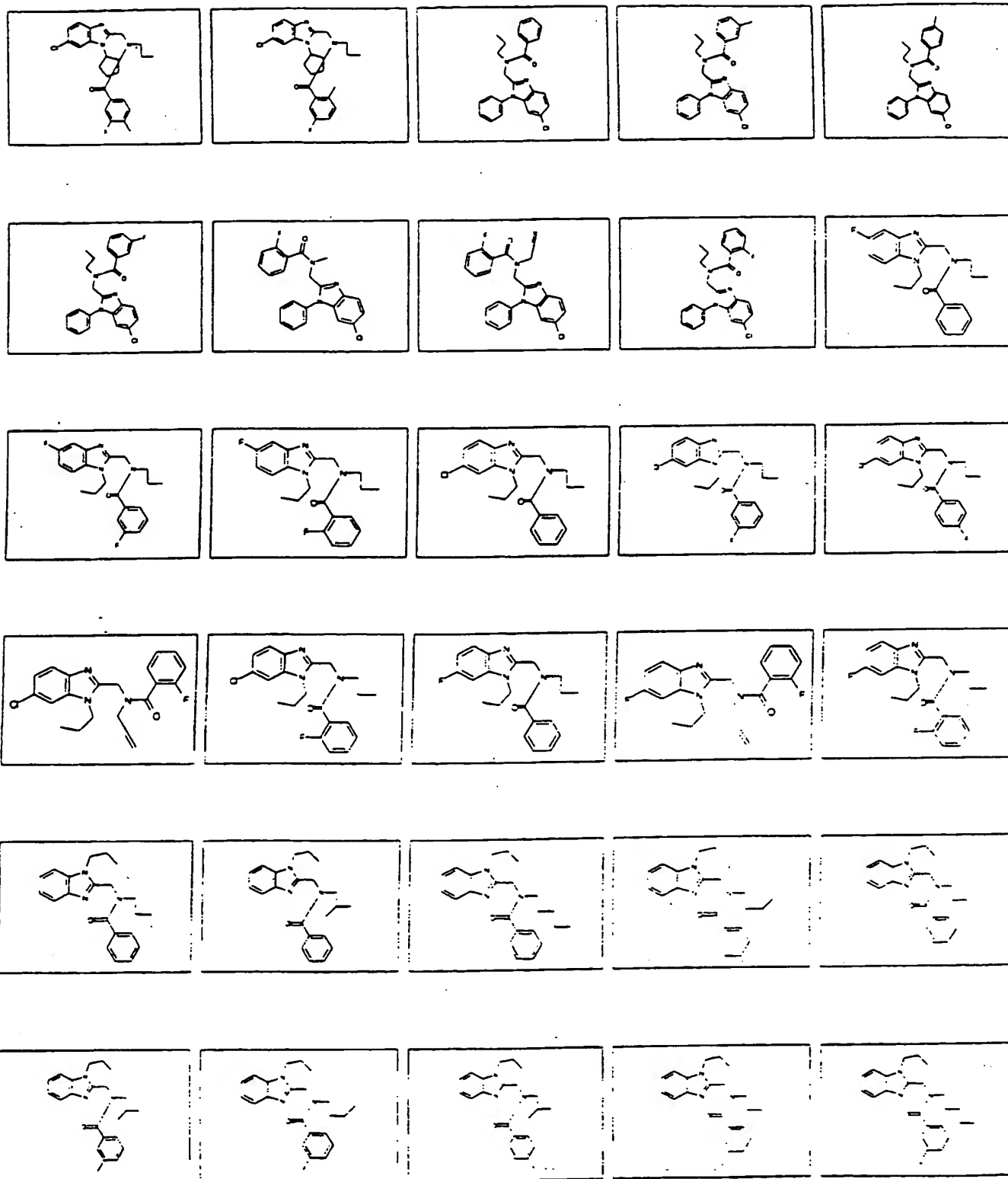
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## Appendix 2

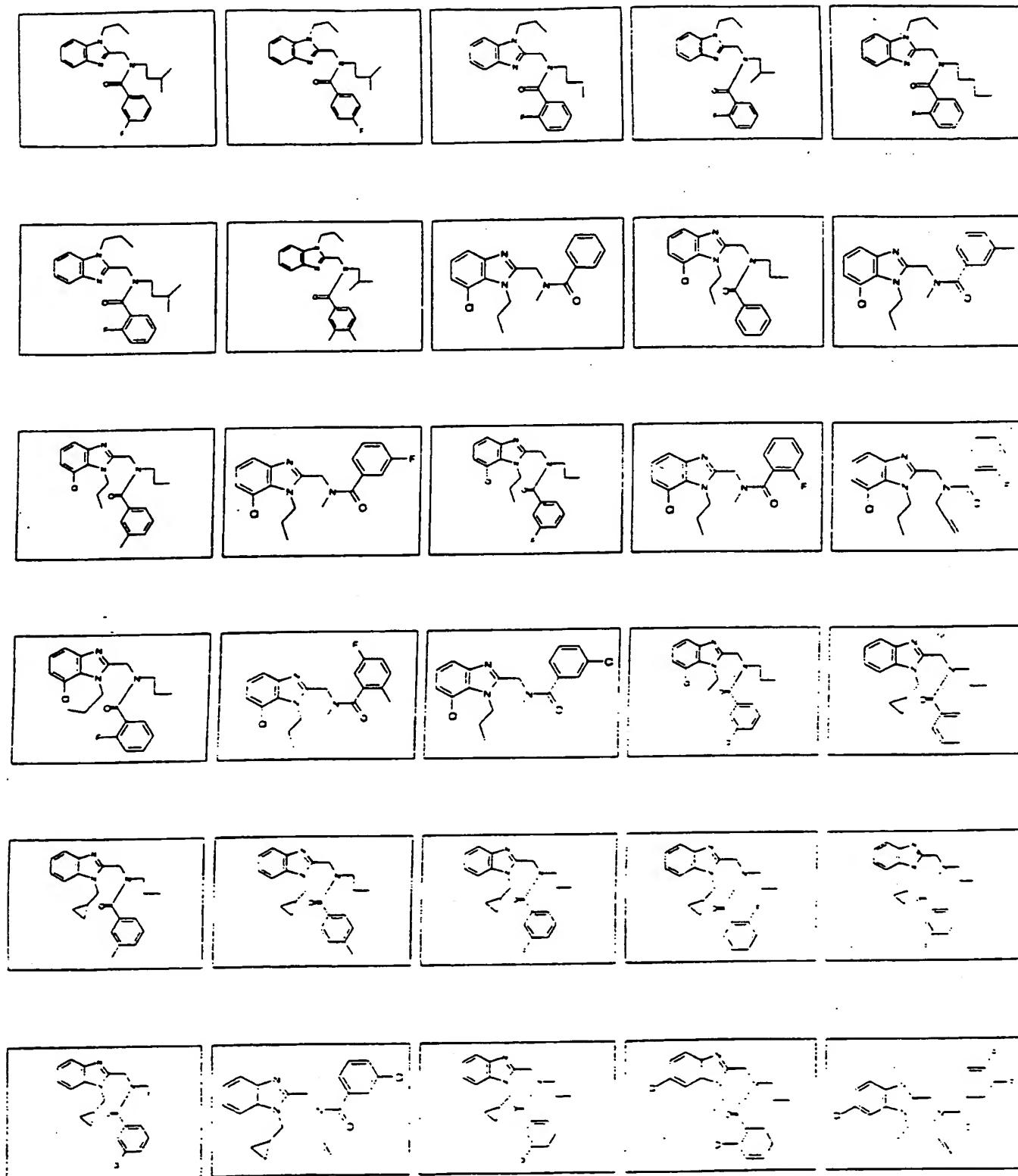


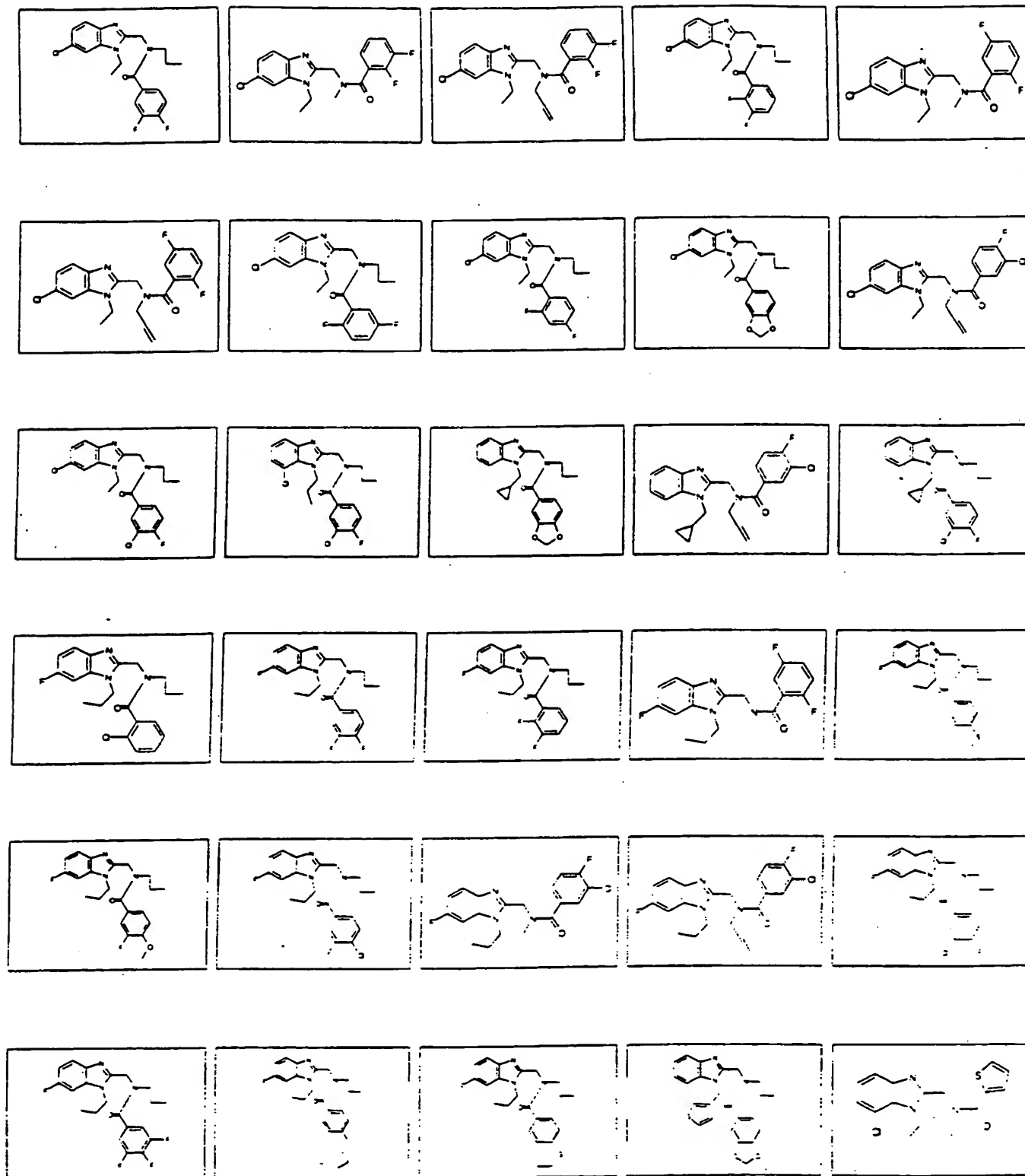
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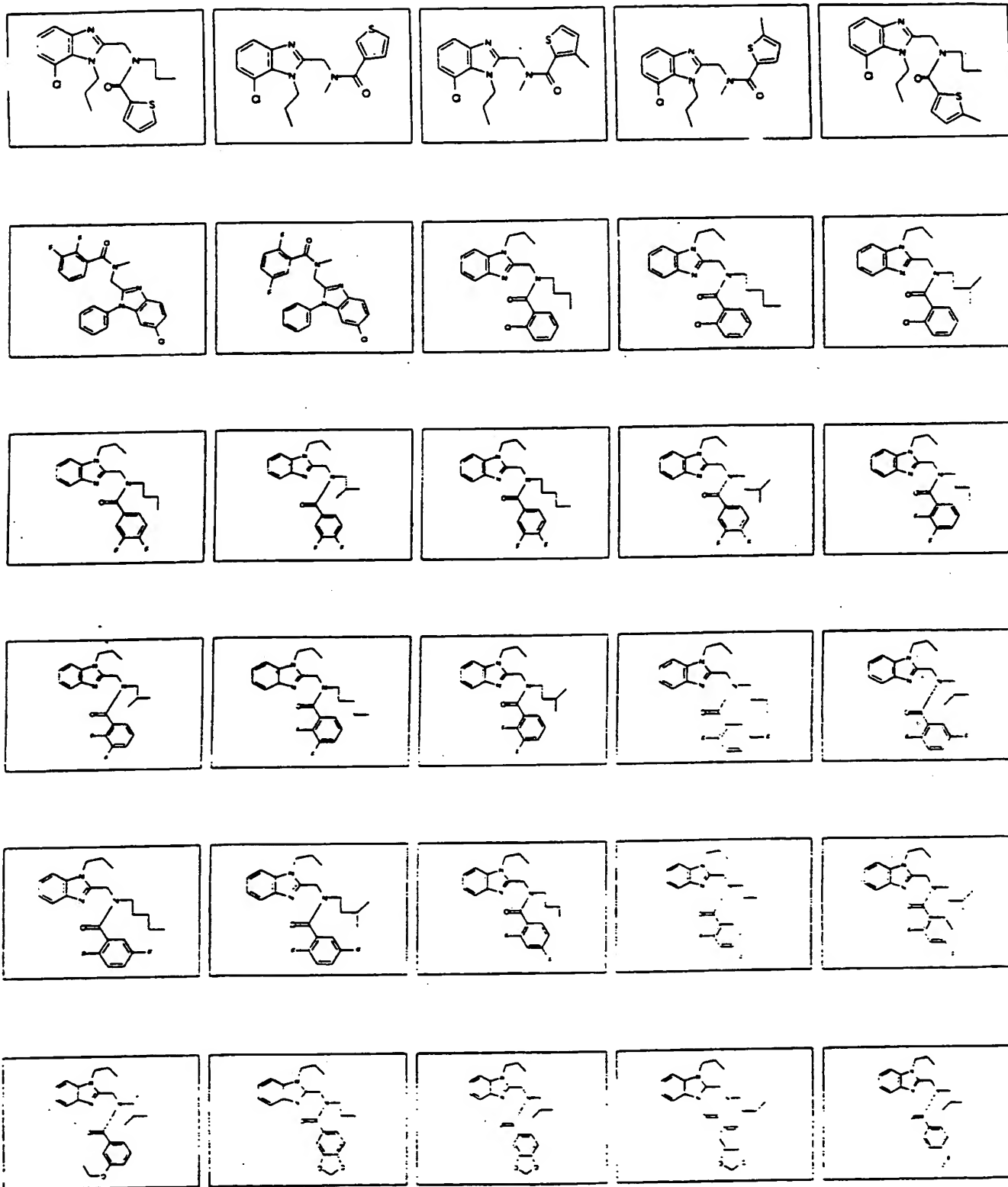


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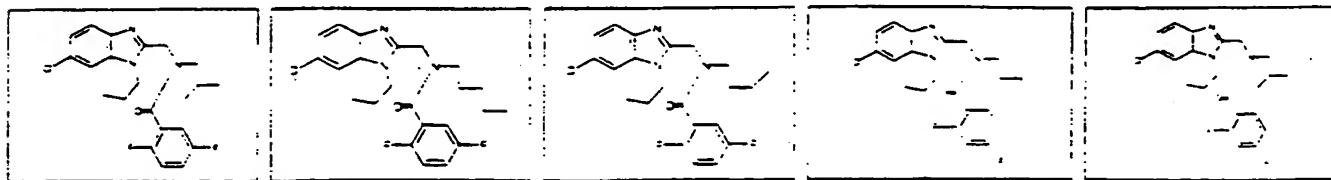
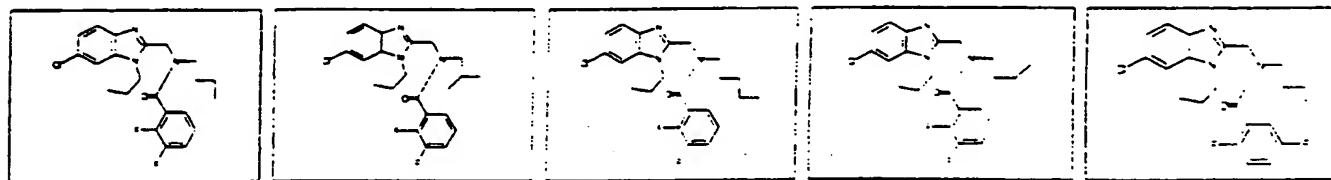
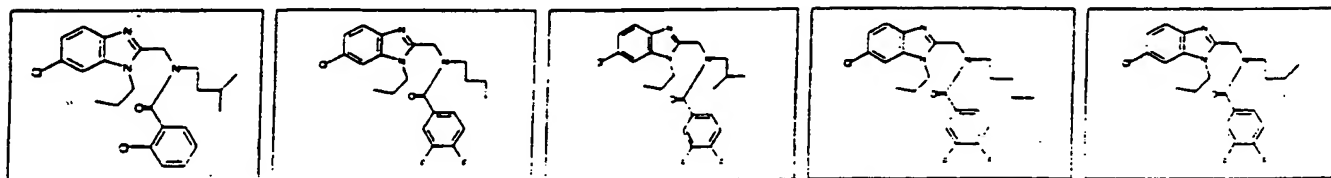
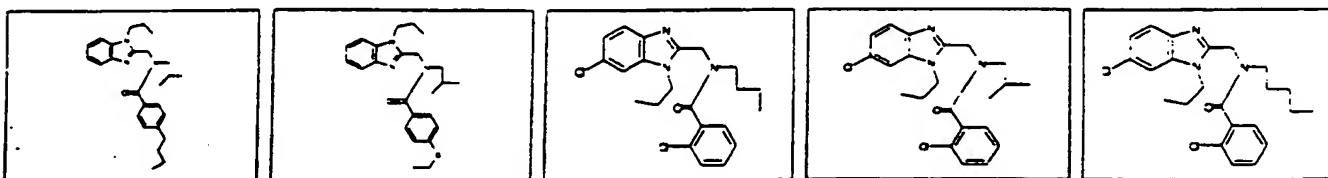
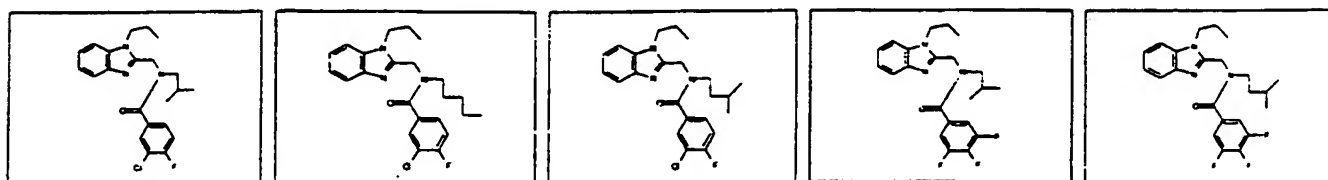
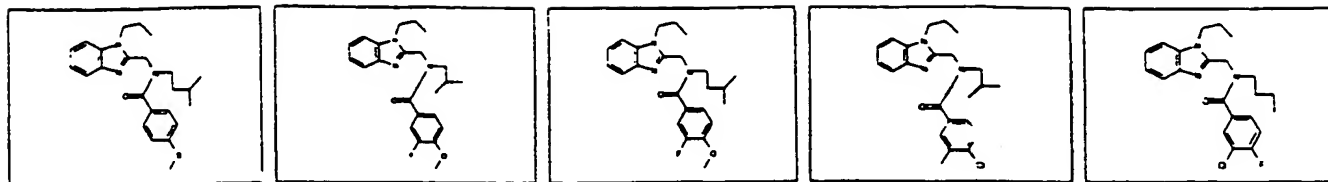


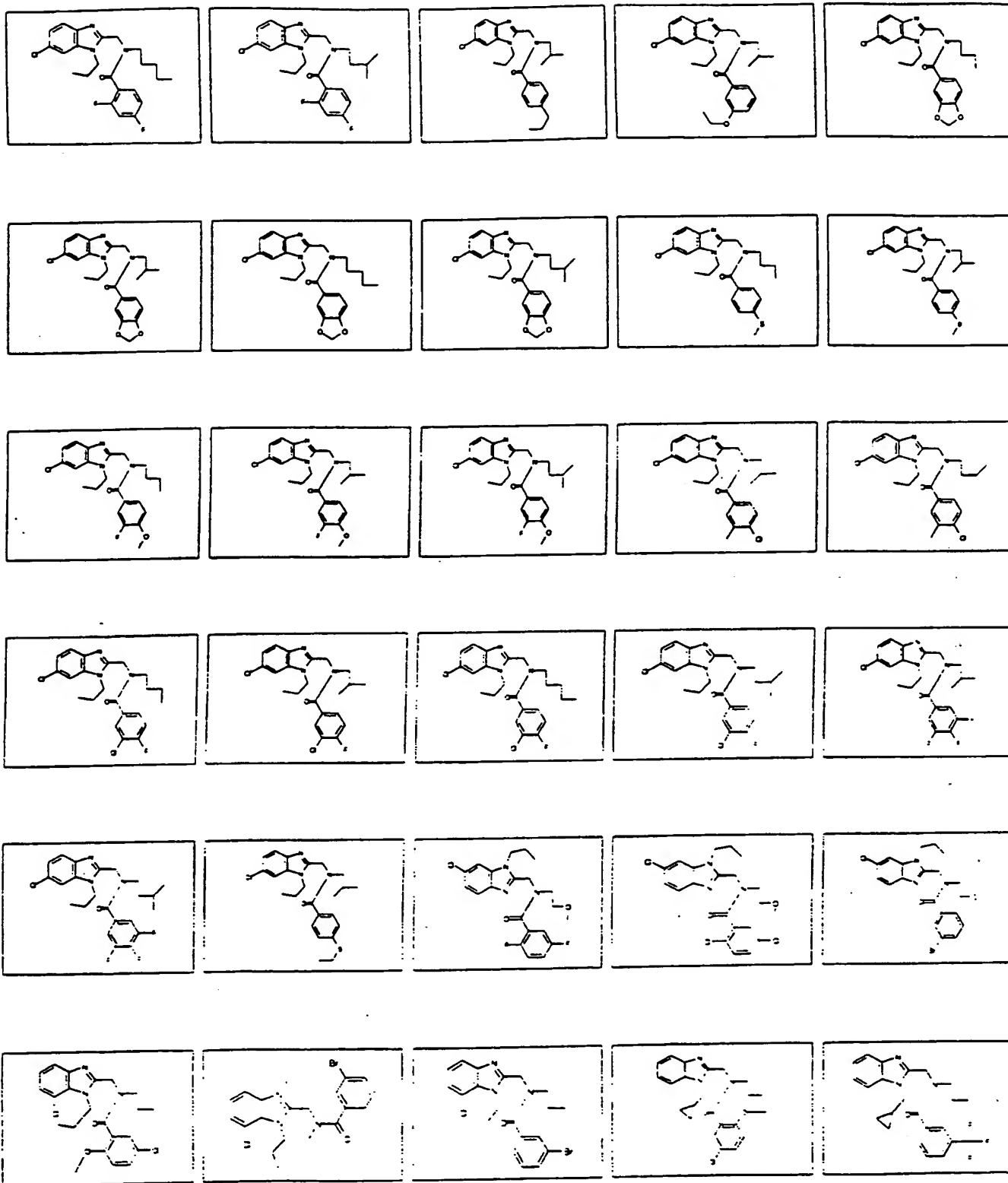


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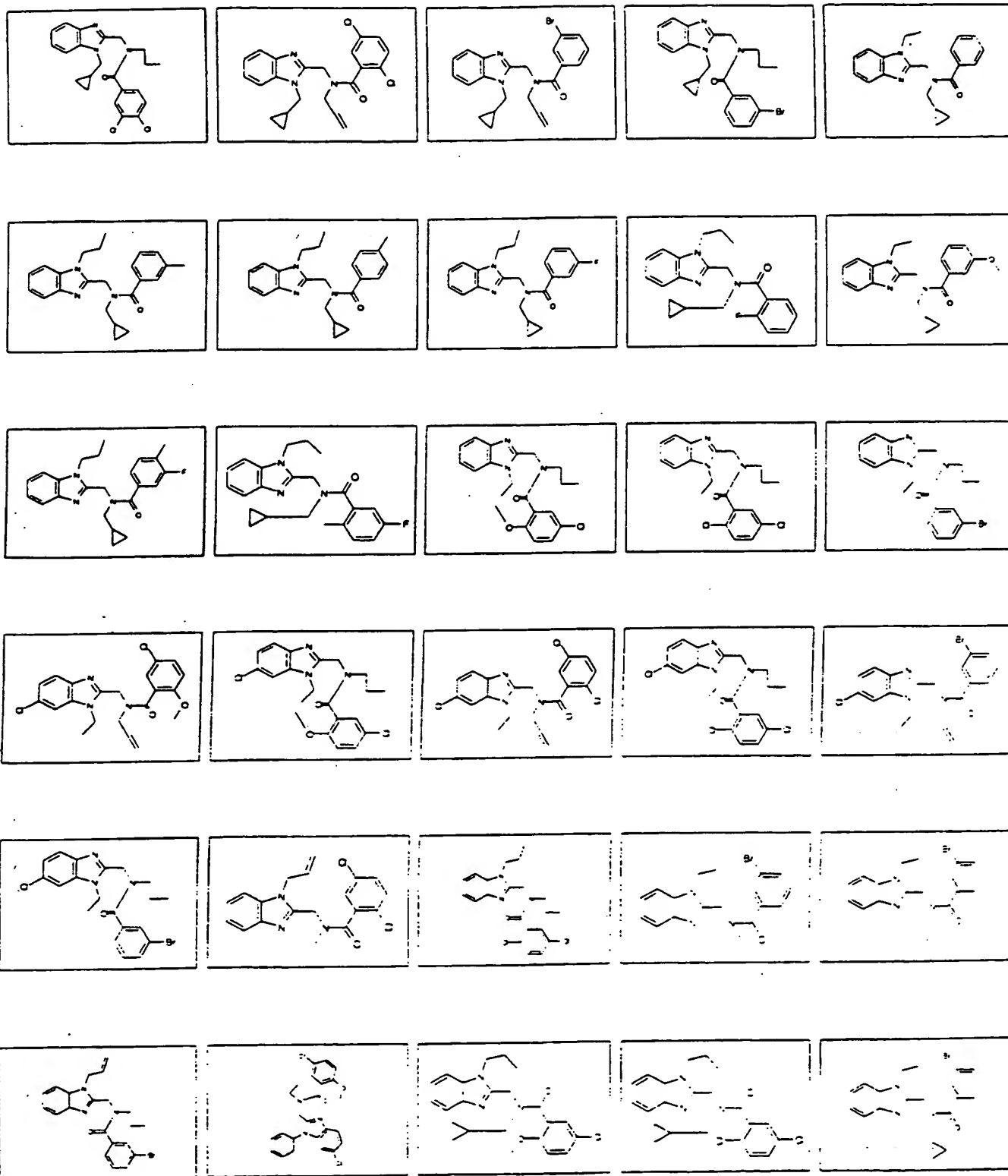


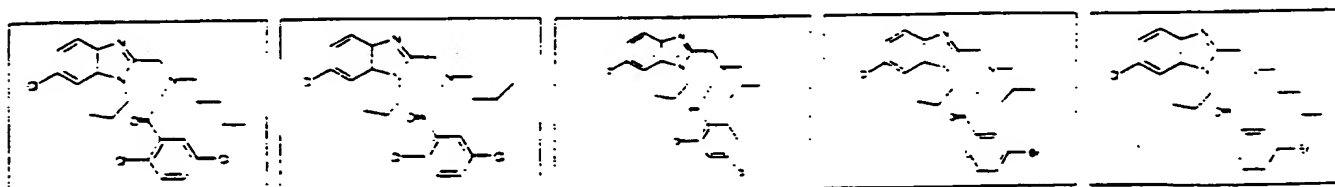
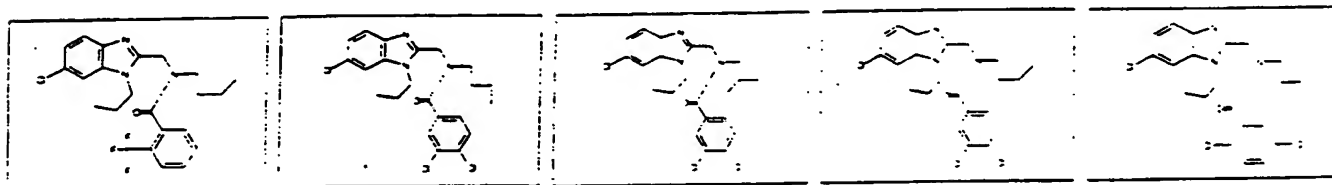
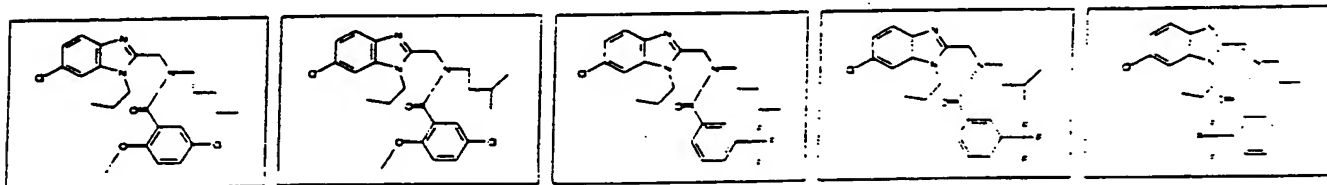
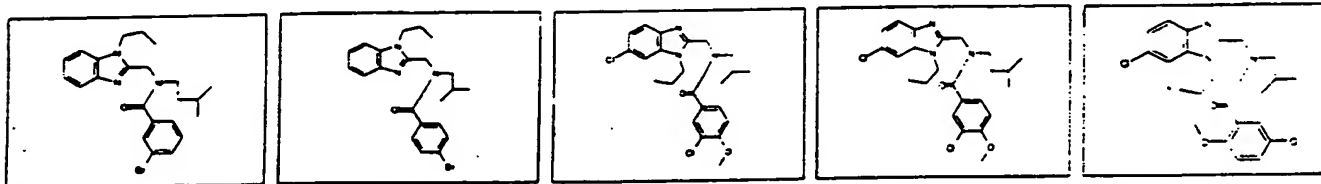
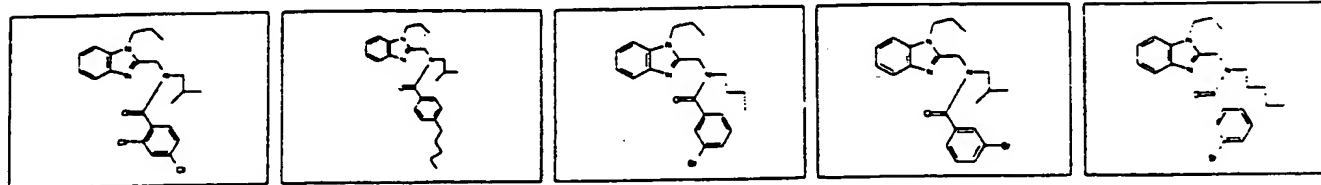
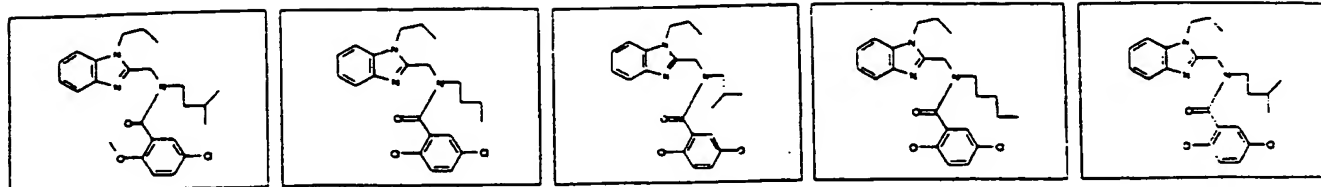
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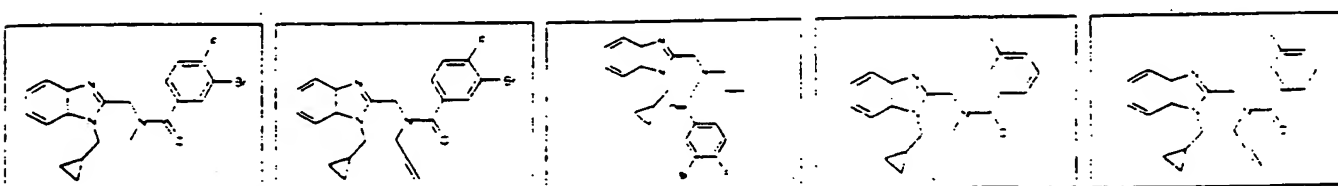
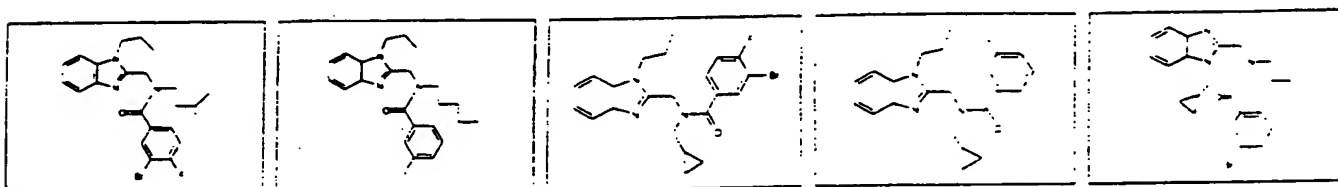
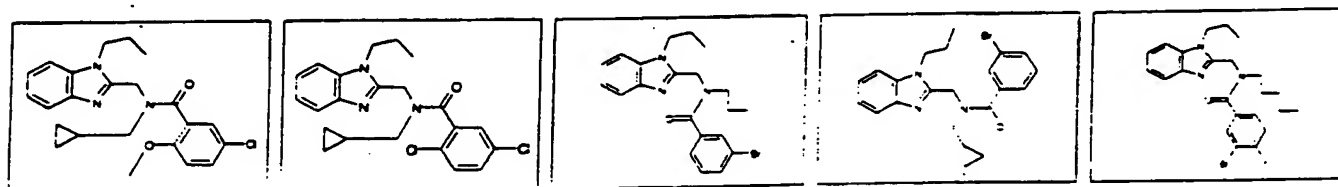
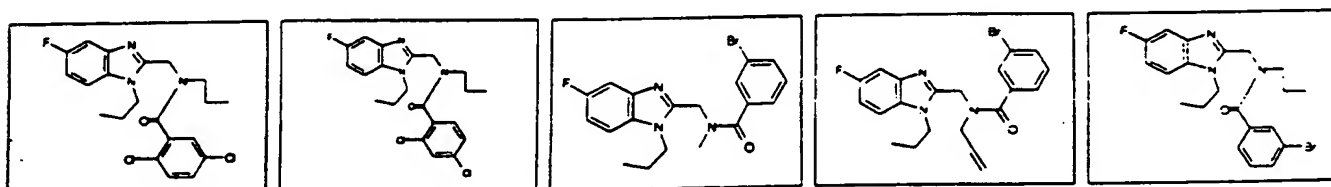
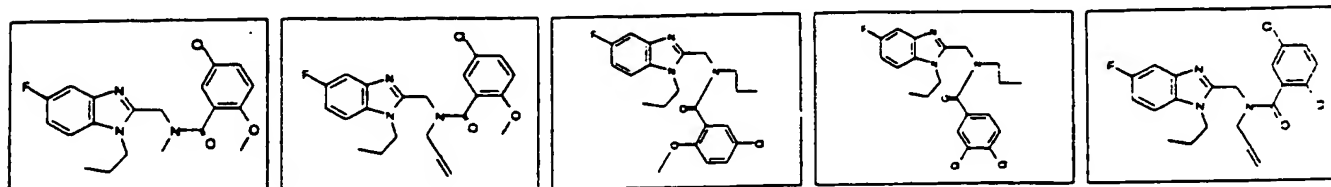
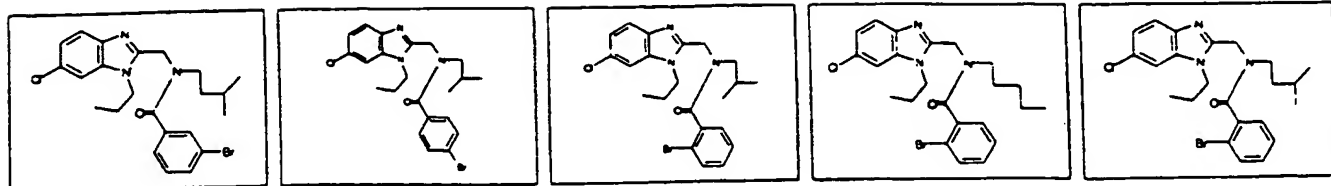




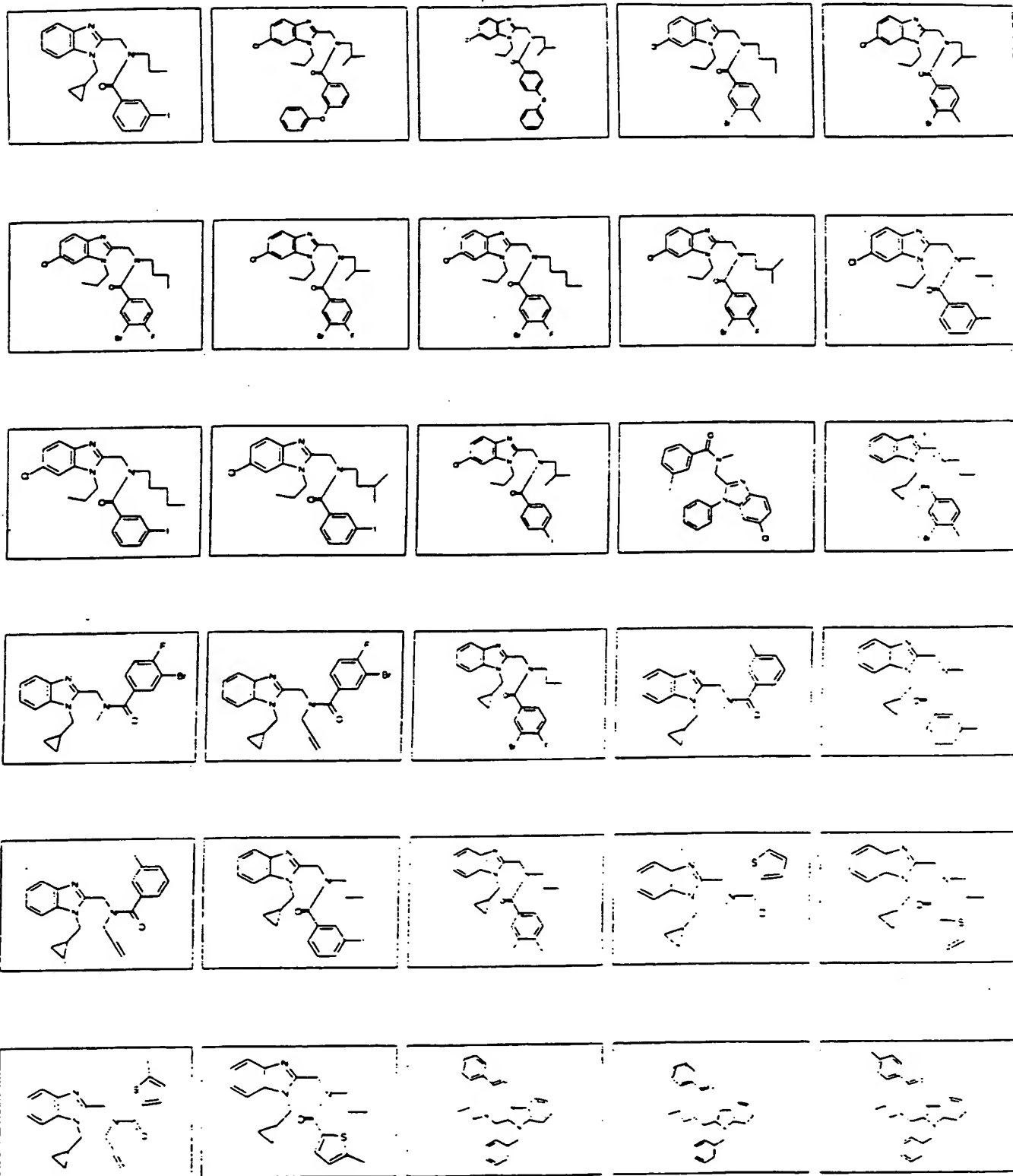
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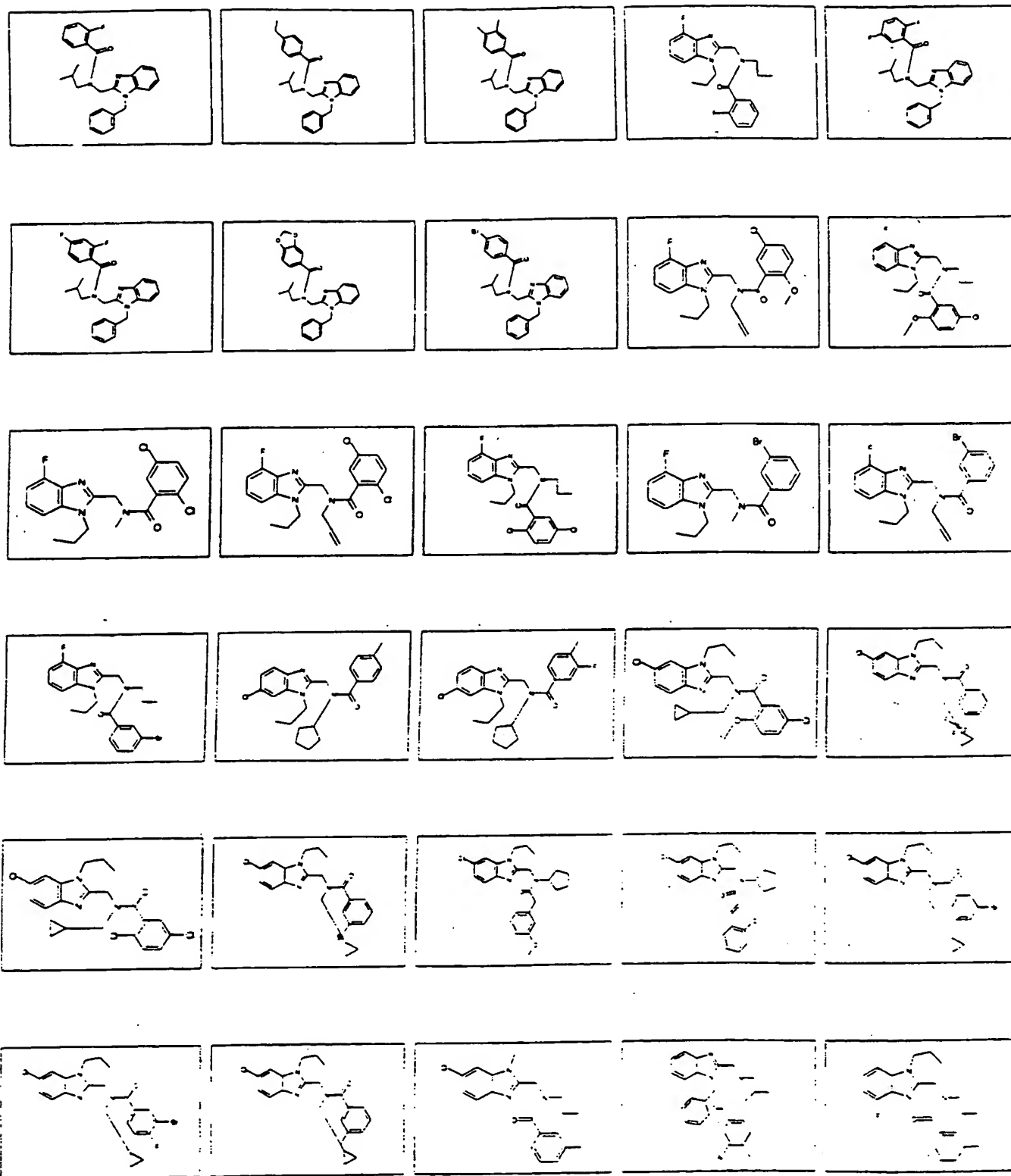




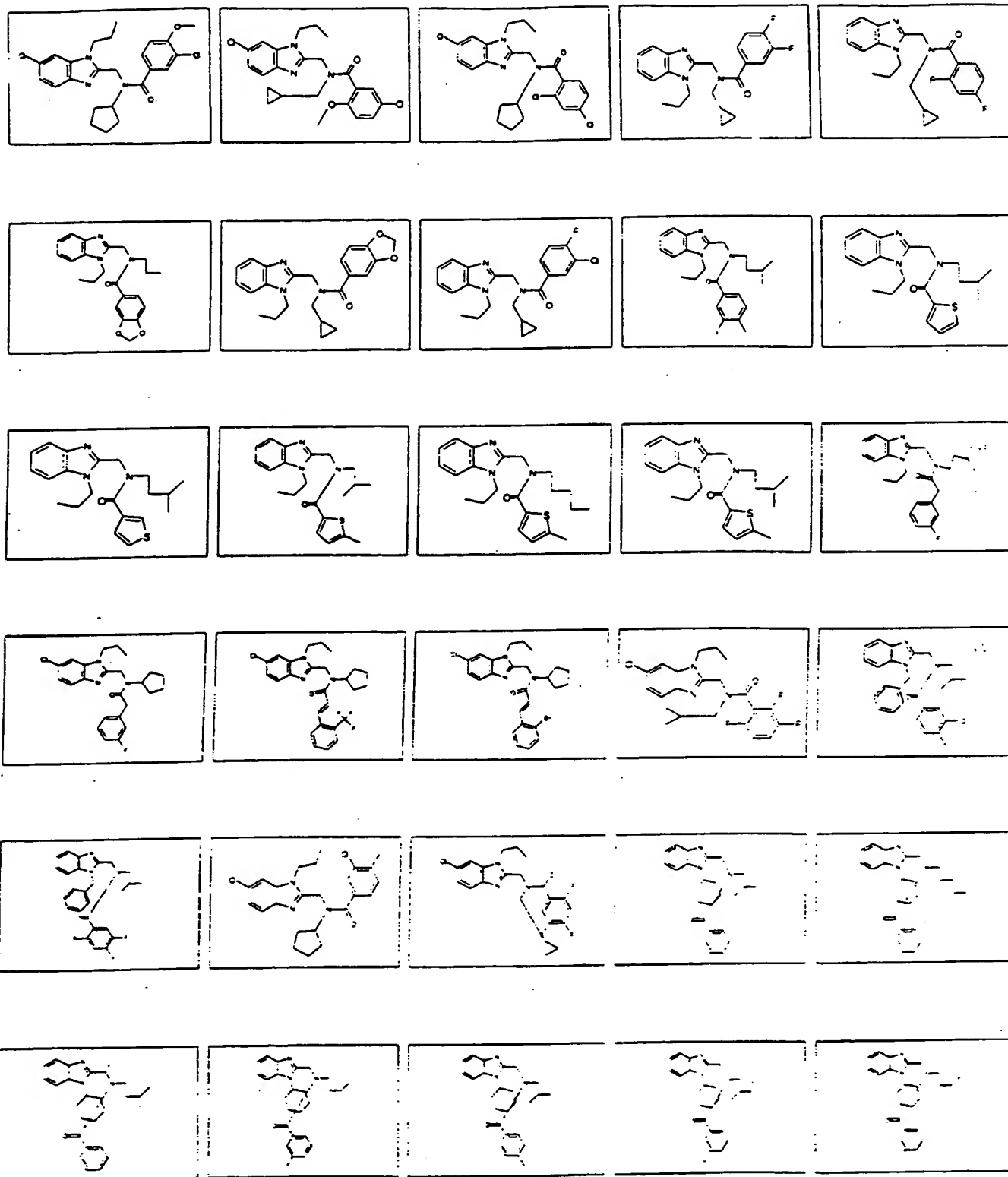




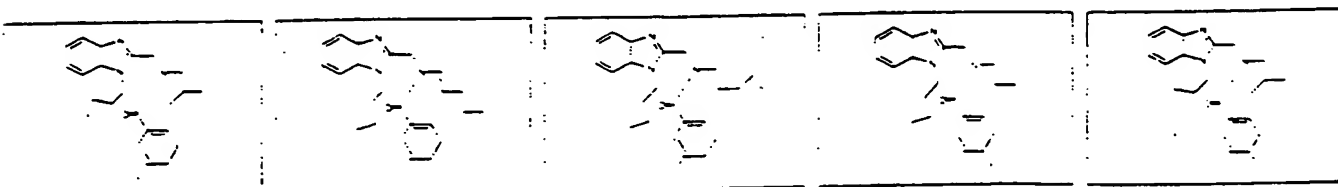
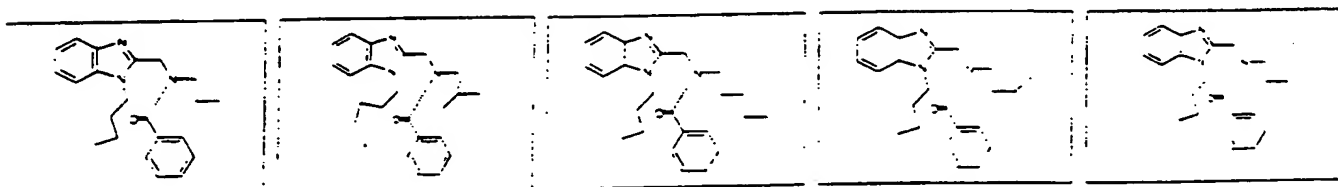
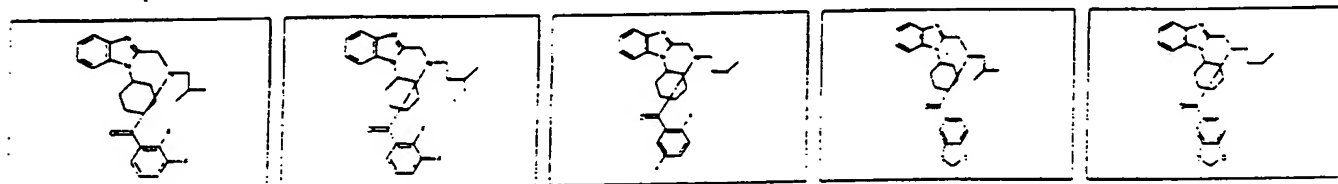
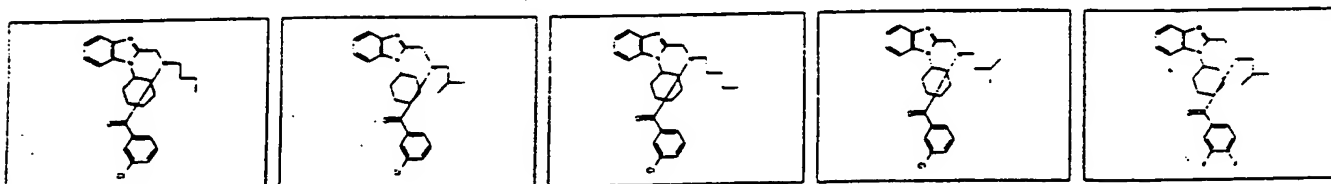
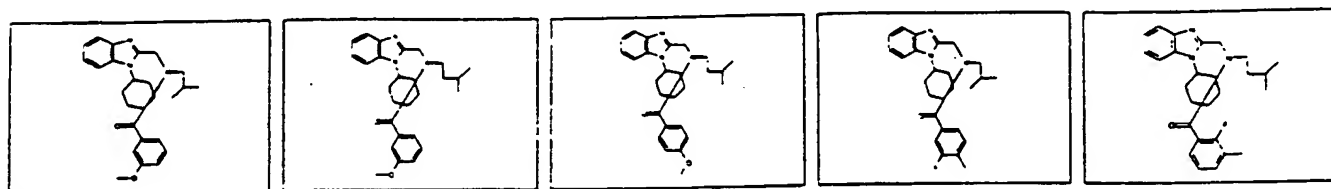
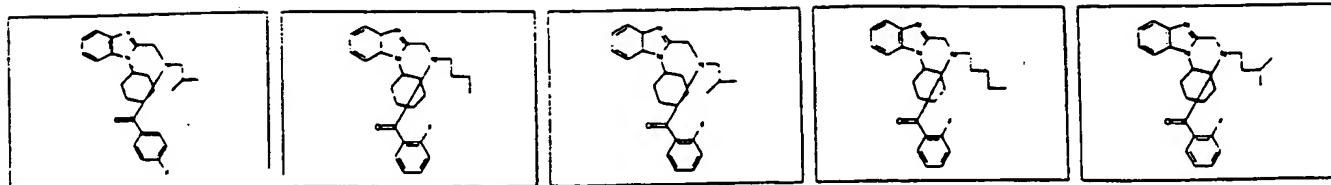
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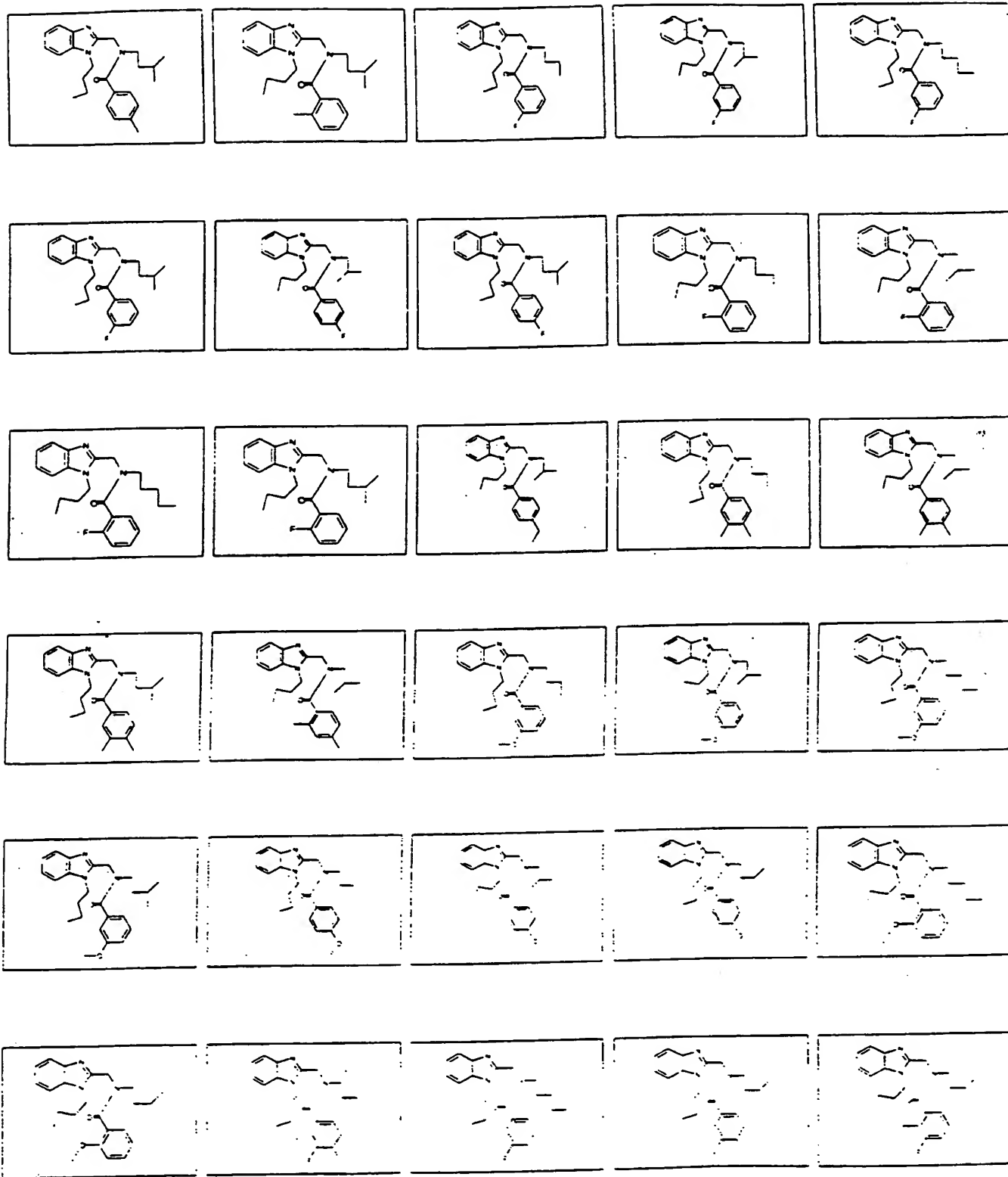


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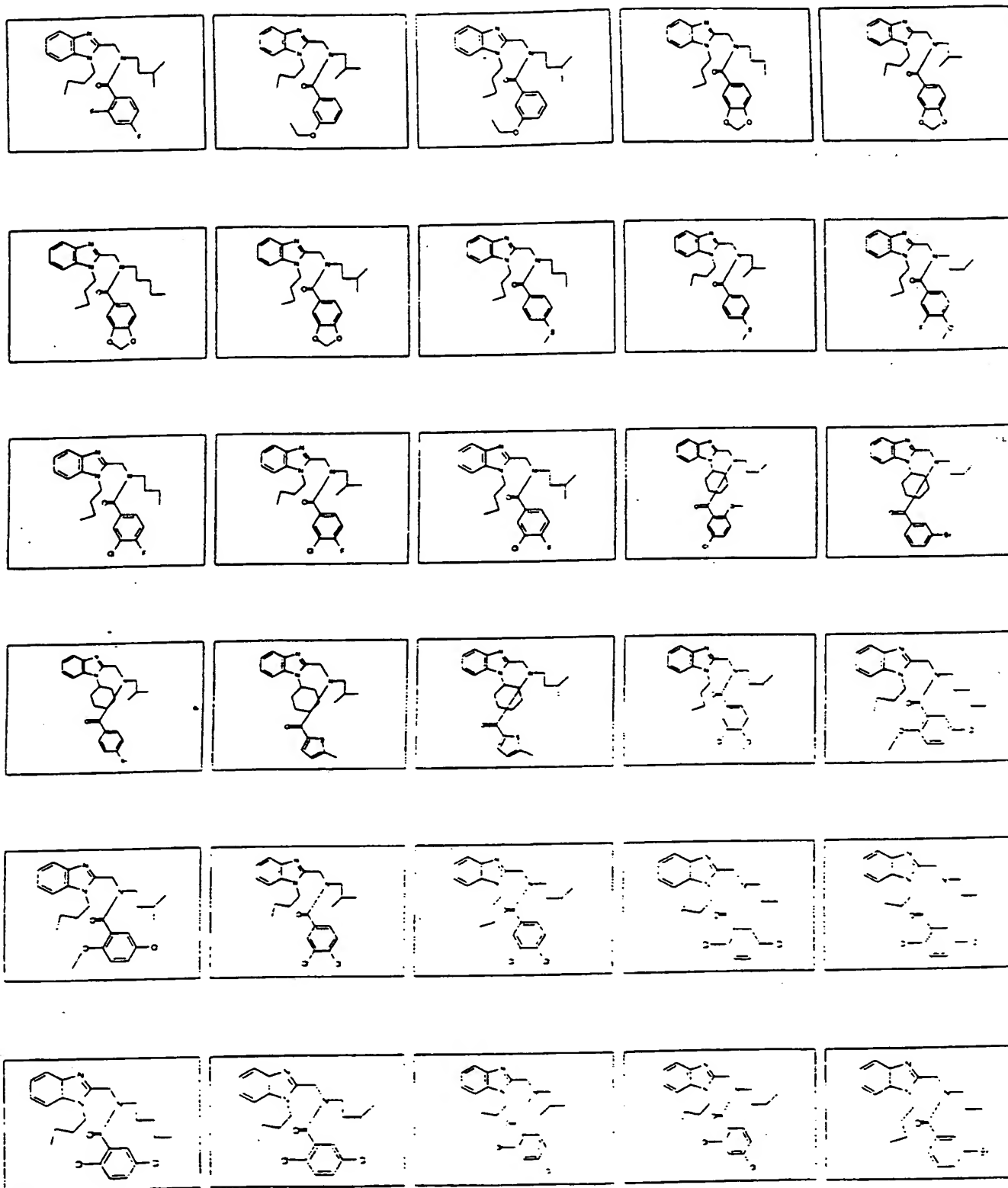


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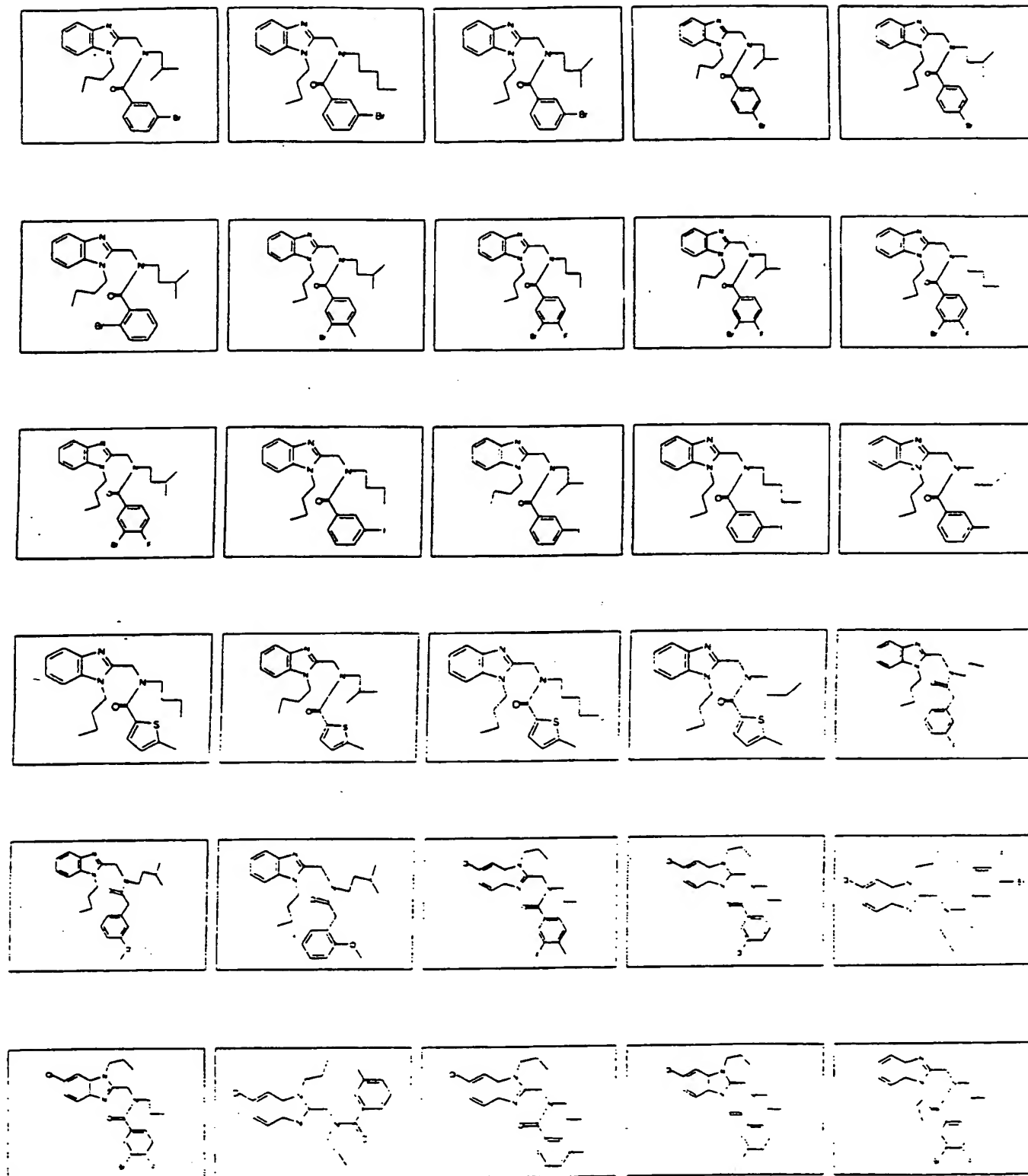




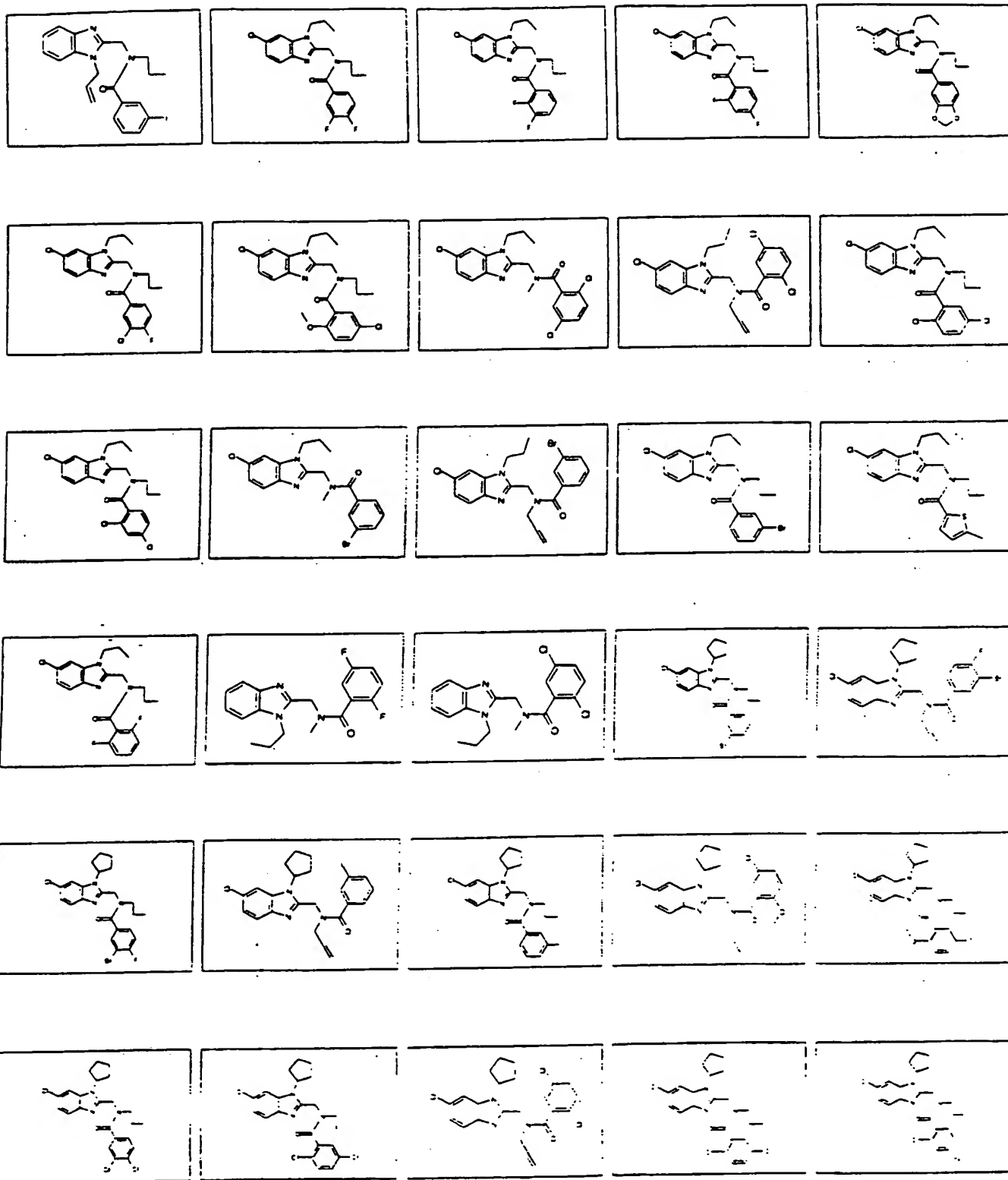




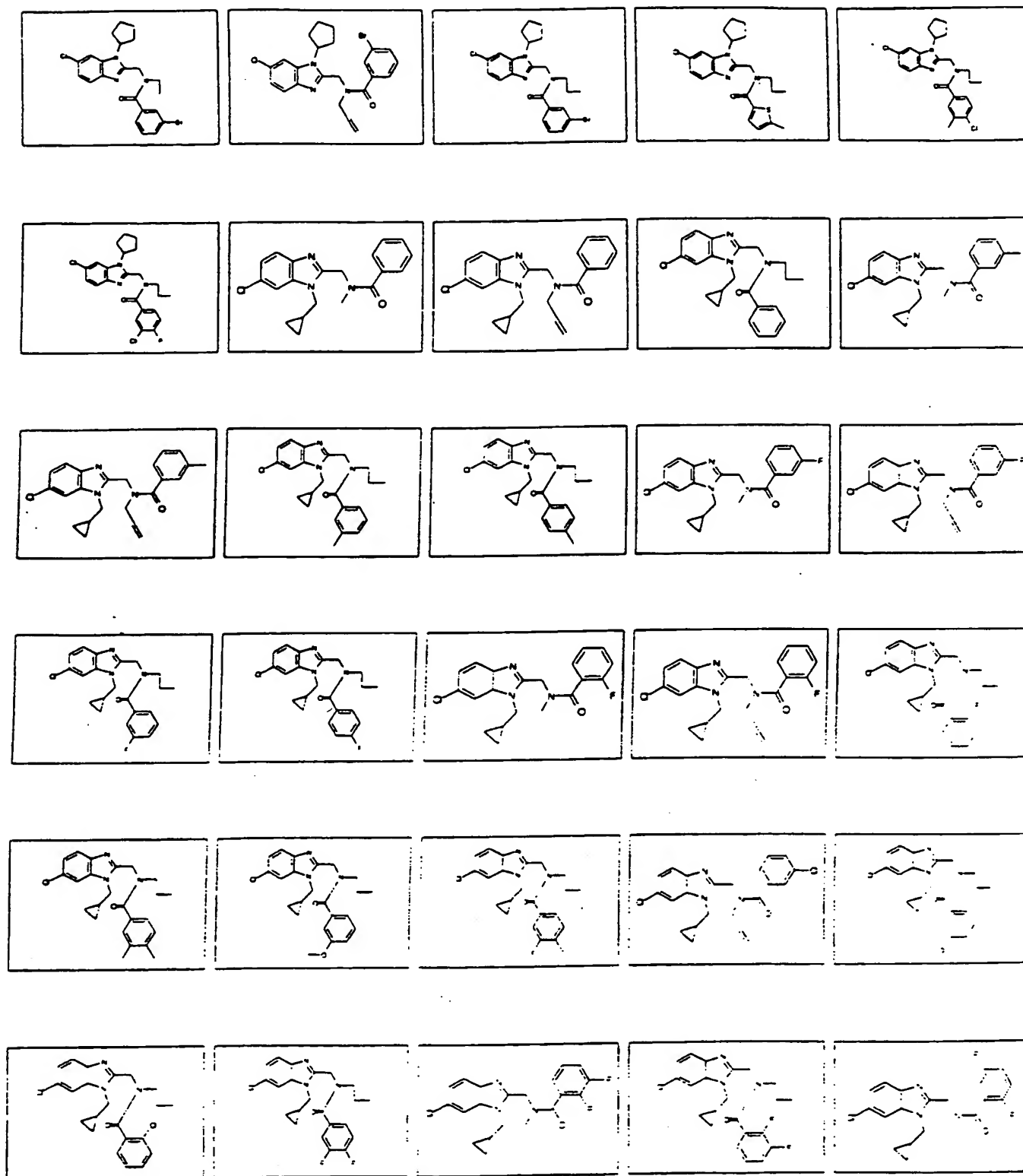
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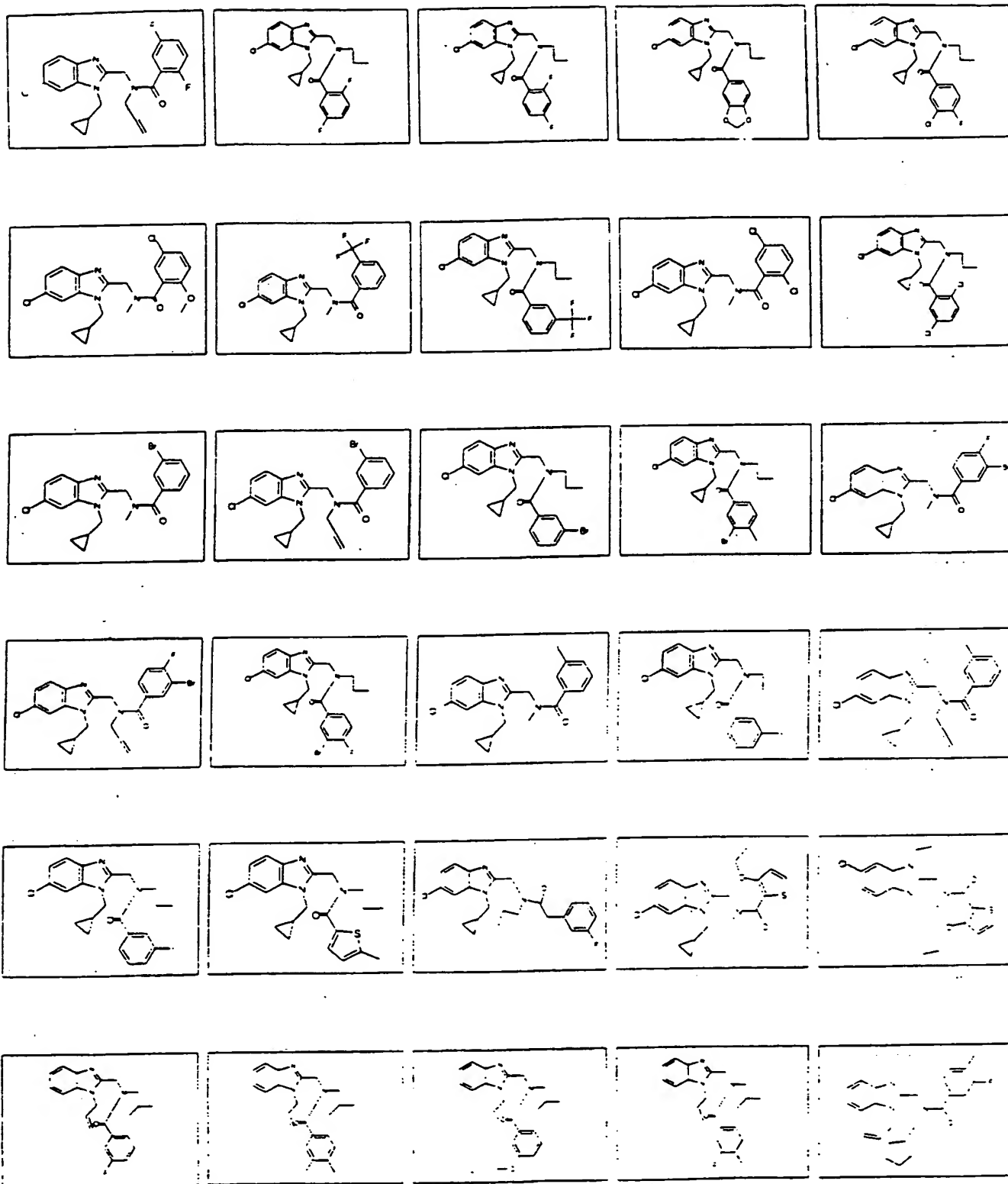




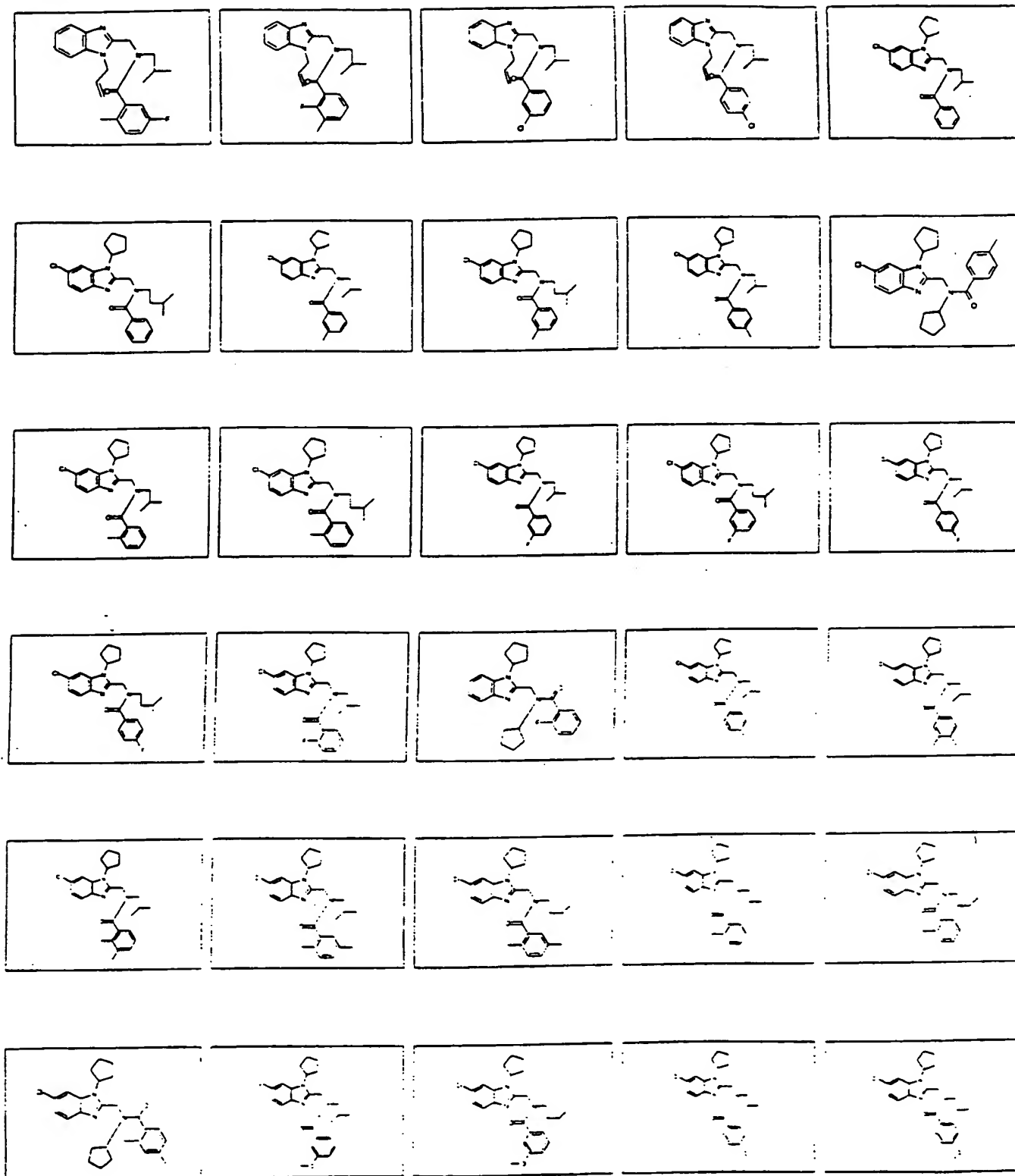
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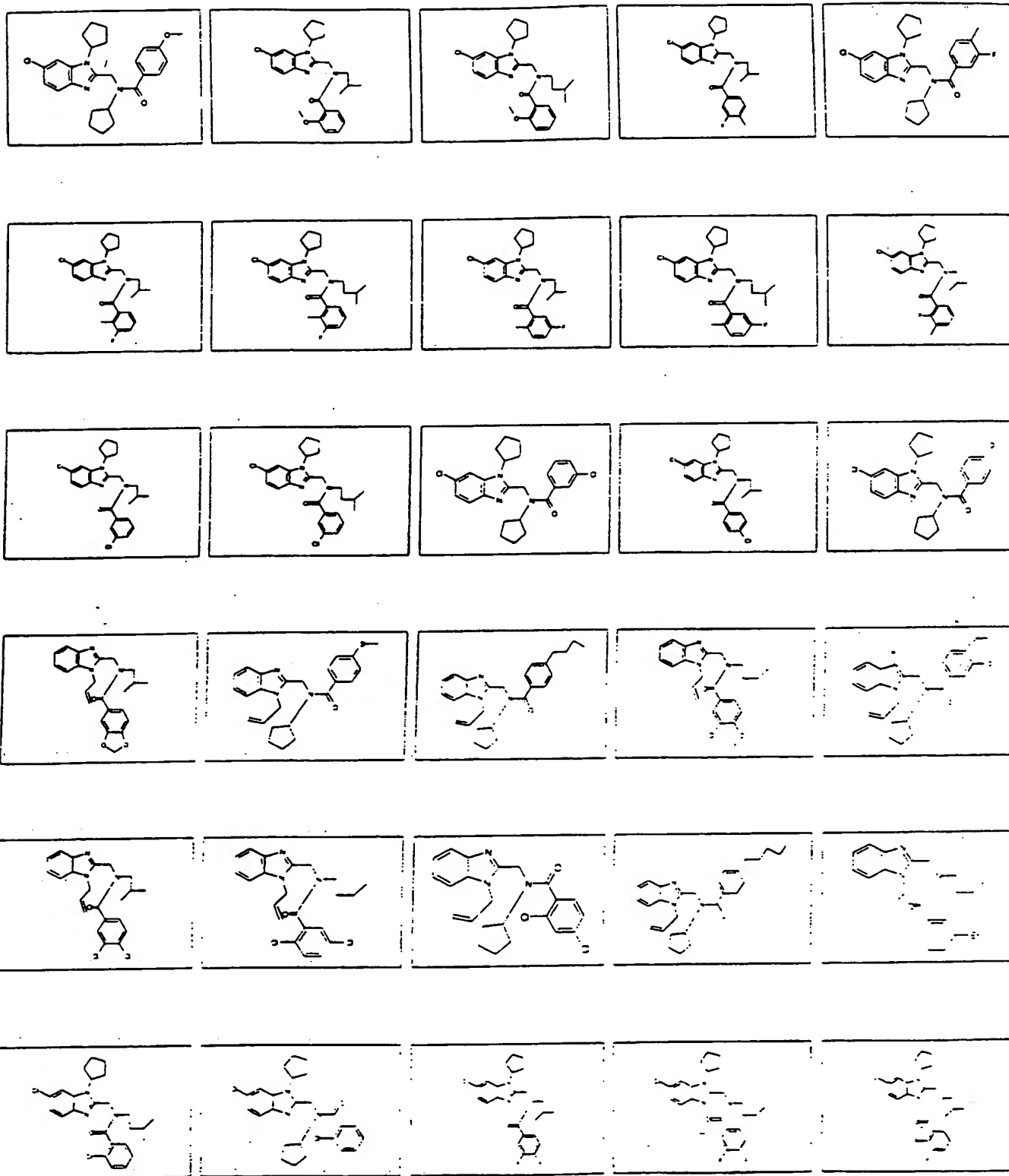
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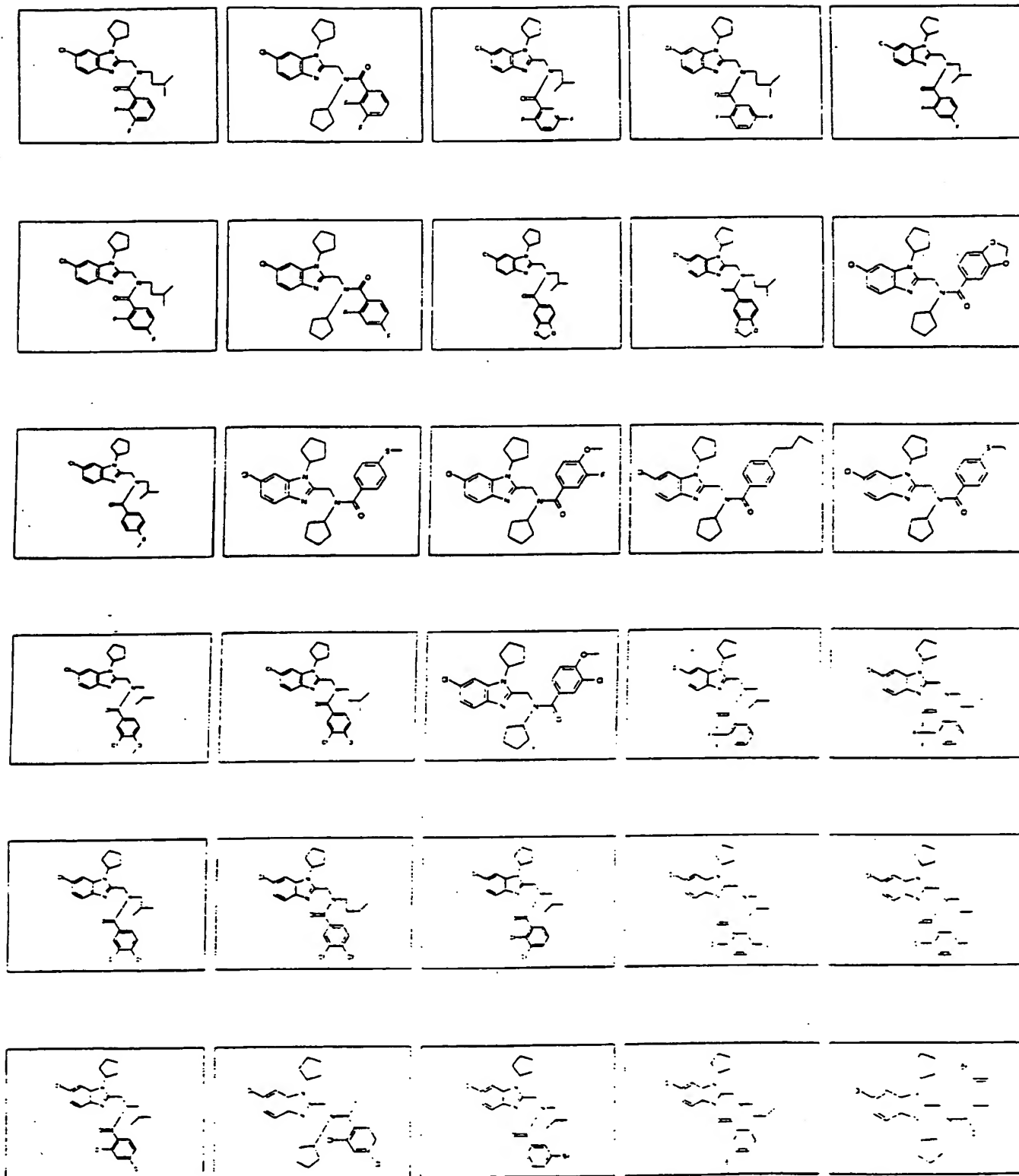


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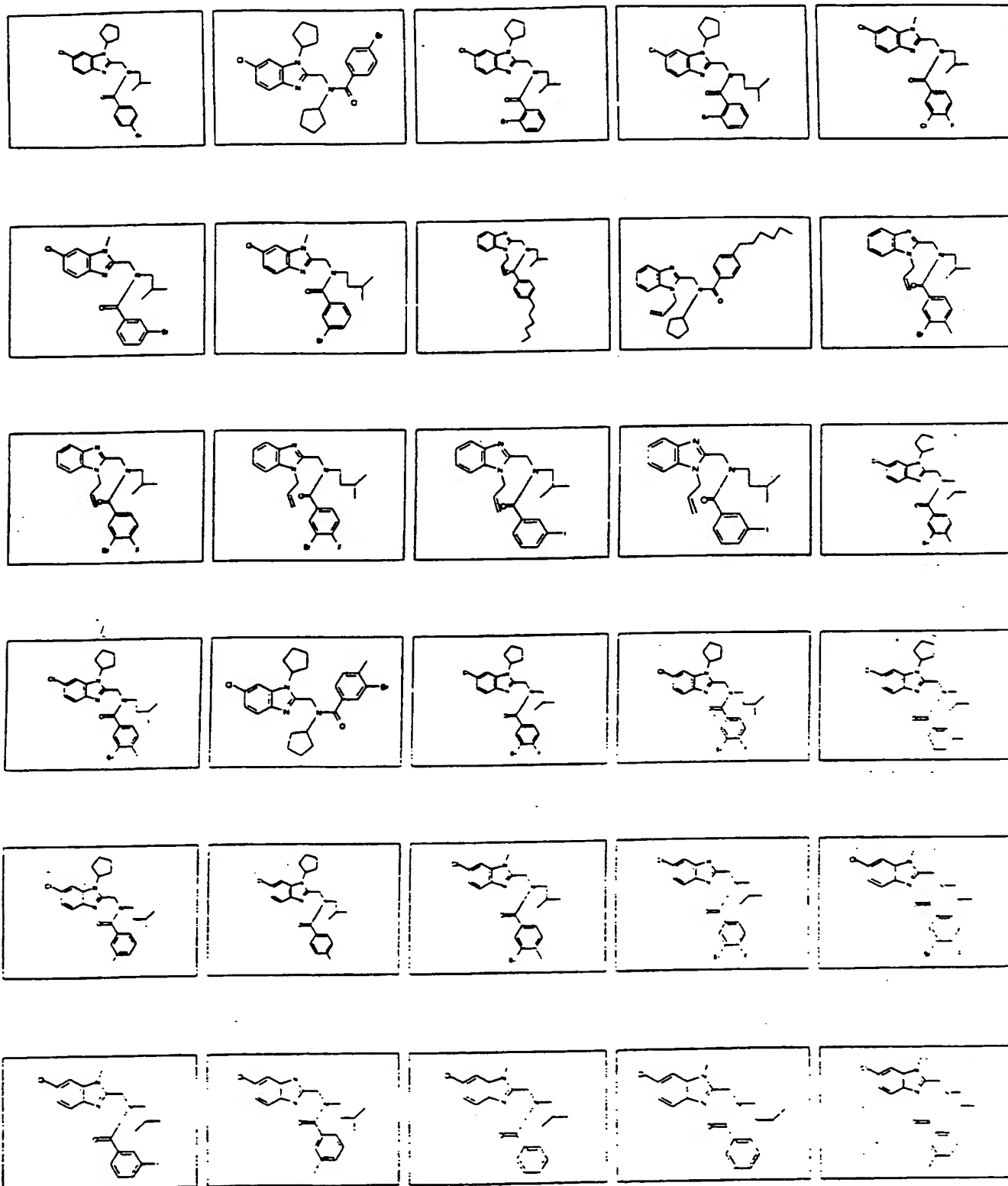


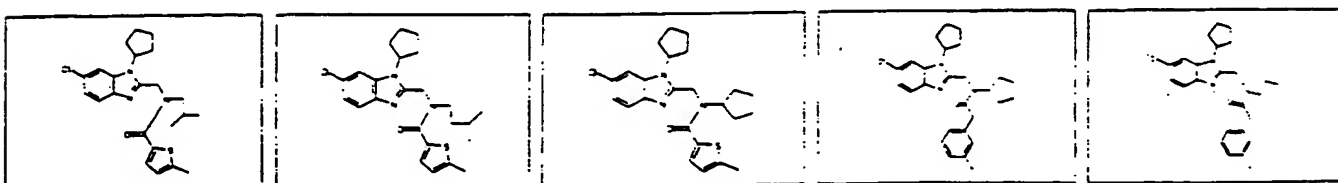
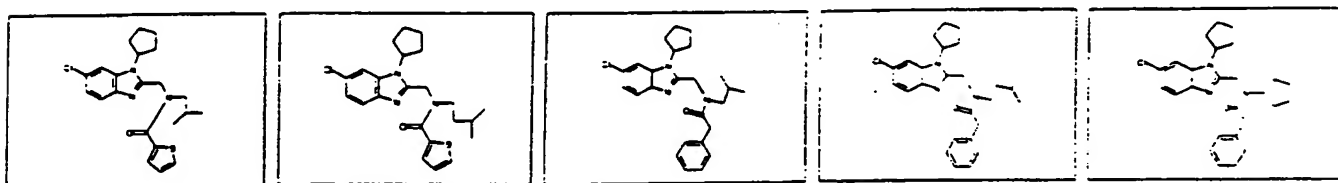
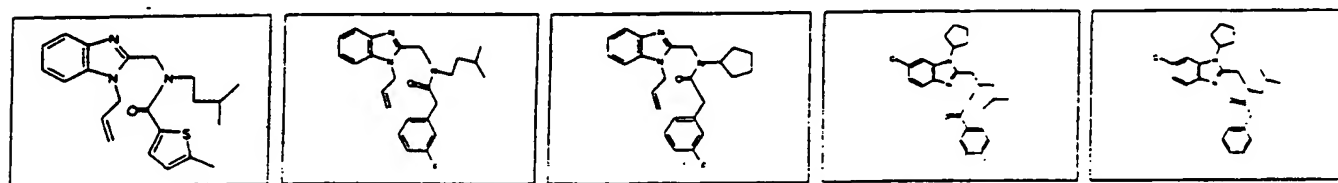
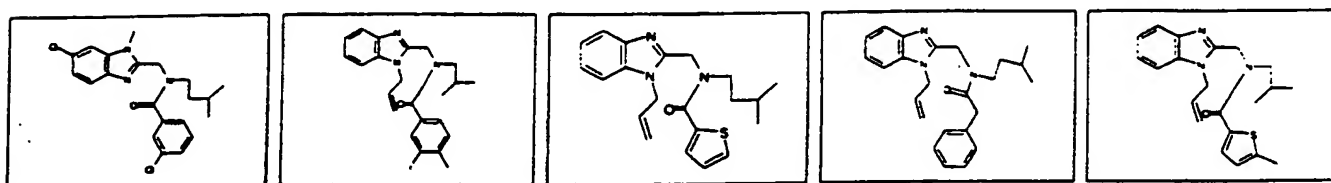
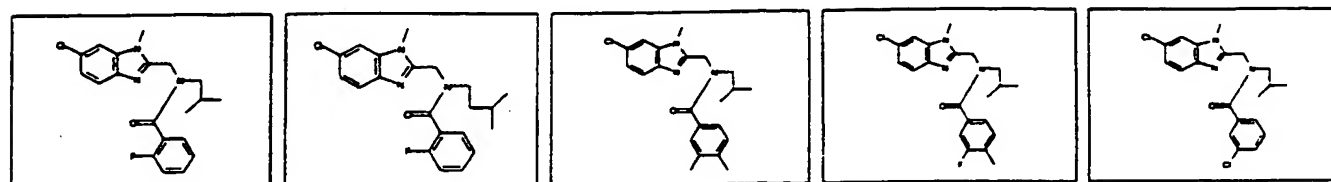
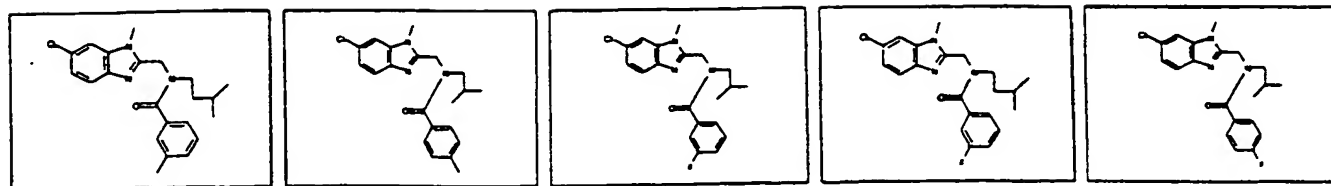
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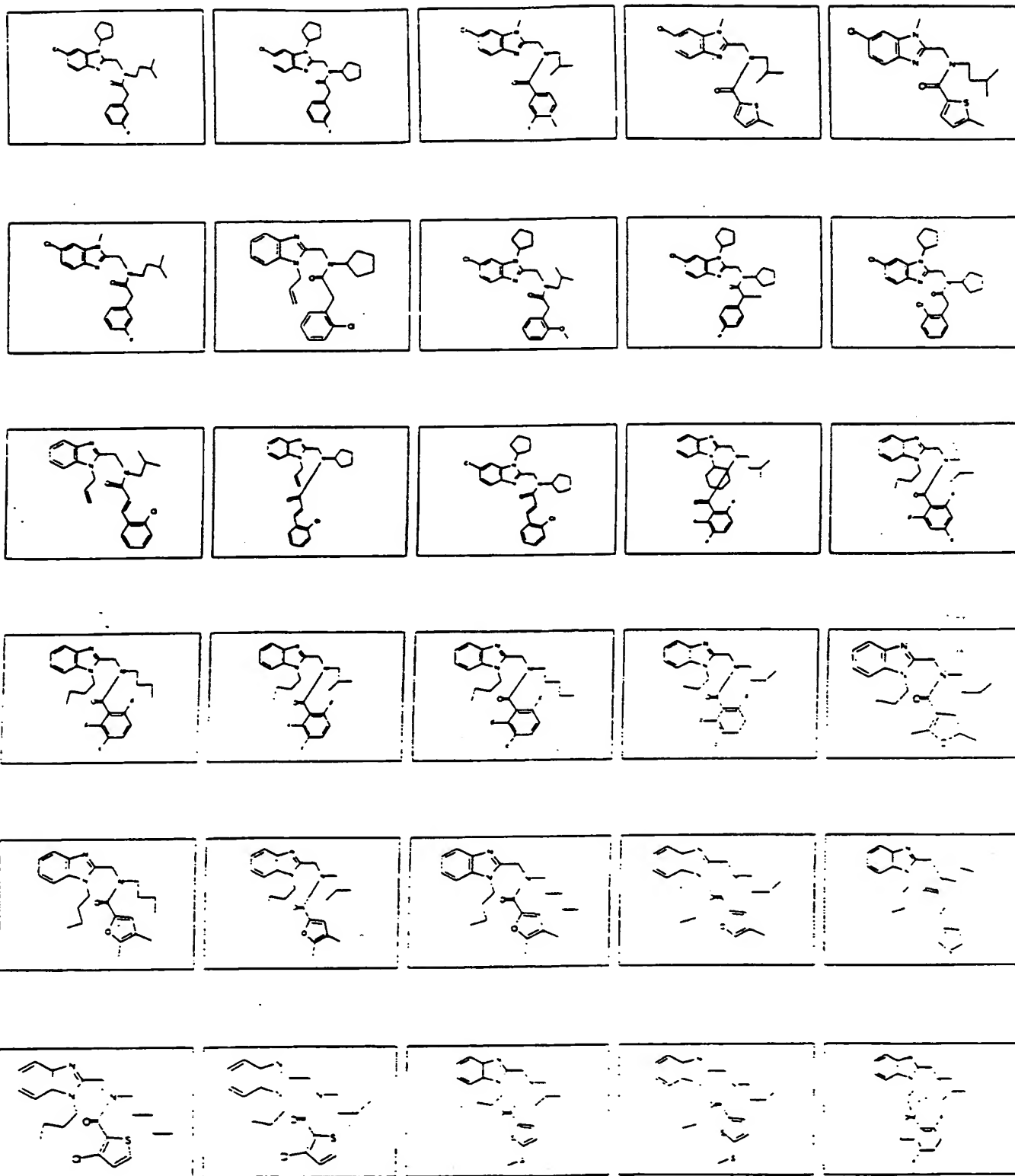
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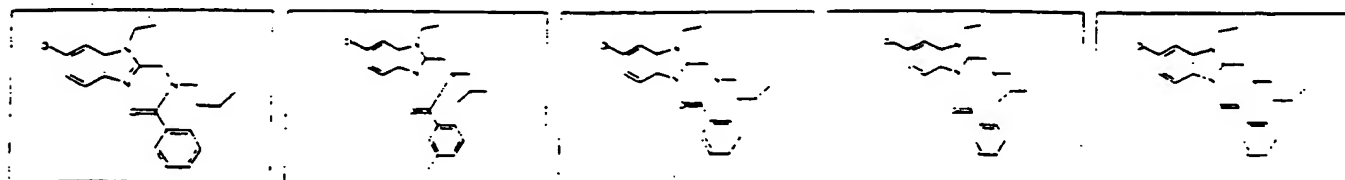
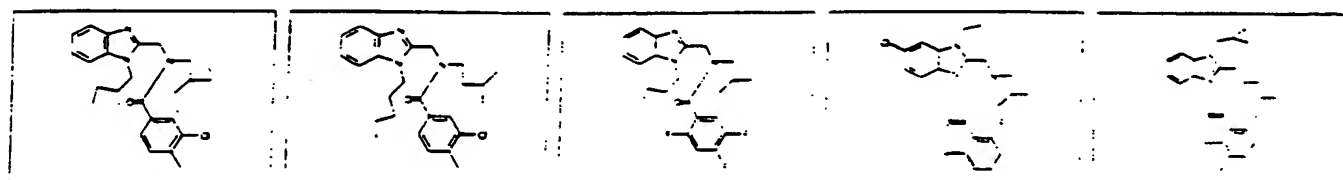
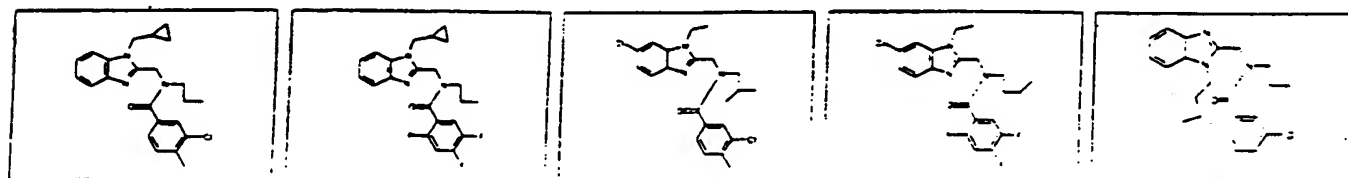
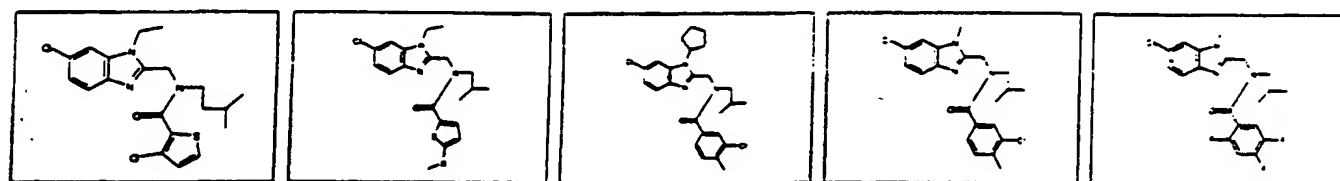
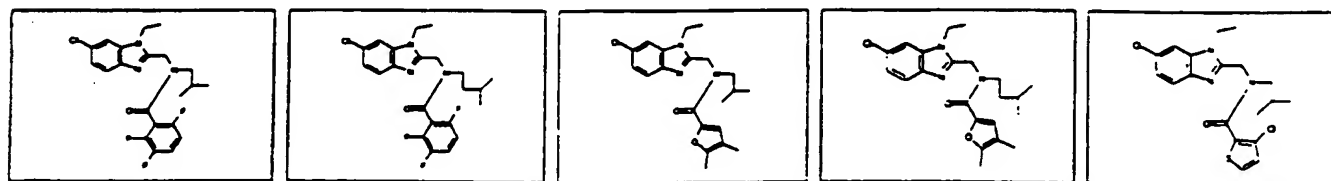
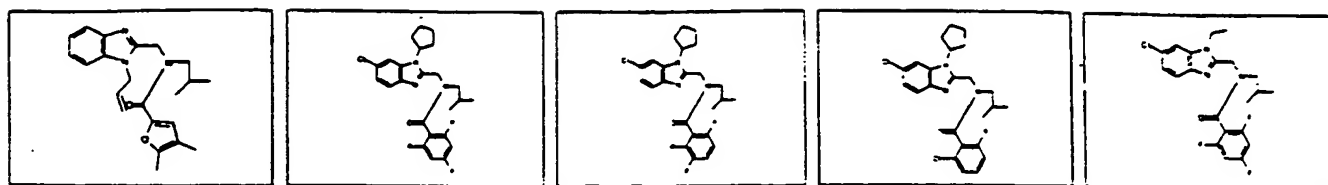




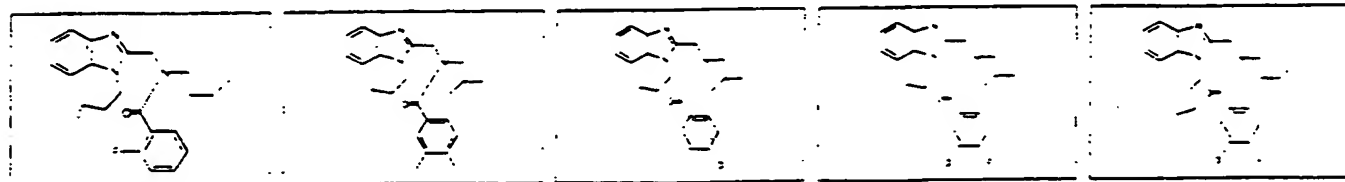
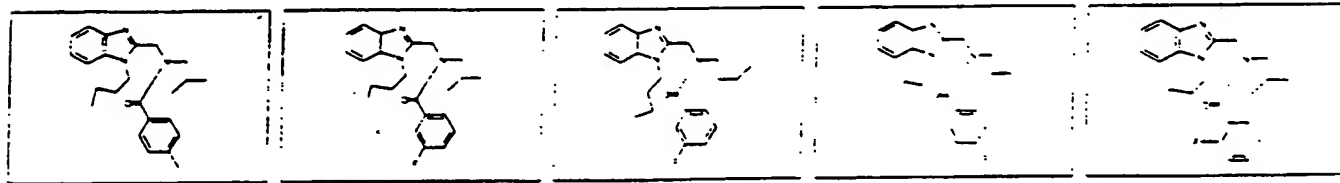
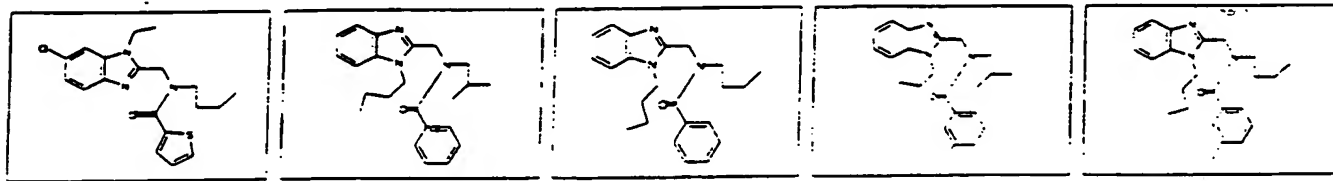
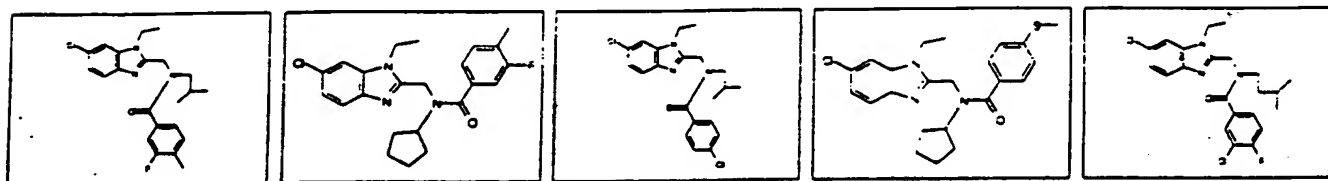
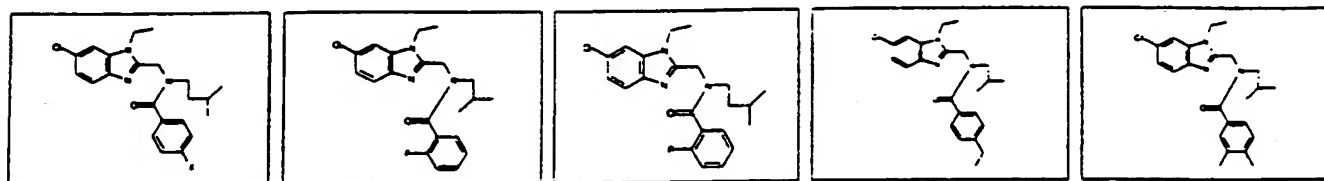
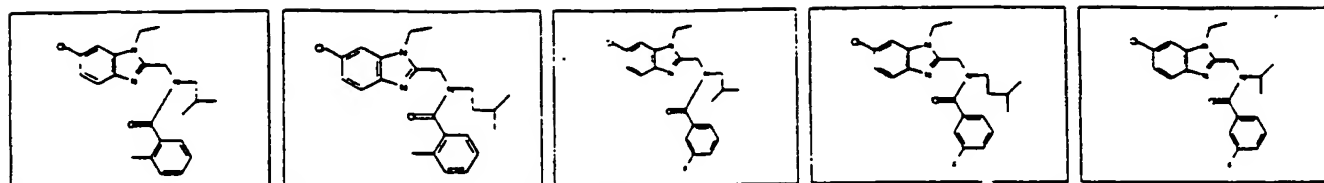


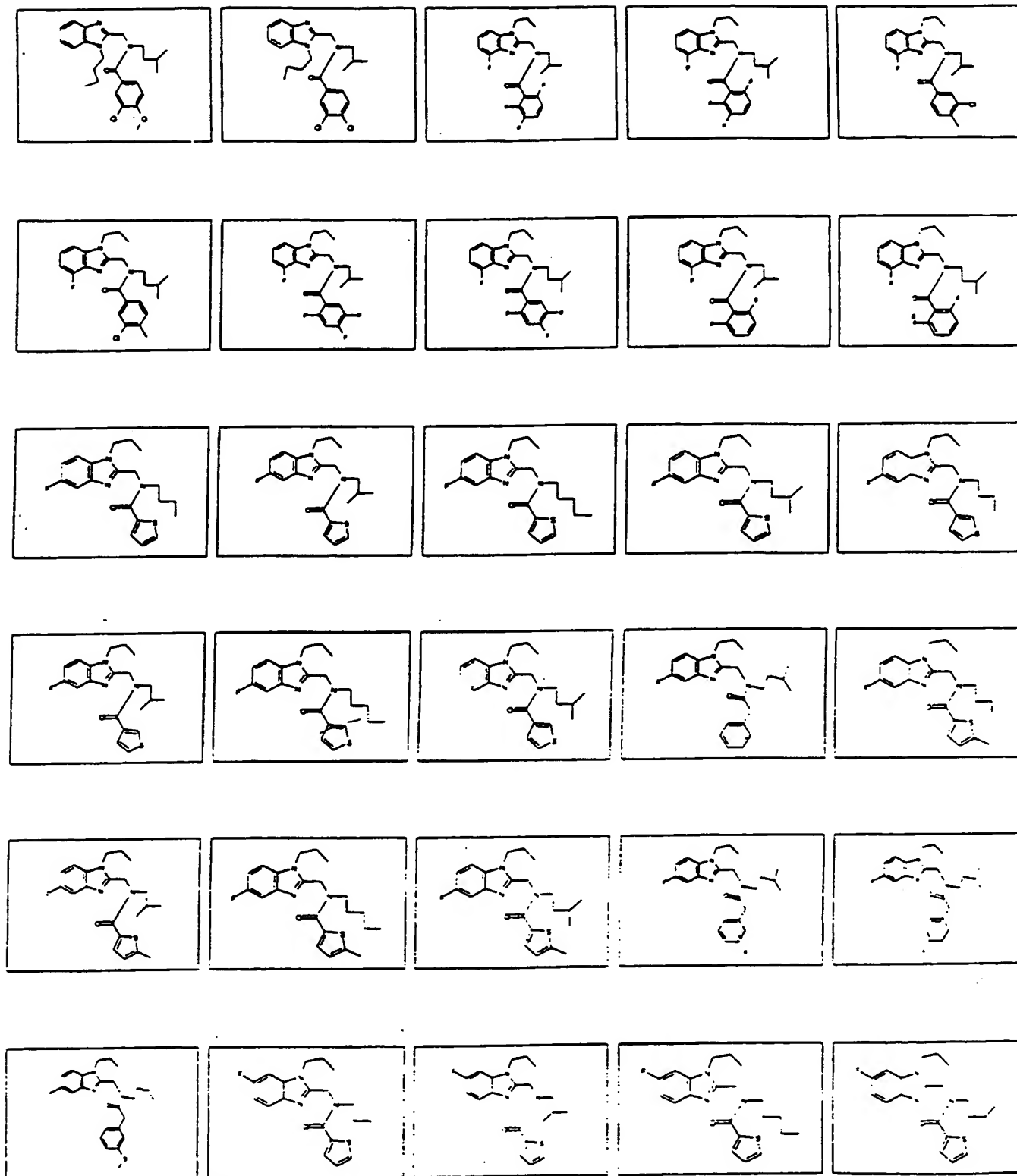
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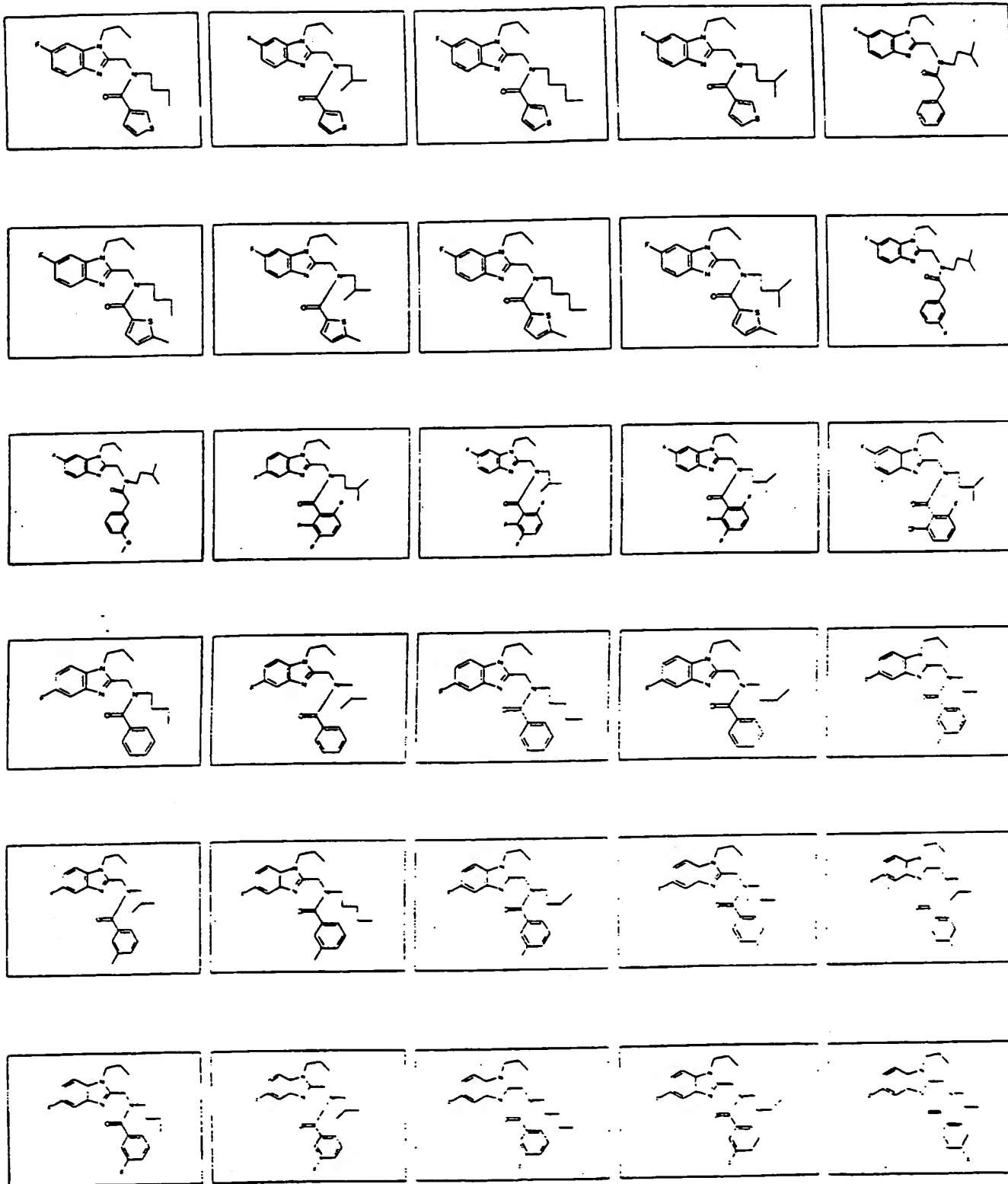




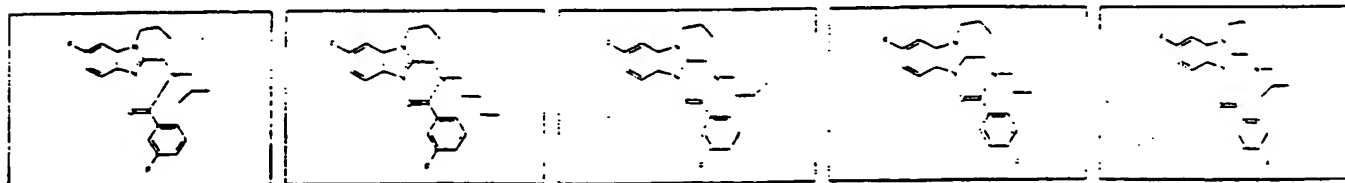
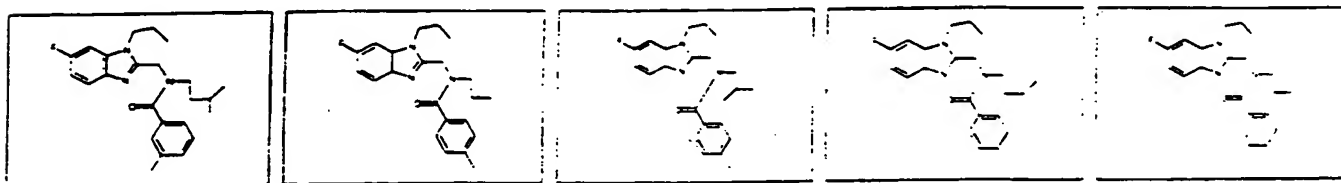
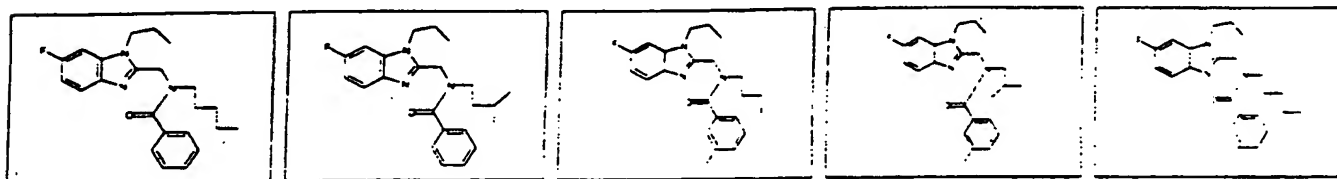
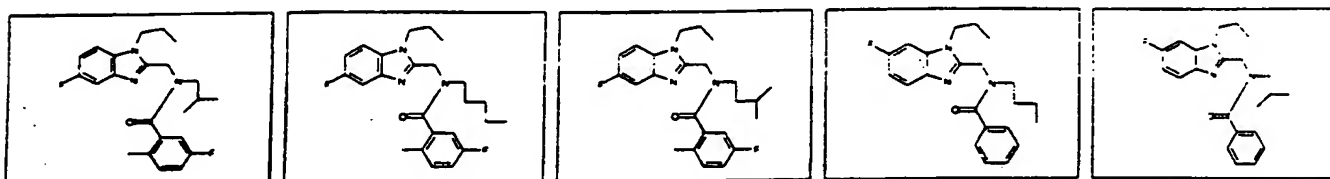
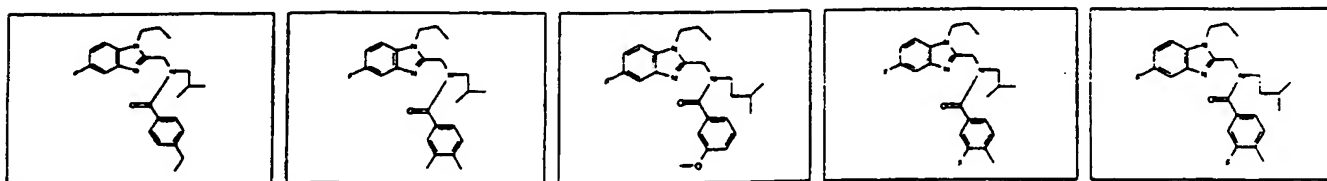
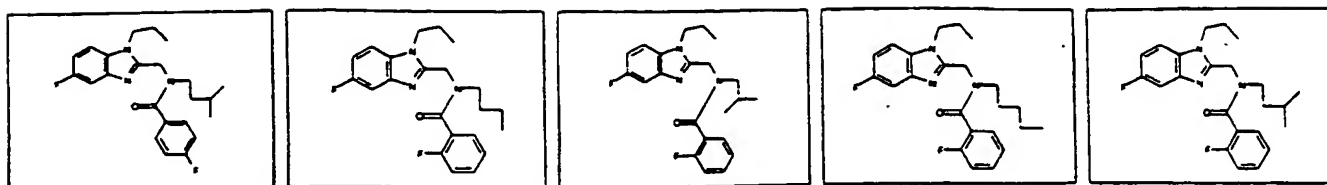
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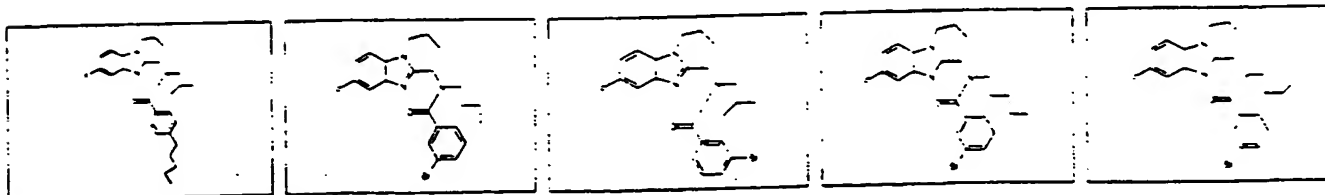
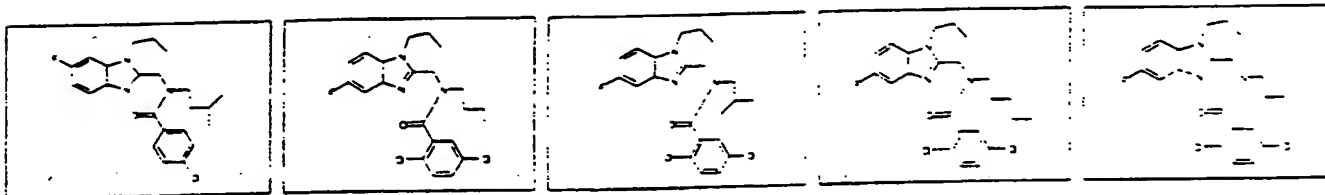
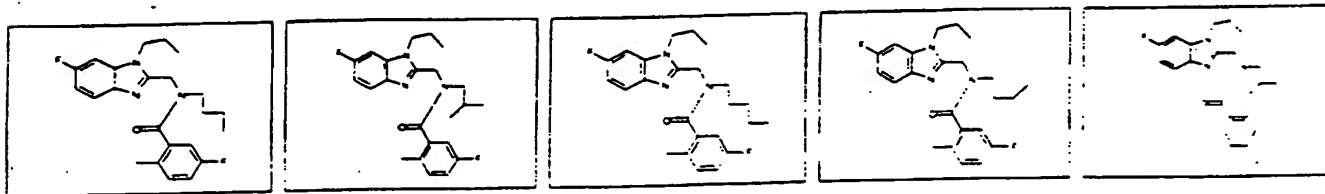
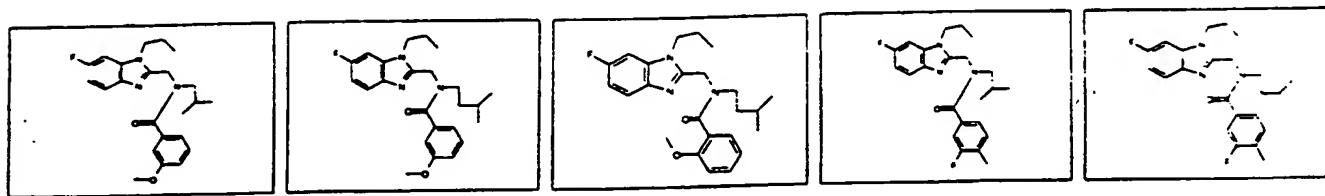
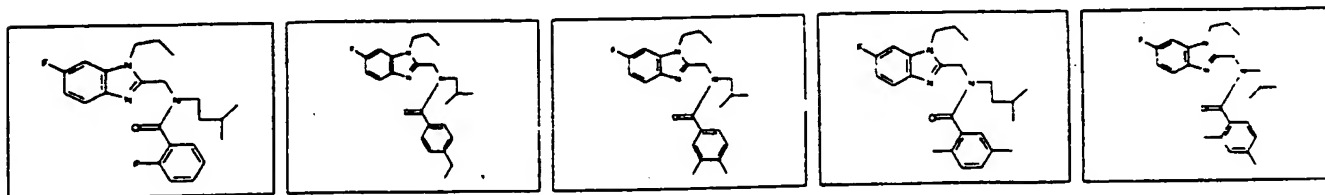
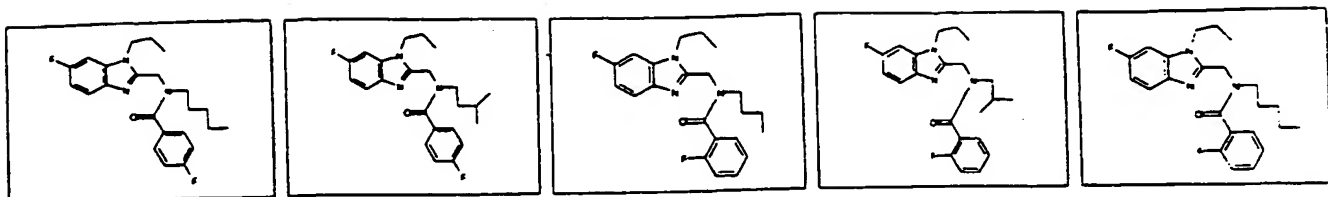




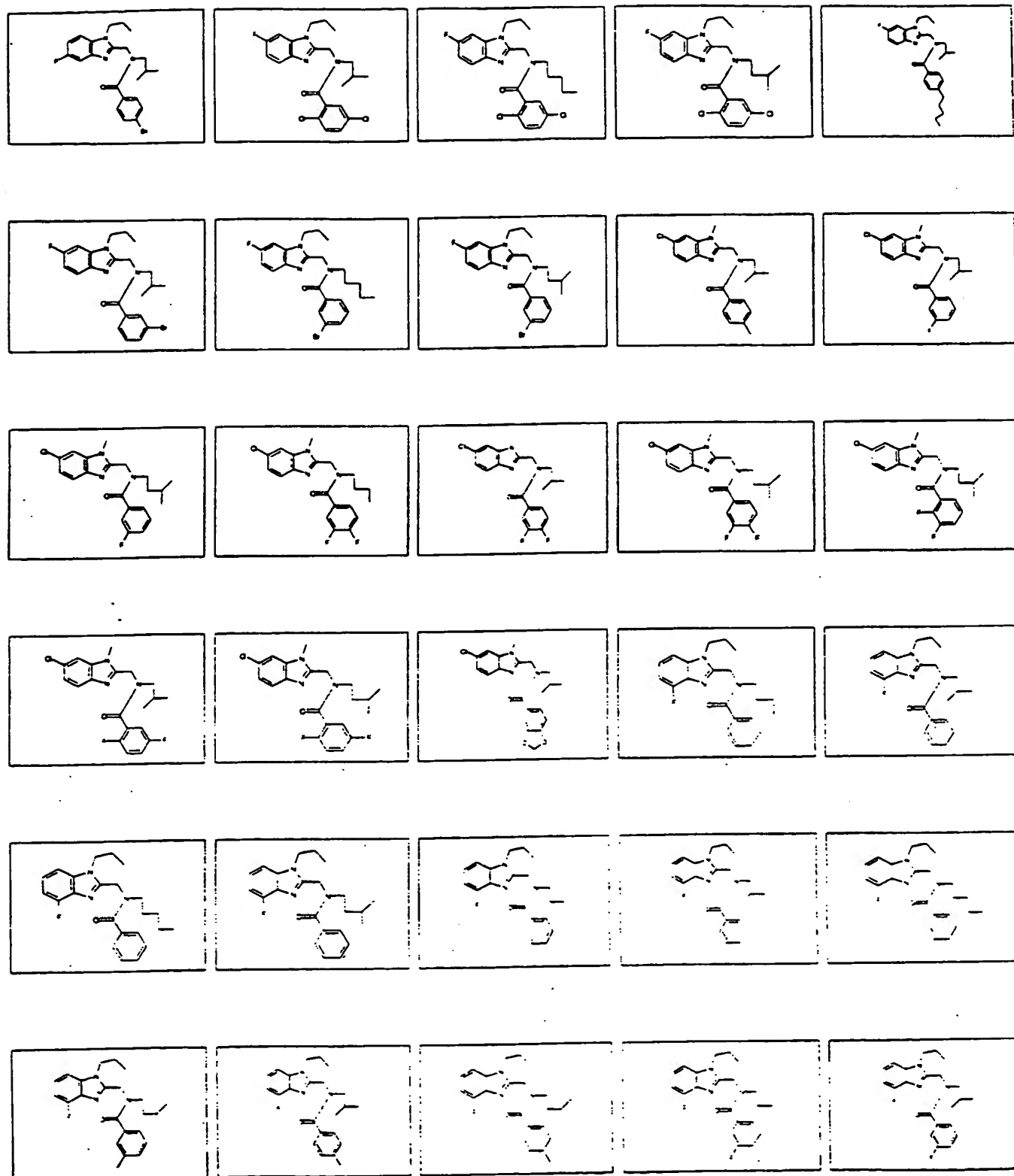
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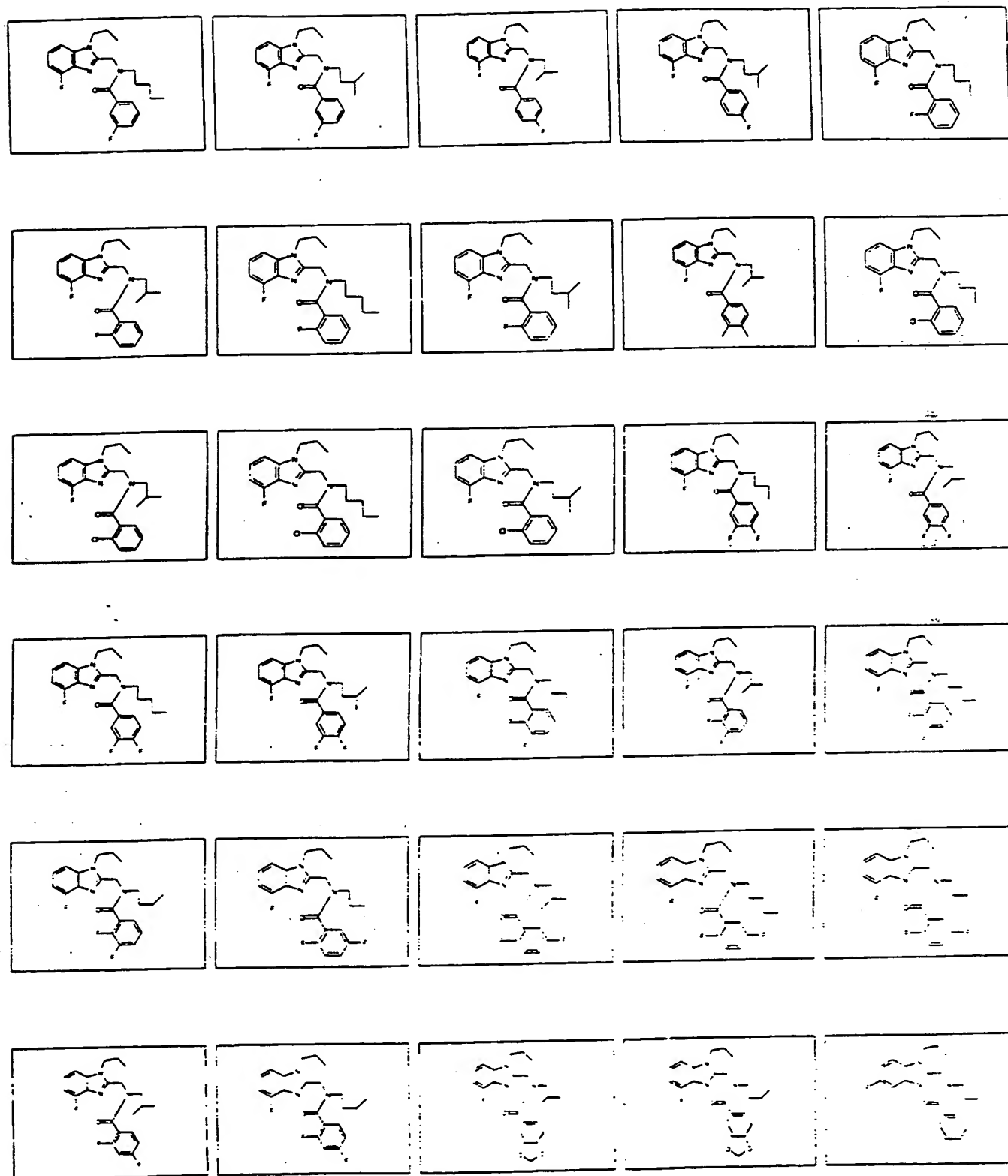


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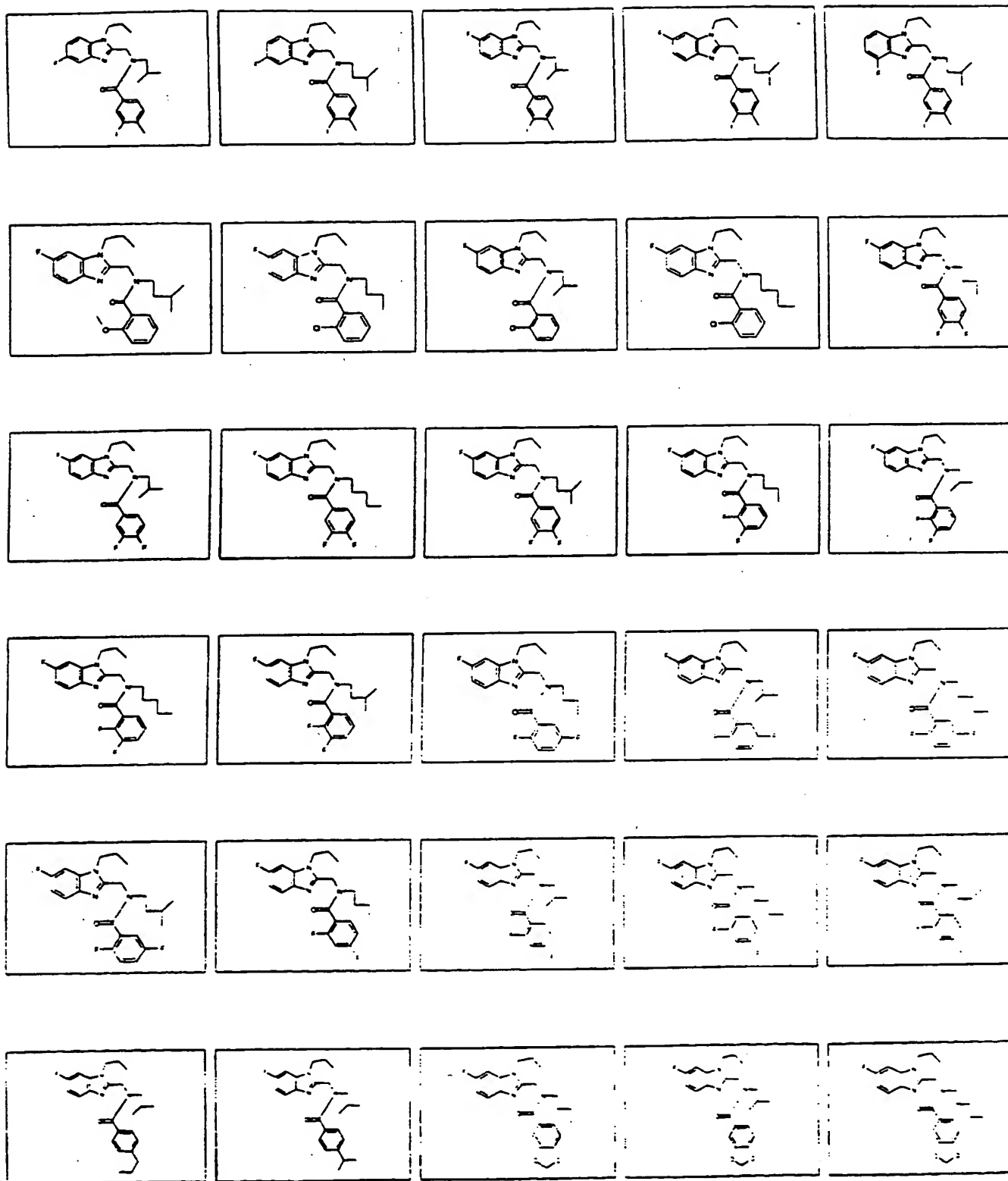




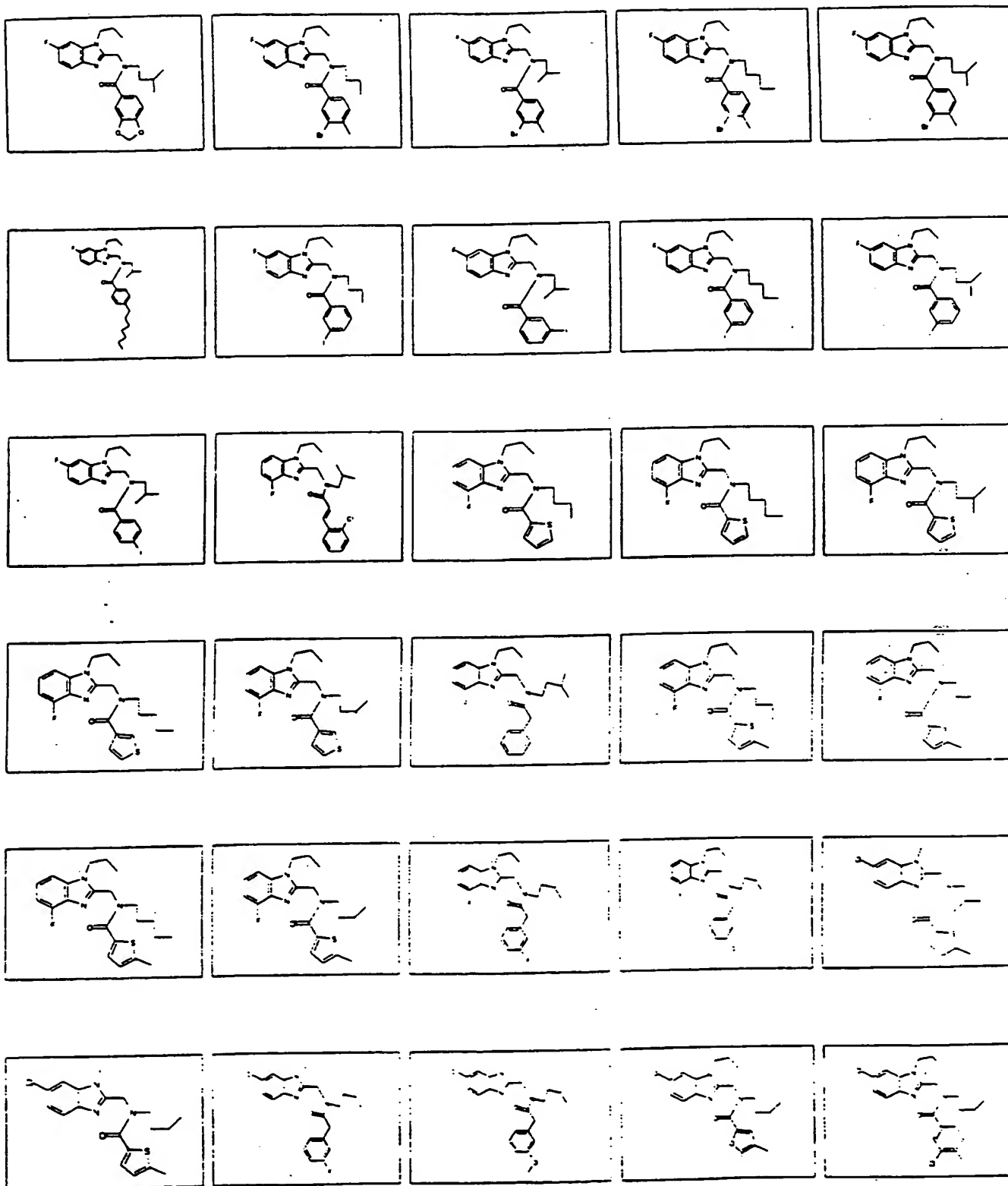
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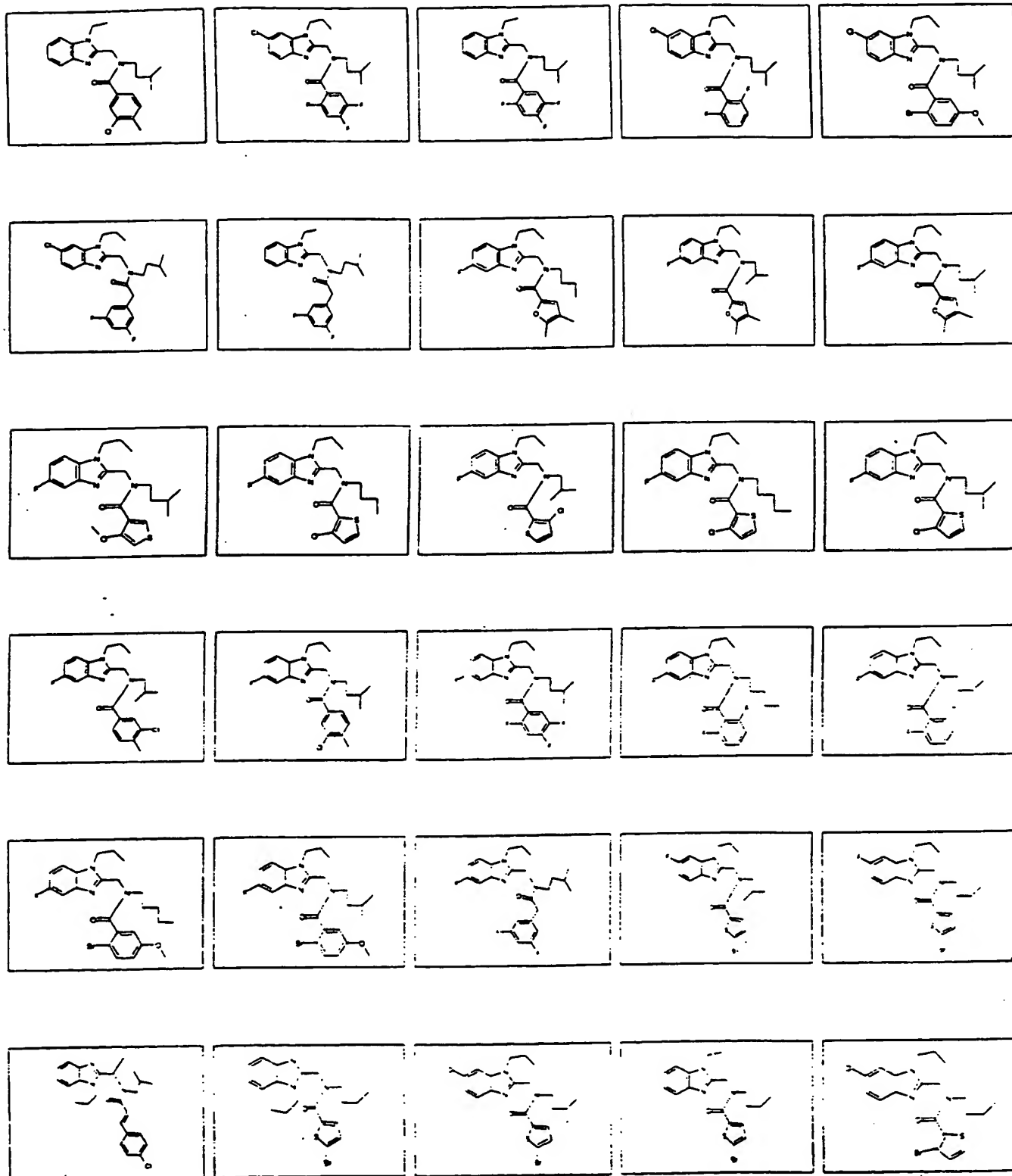
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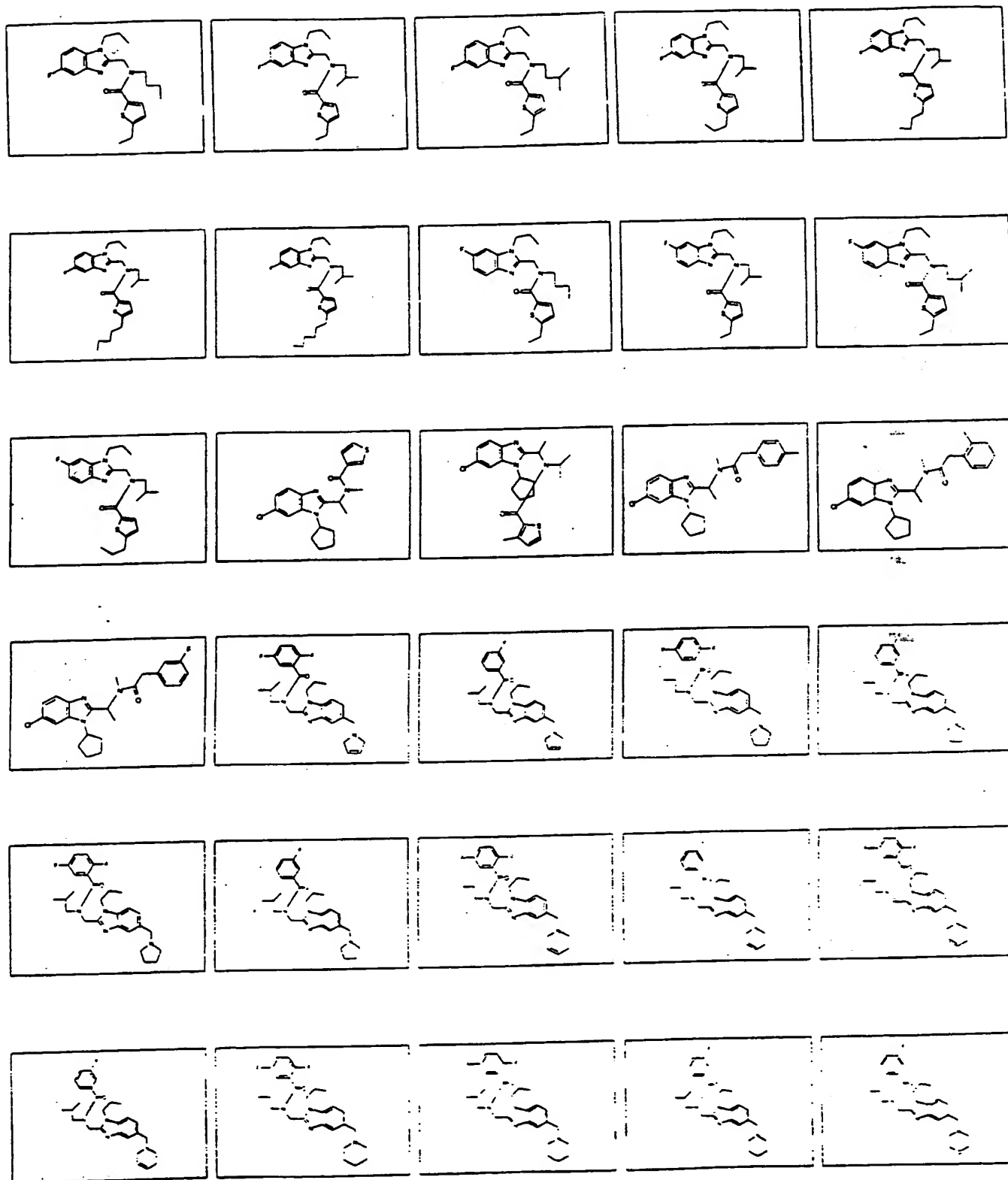
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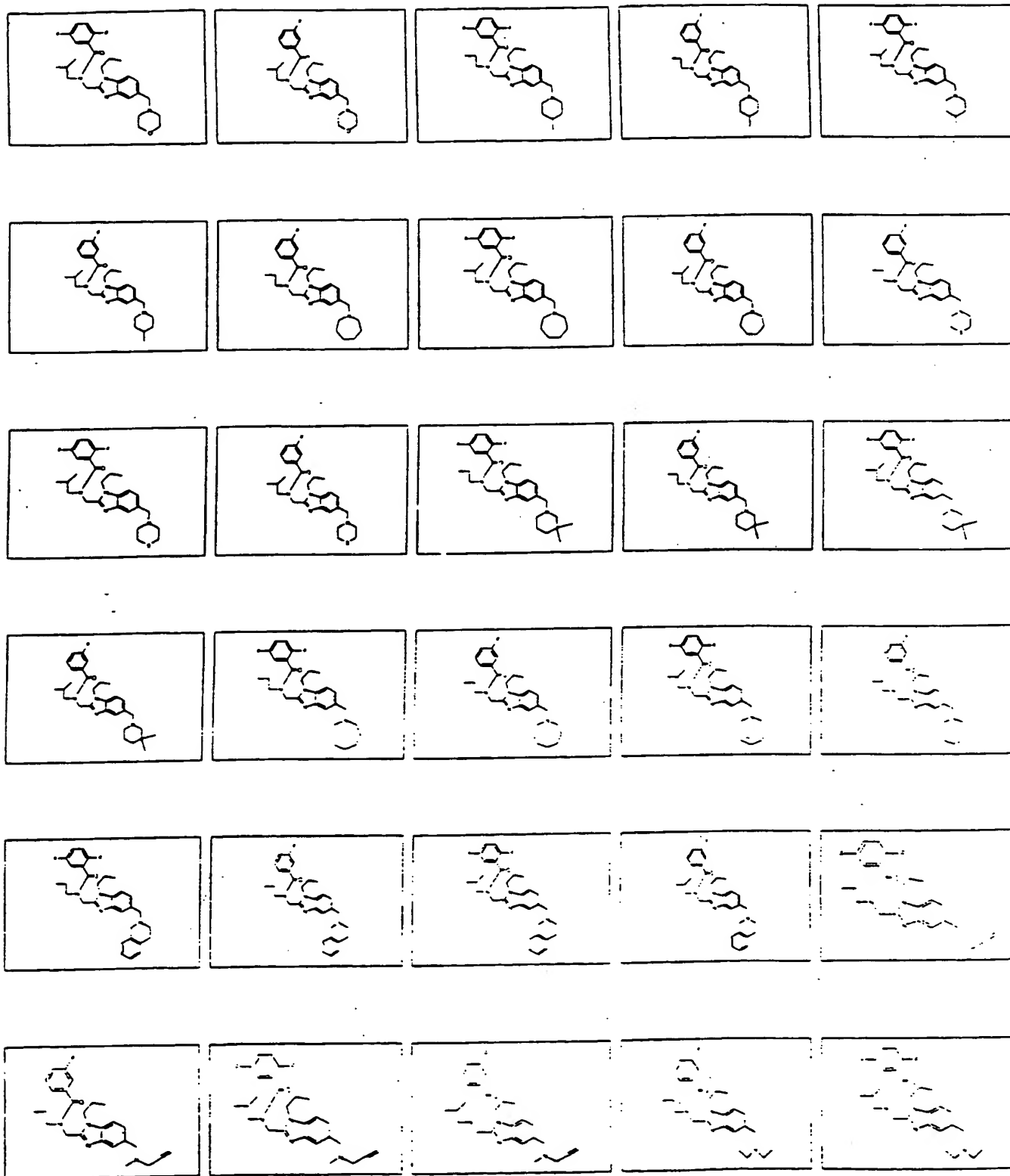
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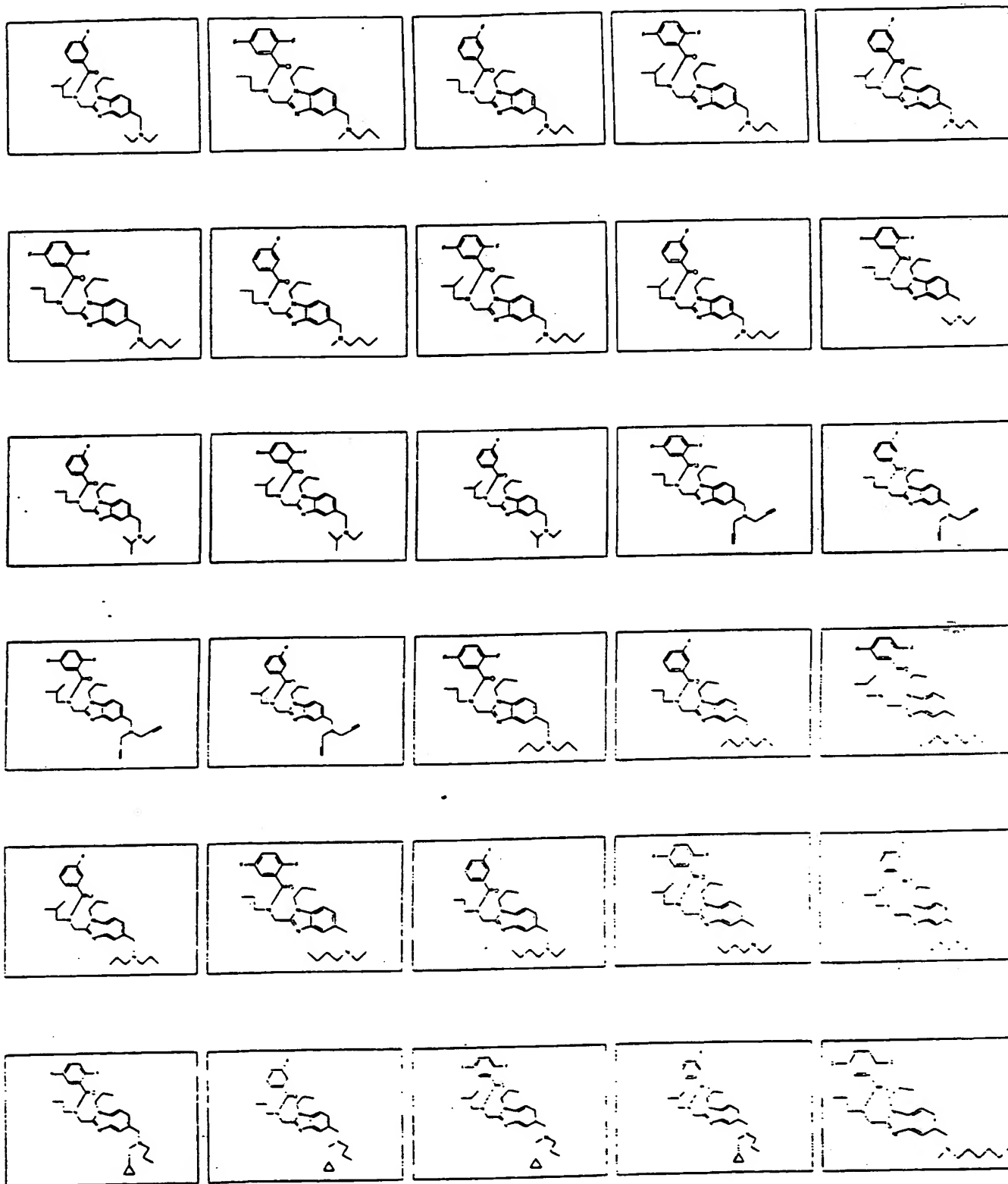
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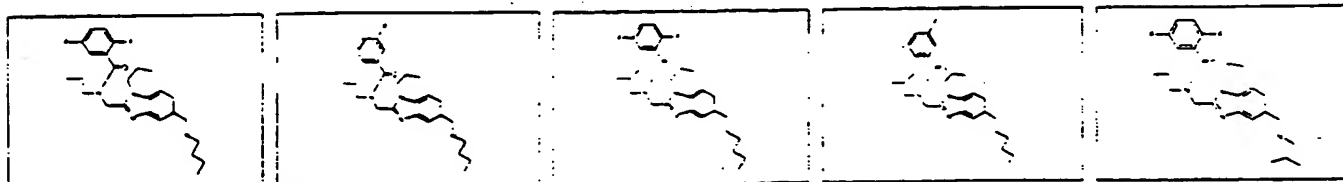
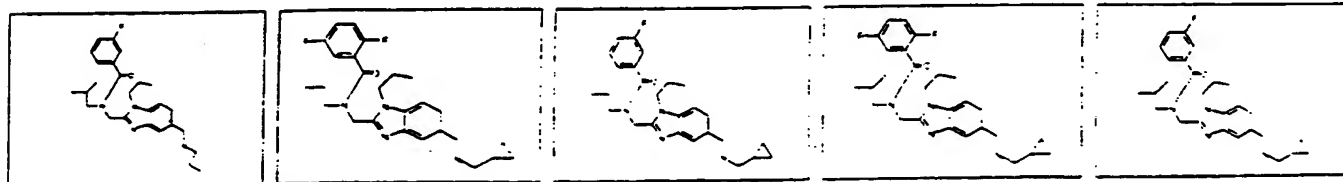
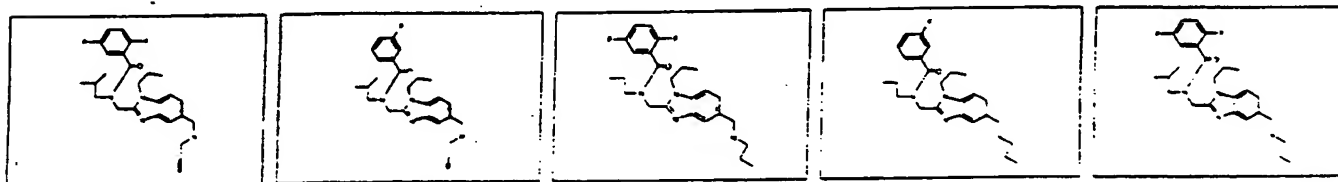
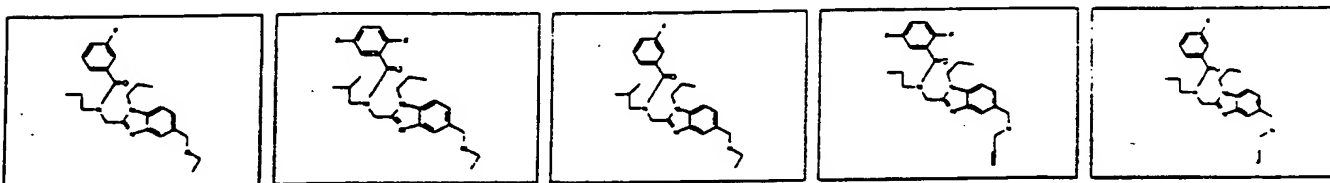
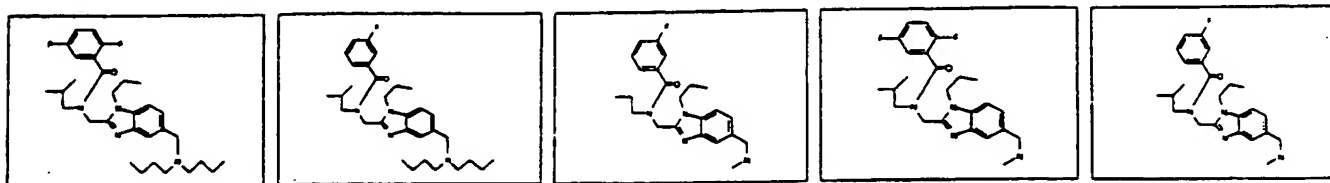
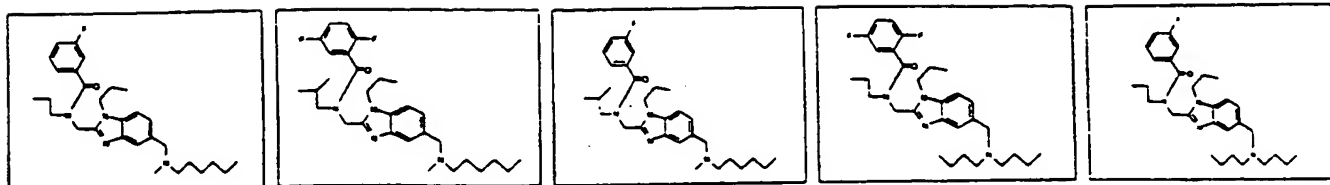
## Appendix 2



## Appendix 2

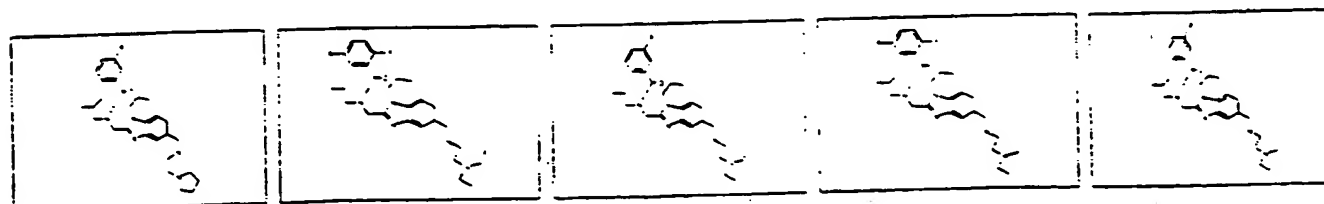
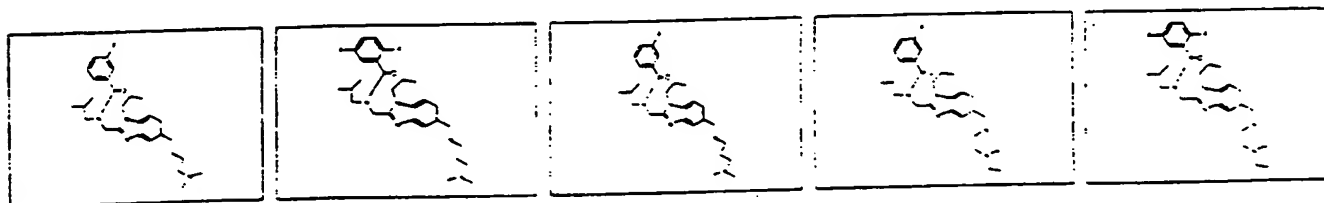
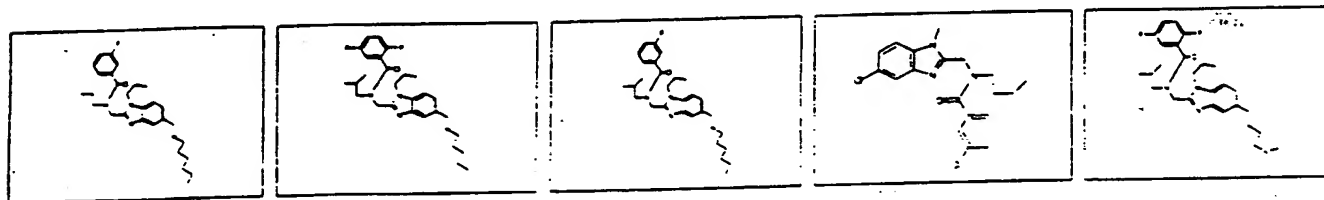
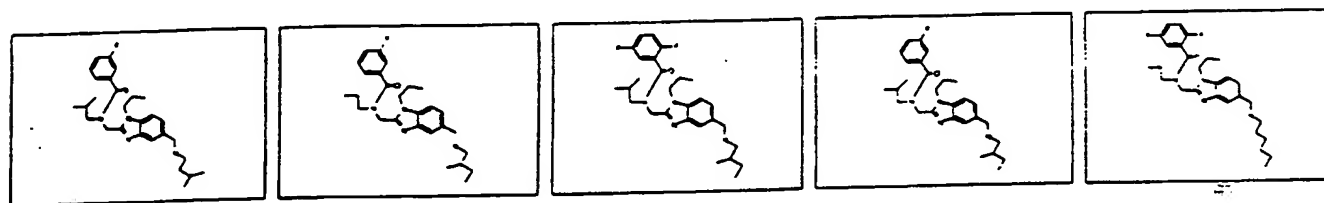
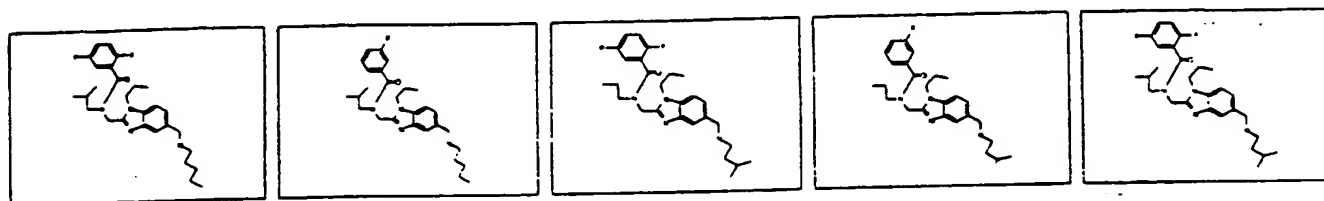
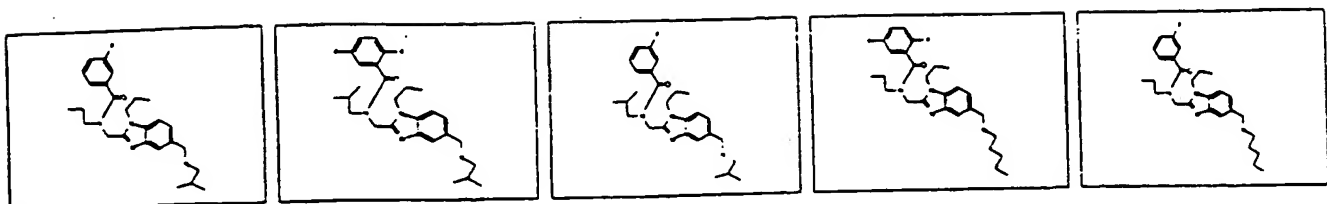


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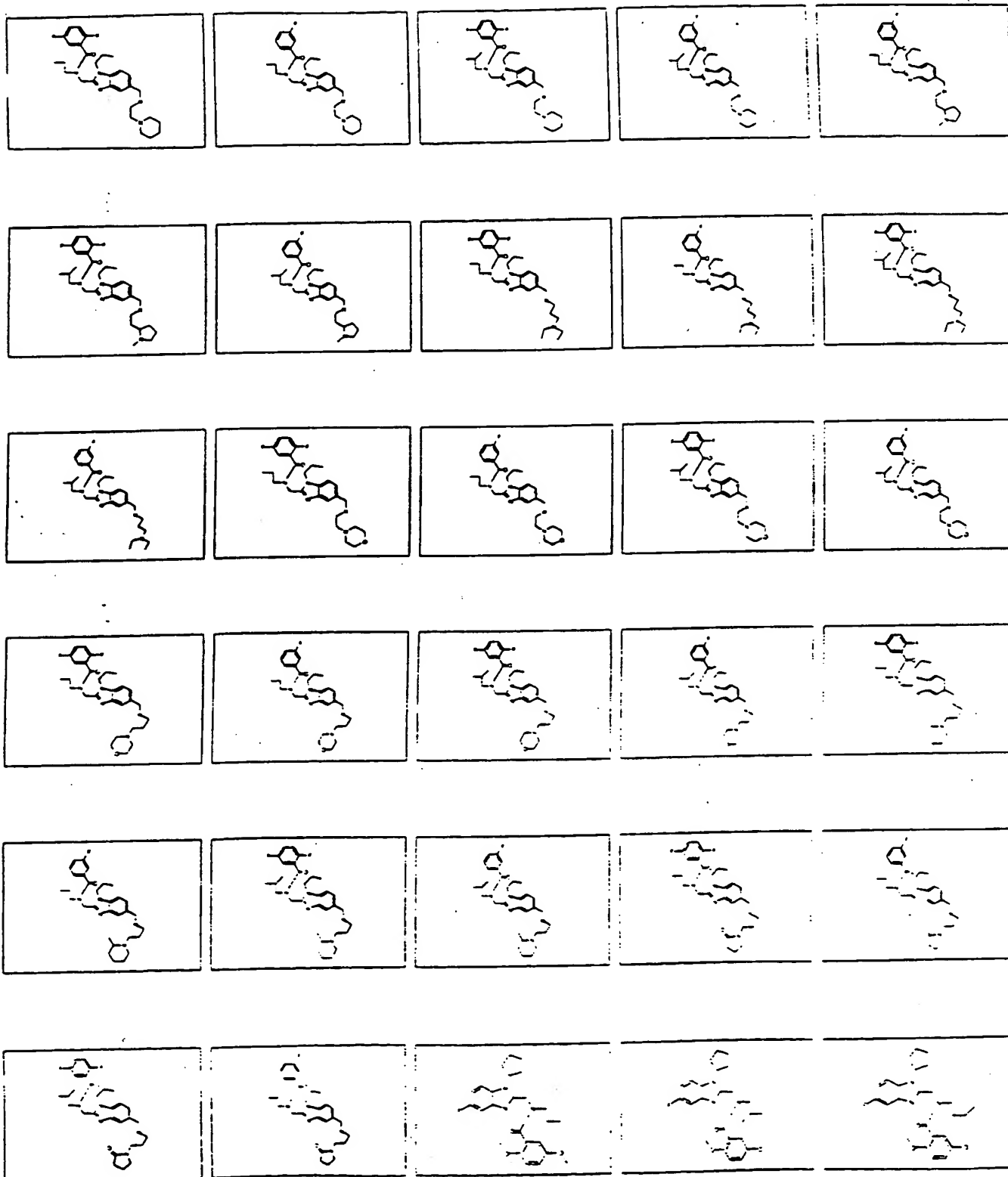




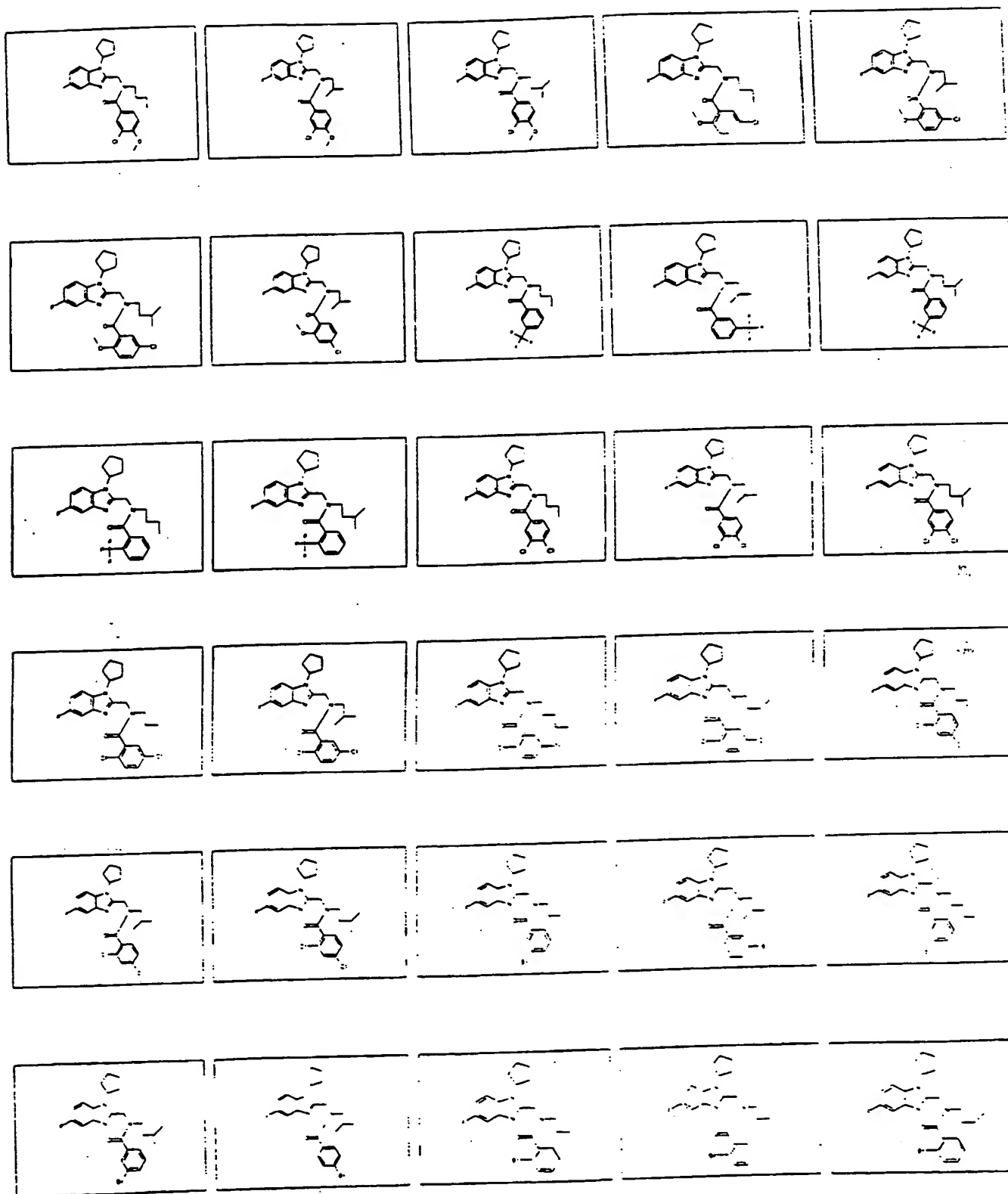
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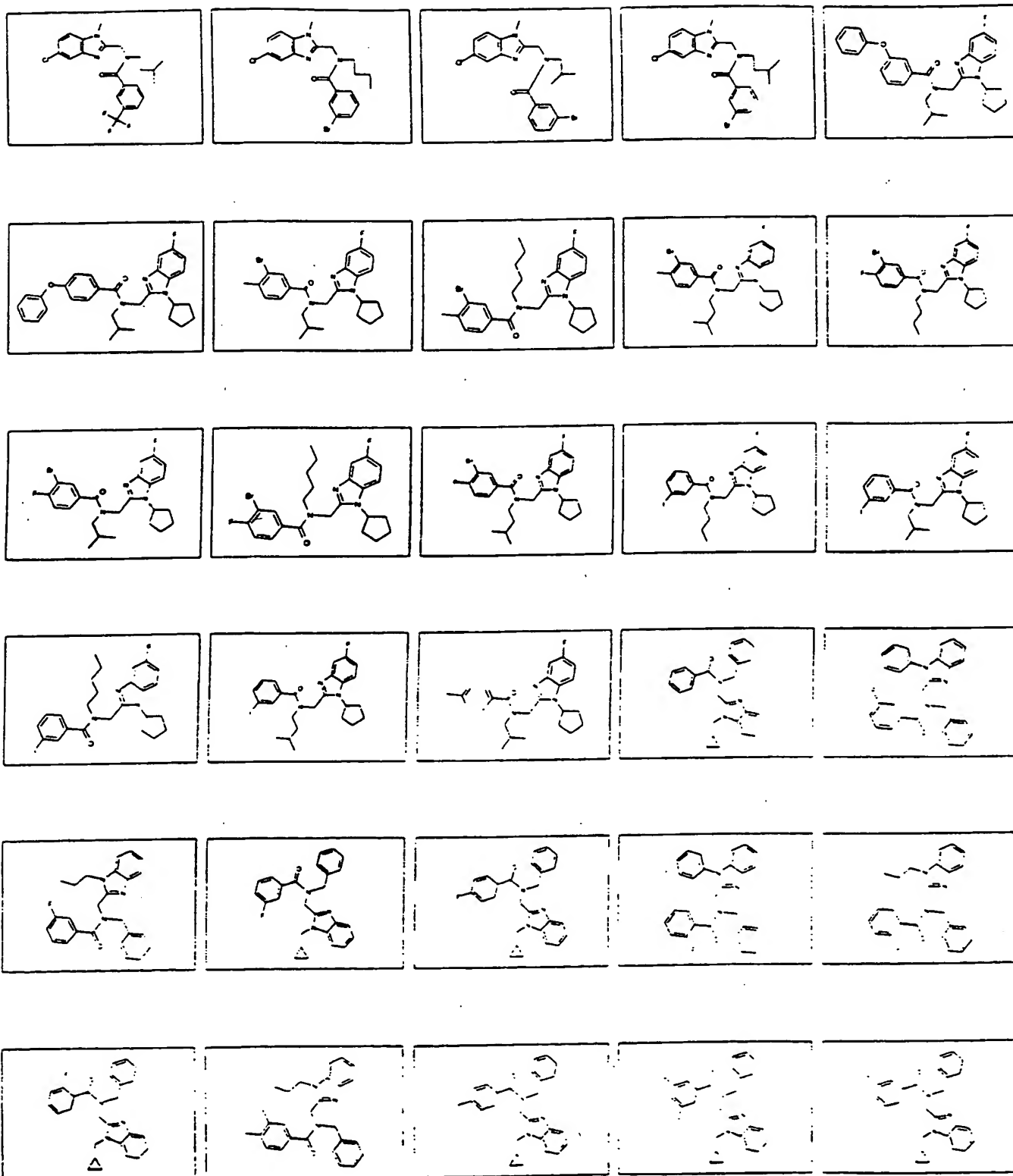
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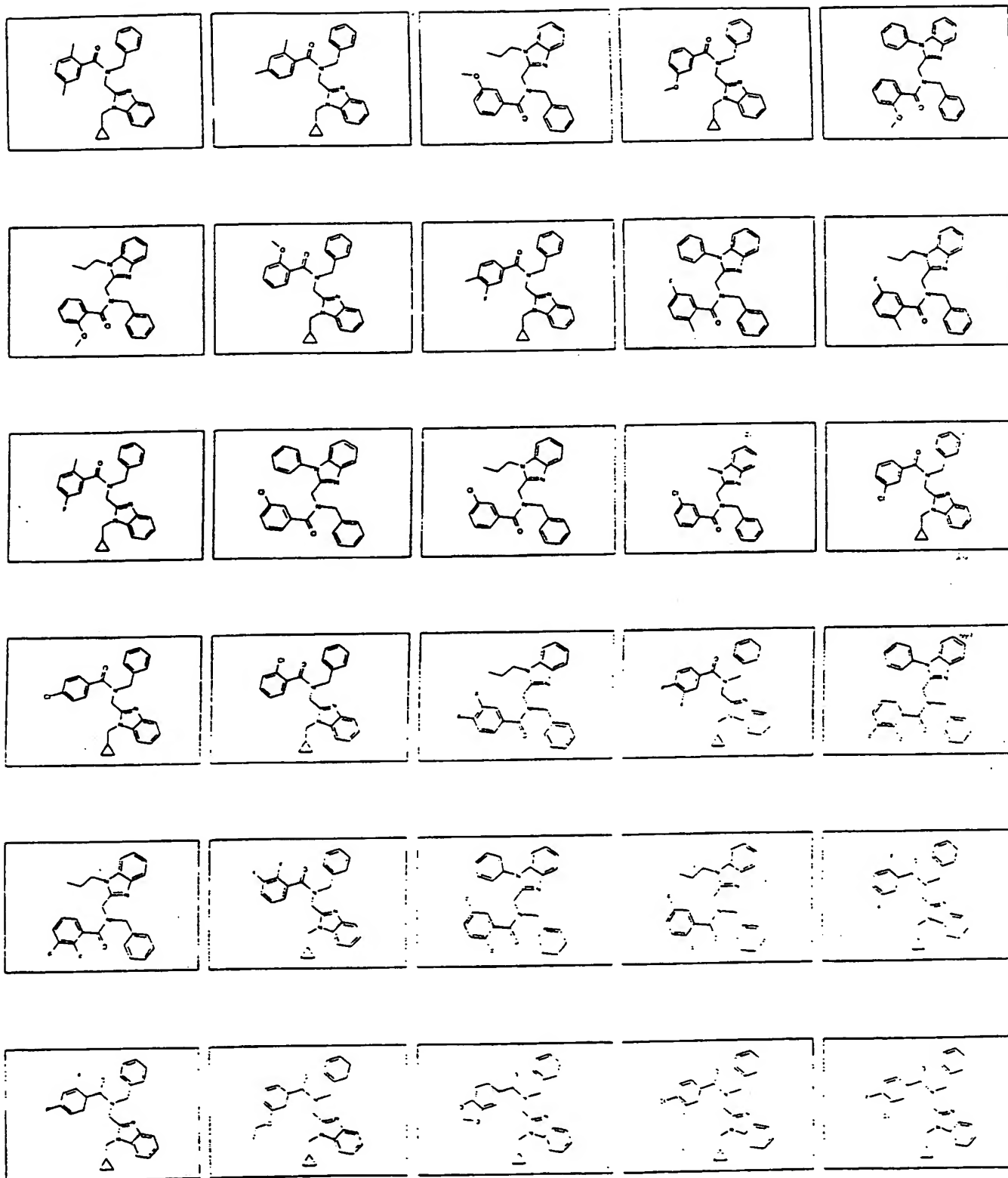
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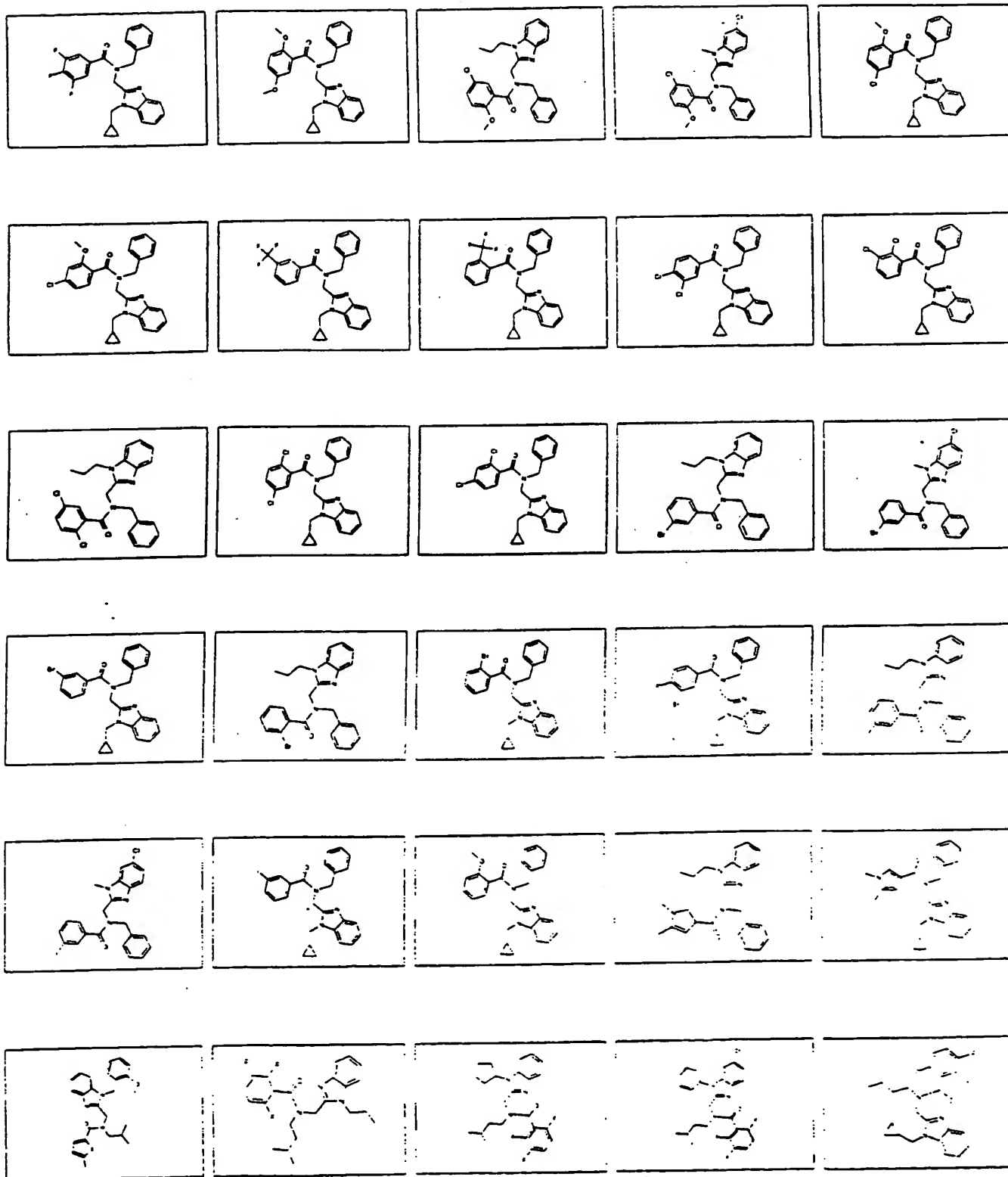
## Appendix 2



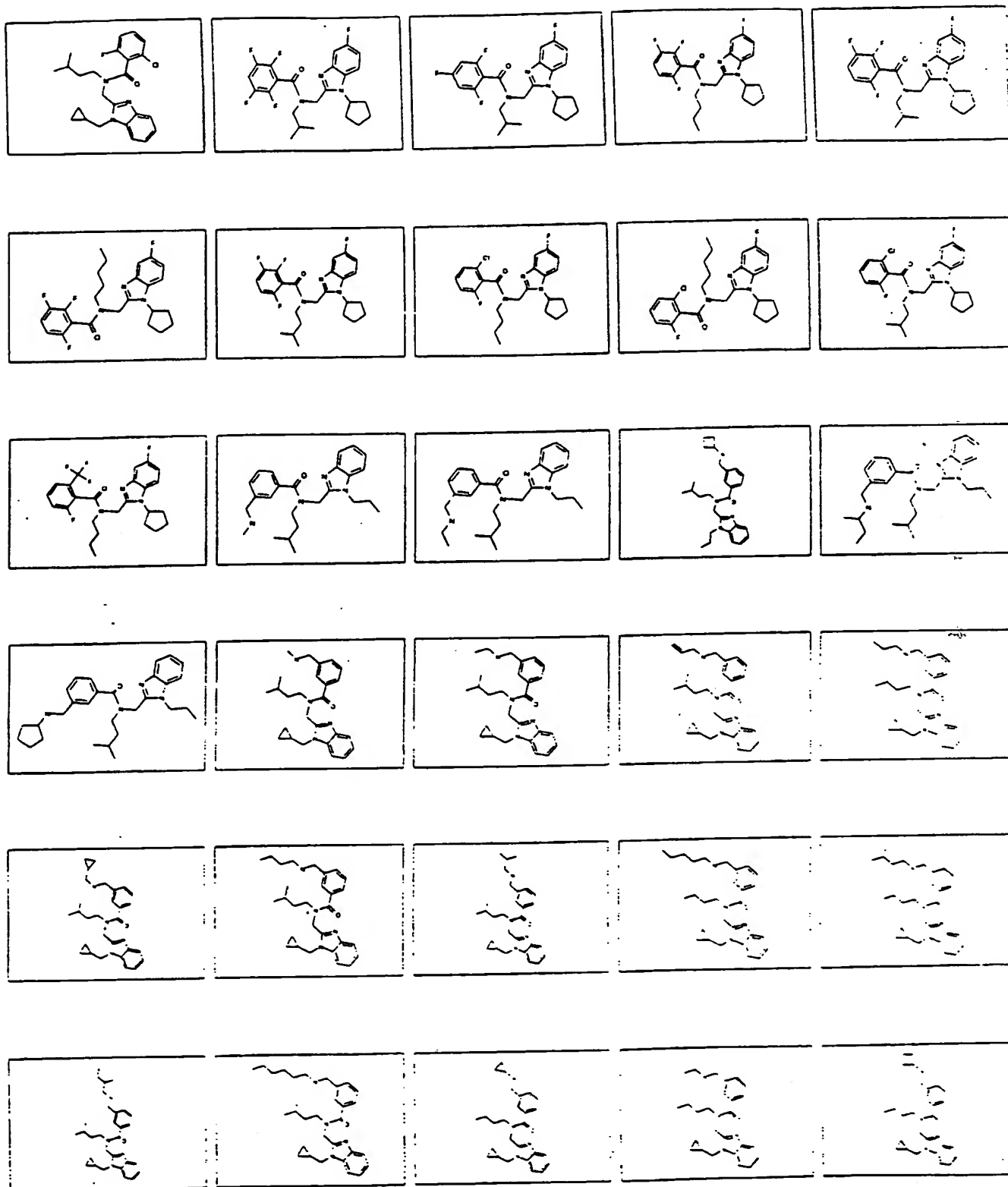
## Appendix 2



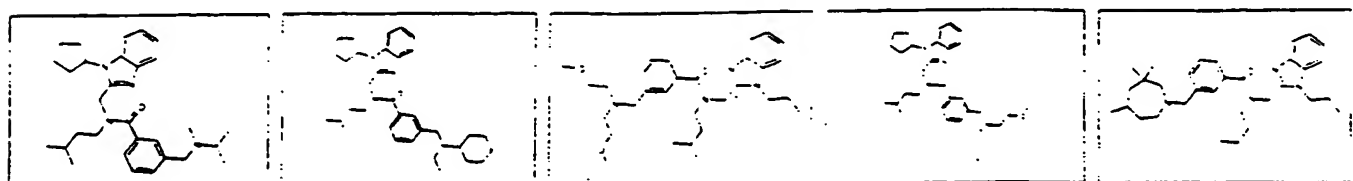
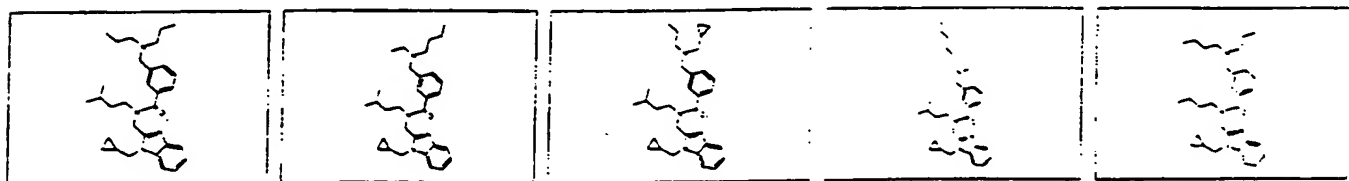
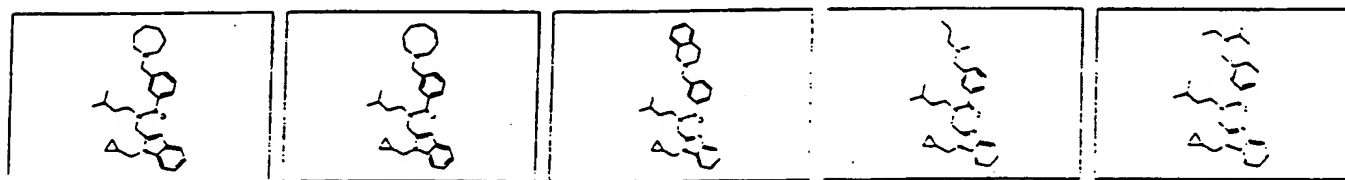
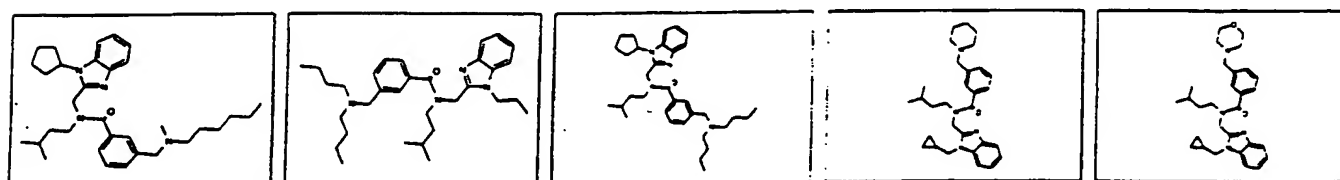
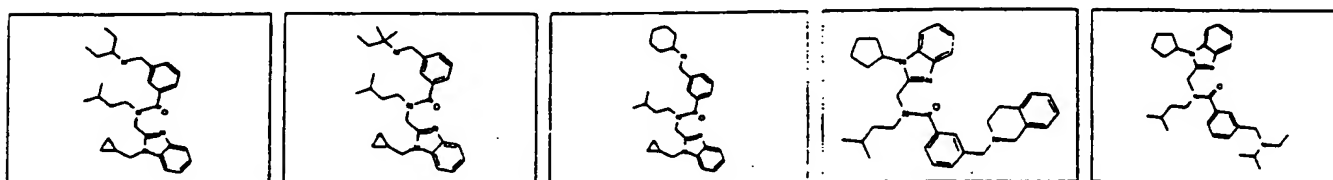
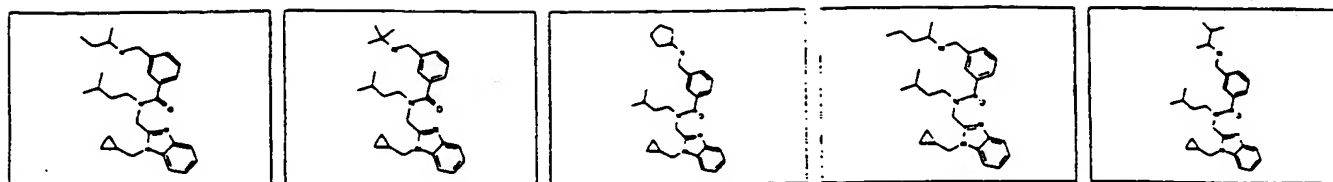
## Appendix 2



## Appendix 2

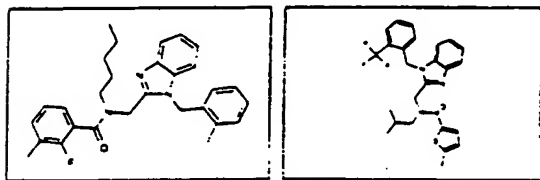
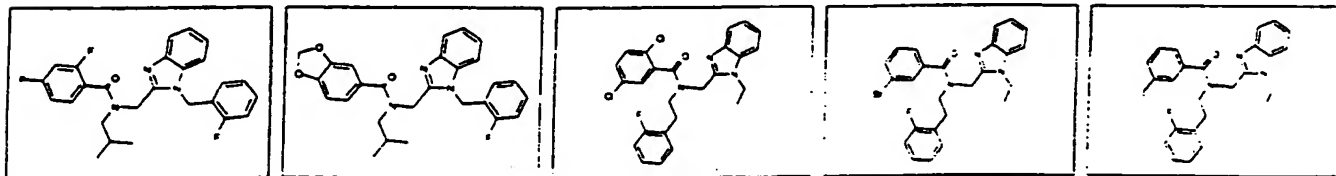
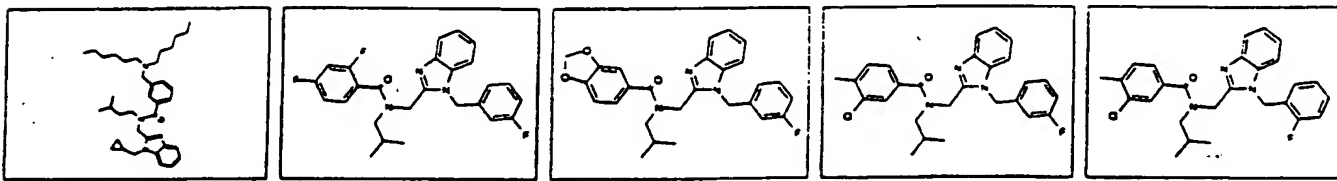
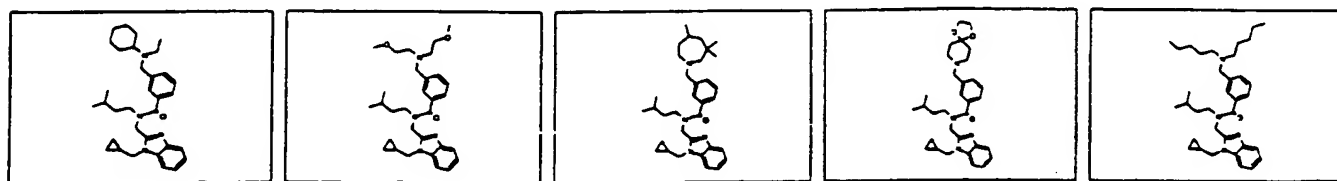
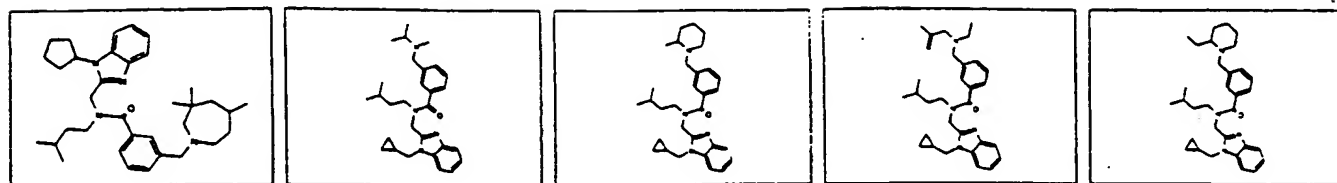


## Appendix 2



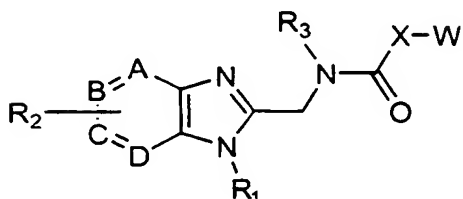


## Appendix 2



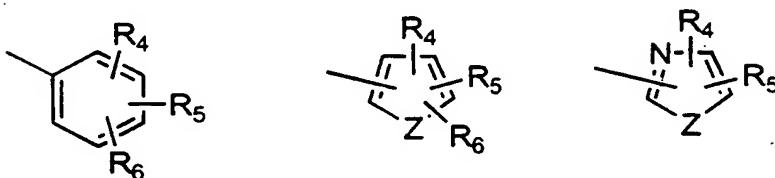
WHAT IS CLAIMED IS:

1. A compound of the formula:



or pharmaceutically acceptable non-toxic salts thereof  
wherein:

W represents



where Z is O, or S;

R<sub>1</sub> represents phenyl, C<sub>1</sub>-C<sub>6</sub> alkyl, cyclopentyl, cyclohexyl, benzyl, 3-fluorobenzyl, or cyclopropylmethyl;

R<sub>2</sub> represents

hydroxyl;

C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, each of which are optionally substituted with amino, mono or di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, a C<sub>5</sub>-C<sub>7</sub> heterocycloalkyl group where the heteroatom is nitrogen and the nitrogen is attached to the parent alkyl portion;

O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>, CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the same or

different and represent hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl;

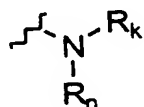
or

NR<sub>8</sub>R<sub>9</sub> forms a 5-, 6-, or 7-membered heterocyclic ring;

or R<sub>2</sub> represents

hydrogen or

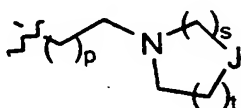
a group of the formula



where

R<sub>n</sub> and R<sub>k</sub> independently represent C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>2</sub>-C<sub>6</sub> alkenyl, C<sub>1</sub>-C<sub>6</sub> cycloalkyl(C<sub>1</sub>-C<sub>6</sub>)alkyl, benzoyl where the phenyl portion is optionally substituted with halogen, C<sub>1</sub>-C<sub>6</sub> alkyl, or C<sub>1</sub>-C<sub>6</sub> alkoxy;

a group of the formula IV-a



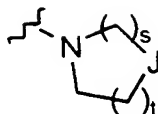
IV-a

where p, s, and t independently represent

1 or 2;

J is CH, N, O, or a carbon atom substituted with C<sub>1</sub>-C<sub>6</sub> alkyl; or

NR<sub>k</sub>R<sub>n</sub> represents



where s, t, and J are as defined above;

R<sub>3</sub> represents

C<sub>1</sub>-C<sub>6</sub> alkyl, allyl, cyclopropylmethyl, cyclopentyl; or  
benzyl optionally mono-, di-, or trisubstituted  
independently with

halogen, nitro, trifluoromethyl,

trifluoromethoxy, cyano, or hydroxy;

C<sub>1</sub>-C<sub>6</sub> alkyl or C<sub>1</sub>-C<sub>6</sub> alkoxy, each of which is  
optionally substituted with amino, mono or  
di(C<sub>1</sub>-C<sub>6</sub>) alkylamino, a C<sub>5</sub>-C<sub>7</sub>  
heterocycloalkyl group where the heteroatom  
is nitrogen and the nitrogen is attached to  
the parent alkyl portion;

O(CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>R<sub>8</sub> where n=1,2,3,4, NR<sub>8</sub>COR<sub>9</sub>, COR<sub>8</sub>,  
CONR<sub>8</sub>R<sub>9</sub> or CO<sub>2</sub>R<sub>8</sub> where R<sub>8</sub> and R<sub>9</sub> are the  
same or different and represent hydrogen or  
C<sub>1</sub>-C<sub>6</sub> alkyl;

NR<sub>8</sub>R<sub>9</sub> forms a 5-, 6-, 7-membered heterocyclic  
ring;

SO<sub>2</sub>R<sub>8</sub>, NHSO<sub>2</sub>R<sub>8</sub>, SO<sub>2</sub>NHR<sub>8</sub>, SO<sub>2</sub>NHCOR<sub>8</sub>, CONHSO<sub>2</sub>R<sub>8</sub>

where R<sub>8</sub> is defined as above;

$O(CH_2)_n-G$  where  $n=1,2,3,4$  and  $G$  is  $SO_2R_8$ ,  
 $NHSO_2R_8$ ,  $SO_2NHR_8$ ,  $SO_2NHCOR_8$ , or  $CONHSO_2R_8$ ,  
where  $R_8$  is as defined above; or  
tetrazole, triazole, imidazole, thiazole,  
oxazole, thiophene, or pyridyl;

$R_4$ ,  $R_5$  and  $R_6$  are the same or different and represent  
hydrogen; or

$C_1-C_6$  alkyl or  $C_1-C_6$  alkoxy, each of which is  
optionally substituted with amino, mono or  
 $di(C_1-C_6)$  alkylamino, a  $C_5-C_7$  heterocycloalkyl  
group where the heteroatom is nitrogen and the  
nitrogen is attached to the parent alkyl  
portion,  $C_1-C_6$  alkylthiol, or halogen;

$O(CH_2)_nCO_2R_8$  where  $n=1,2,3,4$ ,  $NR_8COR_9$ ,  $COR_8$ ,  $CONR_8R_9$   
or  $CO_2R_8$  where  $R_8$  and  $R_9$  are the same or  
different and represent hydrogen or  $C_1-C_6$  alkyl;  
 $NR_8R_9$  forms a 5-, 6-, or 7-membered heterocyclic  
ring; or

$R_4$  and  $R_5$  can form a 1,3-dioxolene ring;

$X$  represents a bond,  $CH_2$ , or  $CHCH$ ; and

$A$ ,  $B$ ,  $C$ , and represent  $N$  or  $CH$  with the proviso that not  
more than two of  $A, B, C$ , or  $D$  represent  $N$ .

2. A compound according to claim 1, which is N-((3-cyclopropylmethylimidazolo[5,4-b]pyridin-2-yl)methyl)(3-fluorophenyl)-N-propylcarboxamide.
3. A compound according to claim 1, which is N-[(3-cyclopropylmethylimidazolo[5,4-b]pyridin-2-yl)methyl](2,5-difluorophenyl)-N-propylcarboxamide.
4. A compound according to claim 1, which is N-((3-n-butyl-imidazolo[5,4-b]pyridin-2-yl)methyl)(3-iodophenyl)-N-propylcarboxamide.
5. A compound according to Claim 1, which is N-[benzoyl]-N-methyl-1-n-propyl-2-(methanamine)-5-fluorobenzimidazole.
6. A compound according to claim 1, which is (2,5-difluorophenyl)-N-{[5-(morpholin-4-ylmethyl)-1-propylbenzimidazol-2-yl)methyl}-N-propylcarboxamide.
7. A compound according to claim 1, which is (2,5-difluorophenyl)-N-methyl-N-[(1-propylbenzimidazol-2-yl)methyl]carboxamide.

8. A compound according to claim 1, which is N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl](2,5-difluorophenyl)-N-propylcarboxamide.

9. A compound according to claim 1, which is N-({5-(diethylamino)methyl}-1-butylbenzimidazol-2-yl)methyl(3-fluorophenyl)-N-propylcarboxamide.

10. A compound according to claim 1, which is N-[(7-chloro-1-propylbenzimidazol-2-yl)methyl](3-fluorophenyl)-N-methylcarboxamide.

11. A compound according to claim 1, which is N-[(7-chloro-1-propylbenzimidazol-2-yl)methyl](3-fluorophenyl)-N-propylcarboxamide.

12. A compound according to claim 1, which is N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]{3-[(methylamino)methyl]phenyl}-N-propylcarboxamide.

13. A compound according to claim 1, which is (3-fluorophenyl)-N-[(4-fluoro-1-propylbenzimidazol-2-yl)methyl]-N-propylcarboxamide.

14. A compound according to claim 1, which is (2,5-difluorophenyl)-N-{[1-(cyclopropylmethyl)benzimidazol-2-yl)methyl]-N-propylcarboxamide.

15. A compound according to claim 1, which is N-  
{[5-(N,N-diethylcarbamoyl)-1-propylbenzimidazol-2-  
yl)methyl]}(3-fluorophenyl)-N-propylcarboxamide.

16. A compound according to claim 1, which is (2,5-  
difluorophenyl)-N-[(4-fluoro-1-propylbenzimidazol-2-  
yl)methyl]-N-propylcarboxamide.

17. A compound according to claim 1, which is N-  
{[6-chloro-1-(cyclopropylmethyl)benzimidazol-2-  
yl)methyl]}(3-fluorophenyl)-N-propylcarboxamide.

18. A compound according to claim 1, which is (2,5-  
difluorophenyl)-N-({5-[(ethylamino)methyl]-1-  
propylbenzimidazol-2-yl)methyl)-N-propylcarboxamide.

19. A compound according to claim 1, which is (2,5-  
difluorophenyl)-N-propyl-N-({1-propyl-5-  
[(propylamino)methyl]benzimidazol-2-  
yl)methyl)carboxamide.

20. A compound according to claim 1, which is (2,5-  
difluorophenyl)-N-({5-[(methylamino)methyl]-1-  
propylbenzimidazol-2-yl)methyl)-N-propylcarboxamide.

21. A compound according to claim 1, which is N-  
[(6-chloro-1-propylbenzimidazol-2-yl)methyl]{4-[2-  
(ethylamino)ethoxy]phenyl}-N-(3-methylbutyl)carboxamide.



22. A compound according to claim 1, which is N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]-N-(3-methylbutyl){4-[2-(propylamino)ethoxy]phenyl}carboxamide.

23. A compound according to claim 1, which is N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl](2-methyl(1,3-thiazol-4-yl))-N-(2-methylpropyl)carboxamide.

24. A compound according to claim 1, which is (5-bromo(2-thienyl))-N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]-N-(2-methylpropyl)carboxamide.

25. A compound according to claim 1, which is [3-(2-bromoethoxy)phenyl]-N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]-N-(2-methylpropyl)carboxamide.

26. A compound according to claim 1, which is N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl]-N-(2-methylpropyl){3-[2-(propylamino)ethoxy]phenyl}carboxamide.

27. A compound according to claim 1, which is N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl](3-{2-[(2-methoxyethyl)amino]ethoxy}phenyl)-N-(2-methylpropyl)carboxamide.

28. A compound according to claim 1, which is N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl](3-{2-[(2-ethoxyethyl)amino]propoxy}phenyl)-N-(2-methylpropyl)carboxamide.

29. A compound according to claim 1, which is N-[(6-chloro-1-propylbenzimidazol-2-yl)methyl](3-(2-{[2-(methylethoxy)ethyl]amino}propoxy)phenyl)-N-(2-methylpropyl)carboxamide.

30. A compound according to claim 1 for use in therapeutic treatment of a disease or disorder associated with pathogenic agonism, inverse agonism or antagonism of the GABA<sub>A</sub> receptor.

31. A pharmaceutical composition comprising a compound according to claim 1 combined with at least one pharmaceutically acceptable carrier or excipient.

32. A method for the treatment or prevention of a disease or disorder associated with pathogenic associated with pathogenic agonism, inverse agonism or antagonism of the GABA<sub>A</sub> receptor, the method comprising administering to a patient in need of such treatment or prevention an effective amount of a compound of claim 1.

33. The use of a compound according to claim 1 for the manufacture of a medicament for the treatment or prevention of a disease or disorder associated with pathogenic agonism, inverse agonism or antagonism of the GABA<sub>A</sub> receptor.

34. The use of a compound according to claim 1 for the manufacture of a medicament for the treatment or prevention of anxiety, depression, sleep disorders, or cognitive impairment.

35. A method according to claim 32 wherein the disease or disorder associated with pathogenic agonism, inverse agonism or antagonism of the GABA<sub>A</sub> receptor is anxiety, depression, a sleep disorder, or cognitive impairment.

36. A method for localizing GABA<sub>A</sub> receptors in a tissue sample comprising:  
contacting the sample with a detectably-labeled compound of claim 1 under conditions that permit binding of the compound to GABA<sub>A</sub> receptors, washing the sample to remove unbound compound, and detecting the bound compound.

37. A method for altering the signal-transducing activity of GABA<sub>A</sub> receptors, the method comprising exposing cells expressing GABA<sub>A</sub> receptors to a compound according to claim 1 at a concentration sufficient to inhibit RO15-1788 binding to cells expressing a cloned human GABA<sub>A</sub> receptor *in vitro*.

38. A packaged pharmaceutical composition comprising the pharmaceutical composition of claim 28 in a container and instructions for using the composition to treat a patient suffering from a disorder responsive to agonism, inverse agonism or antagonism of the GABA<sub>A</sub> receptor.

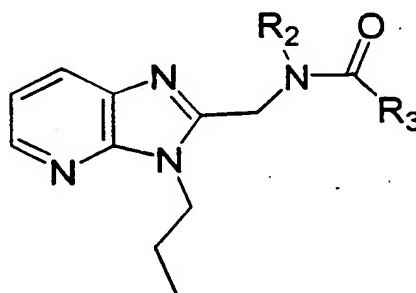
39. The packaged pharmaceutical composition of claim 38, wherein the patient is suffering from anxiety, depression, a sleep disorder, or cognitive impairment.

40. A compound according to claim 1 wherein the compound exhibits an  $IC_{50}$  of 1 micromolar or less in a standard assay of  $GABA_A$  receptor binding.

41. A compound according to claim 1 wherein the compound exhibits an  $IC_{50}$  of 100 nanomolar or less in a standard assay of  $GABA_A$  receptor binding.

42. A compound according to claim 1 wherein the compound exhibits an  $IC_{50}$  of 10 nanomolar or less in a standard assay of  $GABA_A$  receptor binding.

43. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Methyl	3-Fluorophenyl
Allyl	3-Fluorophenyl
Propyl	3-Fluorophenyl
Allyl	3-Fluorophenyl
Propyl	3-Fluorophenyl
Propyl	3,4-Difluorophenyl
Allyl	2,5-Difluorophenyl
Propyl	2,5-Difluorophenyl
Propyl	1,3-Benzodioxol-5-yl

Allyl	3-Chloro-4-fluorophenyl
Propyl	3-Chloro-4-fluorophenyl
Methyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	3-{2-[(3-Methoxypropyl) amino] ethoxy}phenyl
3-Methylbutyl	3-{2-[(3-Ethoxypropyl) amino] ethoxy}phenyl
3-Methylbutyl	3-{2-[(3-Ethoxypropyl) amino] ethoxy}phenyl
3-Methylbutyl	3-[2-(Benzylamino) ethoxy]phenyl
3-Methylbutyl	3-[2-(Benzylamino) ethoxy]phenyl
2-Methylpropyl	3-{2-[(3-i-Propoxypropyl) amino] ethoxy}phenyl
3-Methylbutyl	3-{2-[(3-i-Propoxypropyl) amino] ethoxy}phenyl
Benzyl	3-Chloro-2-thienyl
4-Fluorobenzyl	3-Chloro-2-thienyl
Benzyl	3-Chloro-4-methylphenyl
2-Fluorobenzyl	3-Chloro-4-methylphenyl
4-Fluorobenzyl	3-Chloro-4-methylphenyl
4-Fluorobenzyl	2-Fluoro-6-trifluoromethylphenyl
4-Fluorobenzyl	3,5-Dibromophenyl
Pentyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	4-Bromophenyl
3-Methylbutyl	4-Bromophenyl
Butyl	2-Bromophenyl
Pentyl	2-Bromophenyl
3-Methylbutyl	2-Bromophenyl
3-Methylbutyl	3-Methoxyphenyl
3-Methylbutyl	2-Methoxyphenyl
3-Methylbutyl	3-Chlorophenyl
3-Methylbutyl	2-Chlorophenyl
3-Methylbutyl	2-Chlorophenyl
Ethyl	5-Chloro-2-methoxyphenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	5-Chloro-2-methoxyphenyl
Methyl	2,5-Dichlorophenyl
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Propyl	5-Methyl-2-thienyl
Propyl	Phenyl
Propyl	3-Methylphenyl
Propyl	3-Fluoro-4-methylphenyl
Allyl	5-Fluoro-2-methylphenyl
Propyl	5-Fluoro-2-methylphenyl
Benzyl	2,3,5,6-Tetrafluorophenyl
4-Fluorobenzyl	2,3,5,6-Tetrafluorophenyl
Benzyl	2,4,6-Trifluorophenyl
Benzyl	2,3,6-Trifluorophenyl
4-Fluorobenzyl	2,3,6-Trifluorophenyl

4-Fluorobenzyl	2-Chloro-6-fluorophenyl
Benzyl	2-Fluoro-6-trifluoromethylphenyl
2-Methylpropyl	3-(2-{{(4-Methylphenyl)methyl}amino}ethoxy)phenyl
3-Methylbutyl	3-{2-[(2-Cyclohex-1-enylethyl)amino]ethoxy}phenyl
2-Methylpropyl	3-(2-{{(2-Methylphenyl)methyl}amino}ethoxy)phenyl
2-Methylpropyl	3-(2-{{(3-Methylphenyl)methyl}amino}ethoxy)phenyl
2-Methylpropyl	3-(2-{{(2-Methoxyphenyl)methyl}amino}ethoxy)phenyl
2-Fluorobenzyl	3-Iodo-4-methylphenyl
4-Fluorobenzyl	3-Iodo-4-methylphenyl
4-Fluorobenzyl	2-Thienyl
Benzyl	2-Thienyl
4-Fluorobenzyl	2-Thienyl
Benzyl	3-Methyl-2-thienyl
4-Fluorobenzyl	3-Methyl-2-thienyl
Benzyl	5-Methyl-2-thienyl
2-Fluorobenzyl	5-Methyl-2-thienyl
4-Fluorobenzyl	5-Methyl-2-thienyl
4-Fluorobenzyl	4,5-Dimethyl-2-furyl
2-Methylpropyl	3,4-Dichlorophenyl
Pentyl	3,4-Dichlorophenyl
3-Methylbutyl	3,4-Dichlorophenyl
3-Methylbutyl	3,5-Dichlorophenyl
3-Methylbutyl	2,3-Dichlorophenyl
Butyl	2,5-Dichlorophenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl
Butyl	2,4-Dichlorophenyl
2-Methylpropyl	2,4-Dichlorophenyl
3-Methylbutyl	2,4-Dichlorophenyl
Allyl	3-Chlorophenyl
Propyl	3-Chlorophenyl
Propyl	2,3,6-Trifluorophenyl
Methyl	5-Chloro-2-methoxyphenyl
Ethyl	5-Chloro-2-methoxyphenyl
Allyl	5-Chloro-2-methoxyphenyl
Methyl	2,5-Dichlorophenyl
Methyl	3-Bromophenyl
Ethyl	3-Bromophenyl
Propyl	3-Bromophenyl
Methyl	3-Bromo-4-fluorophenyl
Methyl	3-Iodophenyl
3-Methylbutyl	3-(2-{{(2-Methoxyphenyl)methyl}amino}ethoxy)phenyl

2-Methylpropyl	3-(2-{[(3-Methoxyphenyl)methyl]amino}ethoxy)phenyl
2-Methylpropyl	3-(2-{[(4-Methoxyphenyl)methyl]amino}ethoxy)phenyl
2-Methylpropyl	3-(2-{[(2-Chlorophenyl)methyl]amino}ethoxy)phenyl
Benzyl	2,5-Dimethoxyphenyl
2-Fluorobenzyl	2,5-Dimethoxyphenyl
4-Fluorobenzyl	2,5-Dimethoxyphenyl
Butyl	4-Pentylphenyl
2-Methylpropyl	4-Pentylphenyl
3-Methylbutyl	4-Pentylphenyl
Butyl	3-Bromophenyl
2-Methylpropyl	3-Bromophenyl
Pentyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	4-Bromophenyl
3-Methylbutyl	4-Bromophenyl
Butyl	2-Bromophenyl
Pentyl	2-Bromophenyl
3-Methylbutyl	2-Bromophenyl
Ethyl	3-Iodophenyl
Allyl	3-Iodophenyl
Propyl	3-Chloro-4-methylphenyl
Propyl	5-Bromo-2-thienyl
Ethyl	Phenyl
Allyl	Phenyl
Propyl	Phenyl
Allyl	3-Methylphenyl
Propyl	3-Methylphenyl
Propyl	4-Methylphenyl
Methyl	3-Fluorophenyl
Propyl	3-Fluorophenyl
Butyl	3-Chloro-4-methoxyphenyl
2-Methylpropyl	3-Chloro-4-methoxyphenyl
3-Methylbutyl	3-Chloro-4-methoxyphenyl
Butyl	5-Chloro-2-methoxyphenyl
2-Methylpropyl	5-Chloro-2-methoxyphenyl
Pentyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	5-Chloro-2-methoxyphenyl
Butyl	3-Trifluoromethylphenyl
Pentyl	3-Trifluoromethylphenyl
3-Methylbutyl	3-Trifluoromethylphenyl
3-Methylbutyl	2-Trifluoromethylphenyl
Butyl	3,4-Dichlorophenyl
Propyl	4-Fluorophenyl
Methyl	2-Fluorophenyl
Allyl	2-Fluorophenyl
Propyl	2-Fluorophenyl

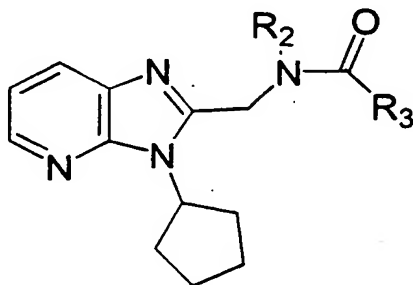
Propyl	3-Fluoro-4-methylphenyl
Methyl	5-Fluoro-2-methylphenyl
Propyl	5-Fluoro-2-methylphenyl
Methyl	3-Chlorophenyl
Allyl	3-Chlorophenyl
Propyl	3-Chlorophenyl
3-Methylbutyl	4-Hexylphenyl
3-Methylbutyl	2-Fluoro-3-trifluoromethylphenyl
Butyl	2,5-Dichlorophenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl
Butyl	2,4-Dichlorophenyl
2-Methylpropyl	2,4-Dichlorophenyl
3-Methylbutyl	2,4-Dichlorophenyl
Butyl	4-Pentylphenyl
2-Methylpropyl	4-Pentylphenyl
3-Methylbutyl	4-Pentylphenyl
Butyl	3-Bromophenyl
2-Methylpropyl	3-Bromophenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
3-Methylbutyl	3-Bromo-4-methylphenyl
Butyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	3-Bromo-4-fluorophenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
Butyl	3-Iodophenyl
2-Methylpropyl	3-Iodophenyl
Pentyl	3-Iodophenyl
3-Methylbutyl	3-Iodophenyl
2-Methylpropyl	4-Iodophenyl
3-Methylbutyl	3-Iodo-4-methylphenyl
Butyl	2-Thienyl
Pentyl	2-Thienyl
3-Methylbutyl	2-Thienyl
Butyl	3-Thienyl
Pentyl	3-Thienyl
3-Methylbutyl	3-Thienyl
3-Methylbutyl	Benzyl
Butyl	3-Methyl-2-thienyl
Pentyl	3-Methyl-2-thienyl
3-Methylbutyl	3-Methyl-2-thienyl
Pentyl	3-Methyl-5-thienyl
3-Methylbutyl	3-Methyl-5-thienyl
3-Methylbutyl	3-Methylphenyl
2-Methylpropyl	5-Chloro-2-methoxyphenyl
Pentyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	5-Chloro-2-methoxyphenyl
Butyl	3-Trifluoromethylphenyl



Pentyl	3-Trifluoromethylphenyl
3-Methylbutyl	3-Trifluoromethylphenyl
3-Methylbutyl	2-Trifluoromethylphenyl
Butyl	3,4-Dichlorophenyl
2-Methylpropyl	3,4-Dichlorophenyl
3-Methylbutyl	3,4-Dichlorophenyl
3-Methylbutyl	3,5-Dichlorophenyl
3-Methylbutyl	2,3-Dichlorophenyl
Butyl	Phenyl
Pentyl	Phenyl
3-Methylbutyl	Phenyl
Pentyl	3-Methylphenyl
3-Methylbutyl	3-Methylphenyl
2-Methylpropyl	4-Methylphenyl
3-Methylbutyl	4-Methylphenyl
Pentyl	2-Methylphenyl
3-Methylbutyl	2-Methylphenyl
Butyl	3-Fluorophenyl
2-Methylpropyl	3-Fluorophenyl
Pentyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
Pentyl	4-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
Pentyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	3,4-Dimethylphenyl
3-Methylbutyl	3,4-Dimethylphenyl
Pentyl	2,5-Dimethylphenyl
3-Methylbutyl	2,5-Dimethylphenyl
2-Methylpropyl	2,4-Dimethylphenyl
3-Methylbutyl	2,4-Dimethylphenyl
2-Methylpropyl	3-Methoxyphenyl
Pentyl	3-Methoxyphenyl
3-Methylbutyl	3-Methoxyphenyl
2-Methylpropyl	4-Methoxyphenyl
3-Methylbutyl	4-Methoxyphenyl
Pentyl	2-Methoxyphenyl
3-Methylbutyl	2-Methoxyphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
Pentyl	3-Fluoro-4-methylphenyl
3-Methylbutyl	3-Fluoro-4-methylphenyl
3-Methylbutyl	3-Fluoro-2-methylphenyl
2-Methylpropyl	5-Fluoro-2-methylphenyl
Pentyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	3-Chloro-4-fluorophenyl
Pentyl	3-Chloro-4-fluorophenyl
3-Methylbutyl	3-Chloro-4-fluorophenyl
3-Methylbutyl	3,4,5-Trifluorophenyl

3-Methylbutyl	4-Butylphenyl
Pentyl	4- <i>i</i> -propylphenyl
3-Methylbutyl	4- <i>i</i> -propylphenyl
Butyl	4-Ethylthiophenyl
2-Methylpropyl	4-Ethylthiophenyl
3-Methylbutyl	4-Ethylthiophenyl
3-Methylbutyl	3-Chloro-4-methoxyphenyl
Butyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	2-Fluoro-3-methylphenyl
Pentyl	2-Fluoro-3-methylphenyl
3-Methylbutyl	2-Fluoro-3-methylphenyl
2-Methylpropyl	3-Chlorophenyl
Pentyl	3-Chlorophenyl
3-Methylbutyl	3-Chlorophenyl
2-Methylpropyl	4-Chlorophenyl
3-Methylbutyl	4-Chlorophenyl
3-Methylbutyl	2-Chlorophenyl
3-Methylbutyl	3,4-Difluorophenyl
3-Methylbutyl	1,2-Difluorophenyl
Pentyl	2,5-Difluorophenyl
3-Methylbutyl	2,5-Difluorophenyl
Pentyl	2,4-Difluorophenyl
3-Methylbutyl	2,4-Difluorophenyl
3-Methylbutyl	4-Propylphenyl
Pentyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	4-Methylthiophenyl
3-Methylbutyl	3-Fluoro-4-methoxyphenyl
2-Methylpropyl	4-Chloro-3-methylphenyl
3-Methylbutyl	4-Chloro-3-methylphenyl
Butyl	3-Chloro-4-fluorophenyl

44. A compound according to claim 1 which has the formula



where R<sub>2</sub> and R<sub>3</sub> are defined in the following table:

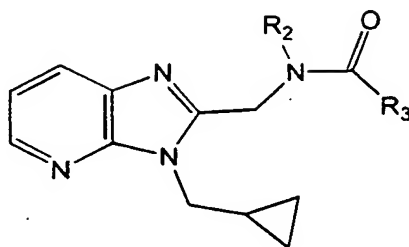
R <sub>2</sub>	R <sub>3</sub>
2-Methylpropyl	2,4,6-Trifluorophenyl
3-Methylbutyl	2,4,6-Trifluorophenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
Pentyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
Pentyl	2-Chloro-6-fluorophenyl
3-Methylbutyl	2-Chloro-6-fluorophenyl
Pentyl	2-Fluoro-6-trifluoromethylphenyl
3-Methylbutyl	2-Fluoro-6-trifluoromethylphenyl
Pentyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	4-Hexylphenyl
Butyl	4-Pentoxyphenyl
2-Methylpropyl	4-Pentoxyphenyl
Butyl	2-Fluoro-3-trifluoromethylphenyl
2-Methylpropyl	2-Fluoro-3-trifluoromethylphenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	4-heptylphenyl
Butyl	3-Iodophenyl
2-Methylpropyl	3-Iodophenyl
Pentyl	3-Iodophenyl
3-Methylbutyl	3-Iodophenyl
Butyl	4-Iodophenyl
2-Methylpropyl	4-Iodophenyl
2-Methylpropyl	4-Pentylphenyl
3-Methylbutyl	2-Fluoro-3-trifluoromethylphenyl
Butyl	3-Bromo-4-methylphenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
Pentyl	3-Bromo-4-methylphenyl
3-Methylbutyl	3-Bromo-4-methylphenyl
Butyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	3-Bromo-4-fluorophenyl
3-Methylbutyl	3,4-Dichlorophenyl
Butyl	2,3-Dichlorophenyl
2-Methylpropyl	2,3-Dichlorophenyl
3-Methylbutyl	2,3-Dichlorophenyl
Butyl	2,5-Dichlorophenyl
Butyl	3-Bromophenyl
2-Methylpropyl	3-Bromophenyl
Pentyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
Butyl	4-Bromophenyl

2-Methylpropyl	4-Bromophenyl
3-Methylbutyl	4-Bromophenyl
Butyl	2-Bromophenyl
Pentyl	2-Bromophenyl
3-Methylbutyl	2-Bromophenyl
Pentyl	4-Hexylphenyl
2-Methylpropyl	4-Chloro-2-methoxyphenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl
Butyl	2,4-Dichlorophenyl
2-Methylpropyl	2,4-Dichlorophenyl
Pentyl	2,4-Dichlorophenyl
3-Methylbutyl	2,4-Dichlorophenyl
2-Methylpropyl	2,5-Dimethoxyphenyl
Pentyl	2,5-Dimethoxyphenyl
3-Methylbutyl	2,5-Dimethoxyphenyl
2-Methylpropyl	2,4-Dimethoxyphenyl
3-Methylbutyl	2,4-Dimethoxyphenyl
Pentyl	4-Chloro-2-methoxyphenyl
3-Methylbutyl	4-Chloro-2-methoxyphenyl
Butyl	3-Trifluoromethylphenyl
2-Methylpropyl	3-Trifluoromethylphenyl
Pentyl	3-Trifluoromethylphenyl
3-Methylbutyl	3-Trifluoromethylphenyl
2-Methylpropyl	4-Trifluoromethylphenyl
Butyl	2-Trifluoromethylphenyl
3-Methylbutyl	2-Trifluoromethylphenyl
Butyl	3,4-Dichlorophenyl
2-Methylpropyl	3,4-Dichlorophenyl
Butyl	4-Methylthiophenyl
Butyl	3-Chloro-4-methoxyphenyl
2-Methylpropyl	3-Chloro-4-methoxyphenyl
3-Methylbutyl	3-Chloro-4-methoxyphenyl
Butyl	5-Chloro-2-methoxyphenyl
2-Methylpropyl	5-Chloro-2-methoxyphenyl
Pentyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	5-Chloro-2-methoxyphenyl
Butyl	2,5-Difluorophenyl
2-Methylpropyl	2,5-Difluorophenyl
Pentyl	2,5-Difluorophenyl
3-Methylbutyl	2,5-Difluorophenyl
Butyl	2,4-Difluorophenyl
2-Methylpropyl	4-Methylthiophenyl
Butyl	3-Fluoro-4-methoxyphenyl
2-Methylpropyl	3-Fluoro-4-methoxyphenyl
3-Methylbutyl	3-Fluoro-4-methoxyphenyl
2-Methylpropyl	4-Chloro-3-methylphenyl

Butyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	3-Chloro-4-fluorophenyl
Pentyl	3-Chloro-4-fluorophenyl
3-Methylbutyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	4-Ethylthiophenyl
Butyl	2,5-Dimethoxyphenyl
Butyl	2-Chlorophenyl
2-Methylpropyl	2,4-Difluorophenyl
Pentyl	2,4-Difluorophenyl
3-Methylbutyl	2,4-Difluorophenyl
Butyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	1,3-Benzodioxol-5-yl
Pentyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	3-Fluoro-2-methylphenyl
Butyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	5-Fluoro-2-methylphenyl
Pentyl	5-Fluoro-2-methylphenyl
3-Methylbutyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	2-Chlorophenyl
Pentyl	2-Chlorophenyl
3-Methylbutyl	2-Chlorophenyl
Butyl	3,4-Difluorophenyl
2-Methylpropyl	3,4-Difluorophenyl
Pentyl	3,4-Difluorophenyl
3-Methylbutyl	3,4-Difluorophenyl
Butyl	2,3-Difluorophenyl
2-Methylpropyl	2,3-Difluorophenyl
Pentyl	2,3-Difluorophenyl
3-Methylbutyl	2,3-Difluorophenyl
2-Methylpropyl	4-Methoxyphenyl
Butyl	3-Chlorophenyl
2-Methylpropyl	3-Chlorophenyl
Pentyl	3-Chlorophenyl
3-Methylbutyl	3-Chlorophenyl
Butyl	4-Chlorophenyl
2-Methylpropyl	4-Chlorophenyl
3-Methylbutyl	4-Chlorophenyl
Butyl	2,5-Dimethylphenyl
2-Methylpropyl	2,5-Dimethylphenyl
Pentyl	2,5-Dimethylphenyl
3-Methylbutyl	2,5-Dimethylphenyl
Butyl	2,4-Dimethylphenyl
3-Methylbutyl	4-Methoxyphenyl
Butyl	2-Methoxyphenyl
2-Methylpropyl	2-Methoxyphenyl
Pentyl	2-Methoxyphenyl
3-Methylbutyl	2-Methoxyphenyl

Butyl	3-Fluoro-4-methylphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
Pentyl	3-Fluoro-4-methylphenyl
3-Methylbutyl	3-Fluoro-4-methylphenyl
Butyl	3-Fluoro-2-methylphenyl
2-Methylpropyl	3-Fluoro-2-methylphenyl
Butyl	4-Fluorophenyl
2-Methylpropyl	2,4-Dimethylphenyl
3-Methylbutyl	2,4-Dimethylphenyl
Butyl	3-Methoxyphenyl
2-Methylpropyl	3-Methoxyphenyl
Pentyl	3-Methoxyphenyl
3-Methylbutyl	3-Methoxyphenyl
Butyl	4-Methoxyphenyl
3-Methylbutyl	3-Methylphenyl
Butyl	4-Methylphenyl
2-Methylpropyl	4-Methylphenyl
Pentyl	4-Methylphenyl
3-Methylbutyl	4-Methylphenyl
2-Methylpropyl	4-Fluorophenyl
Pentyl	4-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
Butyl	2-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
Pentyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	4-Ethylphenyl
Butyl	3,4-Dimethylphenyl
2-Methylpropyl	3,4-Dimethylphenyl
3-Methylbutyl	3,4-Dimethylphenyl
Butyl	2-Methylphenyl
Pentyl	2-Methylphenyl
3-Methylbutyl	2-Methylphenyl
Butyl	3-Fluorophenyl
2-Methylpropyl	3-Fluorophenyl
Pentyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
Butyl	Phenyl
2-Methylpropyl	Phenyl
Pentyl	Phenyl
3-Methylbutyl	Phenyl
Butyl	3-Methylphenyl
2-Methylpropyl	3-Methylphenyl
Pentyl	3-Methylphenyl

45. A compound according to claim 1 which has the formula



where R<sub>2</sub> and R<sub>3</sub> are defined in the following table:

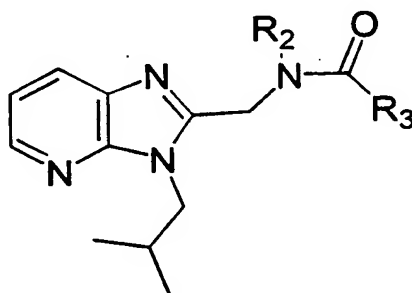
R <sub>2</sub>	R <sub>3</sub>
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Propyl	2,4-Dichlorophenyl
Propyl	4-Pentylphenyl
Allyl	3-Bromophenyl
Propyl	3-Bromophenyl
Propyl	4-Bromophenyl
Propyl	2-Chlorophenyl
Methyl	Phenyl
Propyl	Phenyl
Methyl	3-Methylphenyl
Propyl	3-Methylphenyl
Propyl	2-Chlorophenyl
Propyl	3,4-Difluorophenyl
Methyl	2,3-Difluorophenyl
Propyl	2,3-Difluorophenyl
Methyl	2,5-Difluorophenyl
Allyl	2,5-Difluorophenyl
Propyl	2,5-Difluorophenyl
Propyl	2,4-Difluorophenyl
Allyl	1,3-Benzodioxol-5-yl
Propyl	1,3-Benzodioxol-5-yl
Propyl	4-Methylthiophenyl
Propyl	4-Chloro-3-methylphenyl
Propyl	4-Methylphenyl
Propyl	3-Fluorophenyl
Propyl	4-Fluorophenyl
Methyl	2-Fluorophenyl
Allyl	2-Fluorophenyl
Propyl	2-Fluorophenyl
Propyl	3,4-Dimethylphenyl
Propyl	3-Fluoro-4-methylphenyl
Propyl	2-Fluoro-3-methylphenyl
Allyl	3-Chlorophenyl

Propyl	3-Chlorophenyl
Propyl	4-Chlorophenyl
2-Methylpropyl	3-Chloro-2-thienyl
Pentyl	3-Chloro-2-thienyl
3-Methylbutyl	3-Chloro-2-thienyl
Butyl	3-Ethoxy-2-thienyl
Pentyl	3-Ethoxy-2-thienyl
3-Methylbutyl	2-Methoxybenzyl
3-Methylbutyl	2-(2-Fluorophenyl)ethenyl
2-Methylpropyl	2-(2-Chlorophenyl)ethenyl
3-Methylbutyl	2-(2-Chlorophenyl)ethenyl
Pentyl	2-Fluoro-6-trifluoromethylphenyl
3-Methylbutyl	3-Ethoxy-2-thienyl
Butyl	5-Methylthio-2-thienyl
2-Methylpropyl	5-Methylthio-2-thienyl
3-Methylbutyl	5-Methylthio-2-thienyl
3-Methylbutyl	4-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
3-Methylbutyl	3-Methoxyphenyl
3-Methylbutyl	2,3,5,6-Tetrafluoro phenyl
2-Methylpropyl	2,4,6-Trifluorophenyl
3-Methylbutyl	2,4,6-Trifluorophenyl
Butyl	2,3,6-Trifluorophenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2-Fluoro-6-trifluoromethylphenyl
2-Methylpropyl	2,4,6-Trichlorophenyl
Pentyl	2,5-Dimethyl-3-furyl
3-Methylbutyl	4,5-Dimethyl-2-furyl
Butyl	3,4-Dimethyl-2-furyl
2-Methylpropyl	3,4-Dimethyl-2-furyl
Pentyl	3,4-Dimethyl-2-furyl
3-Methylbutyl	3,4-Dimethyl-2-furyl
Butyl	4-Methoxy-3-thienyl
3-Methylbutyl	4-Methoxy-3-thienyl
Butyl	3-Chloro-2-thienyl
Allyl	3-Bromo-4-fluorophenyl
Propyl	3-Bromo-4-fluorophenyl
Methyl	3-Iodophenyl
Ethyl	3-Iodophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Propyl	3-Methyl-2-thienyl
Propyl	3-Fluorobenzyl
Pentyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
Butyl	2-Chloro-6-fluorophenyl
2-Methylpropyl	2-Chloro-6-fluorophenyl
Pentyl	2-Chloro-6-fluorophenyl



3-Methylbutyl	2-Chloro-6-fluorophenyl
Butyl	2-Fluoro-6-trifluoromethylphenyl
3-Methylbutyl	3-Chlorobenzyl
2-Methylpropyl	4-Chlorobenzyl
3-Methylbutyl	2-Chlorobenzyl
Butyl	2,3,5,6-Tetrafluoro phenyl
2-Methylpropyl	2,3,5,6-Tetrafluoro phenyl
Pentyl	2,3,5,6-Tetrafluoro phenyl
Allyl	3-Chloro-4-fluorophenyl
Propyl	3-Chloro-4-fluorophenyl
Propyl	4-Butylphenyl
Propyl	3-Chloro-4-methoxyphenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	5-Chloro-2-methoxyphenyl
Propyl	3,4-Dichlorophenyl
Propyl	4-Hexylphenyl
Methyl	3-Bromo-4-methylphenyl
Allyl	3-Bromo-4-methylphenyl
Propyl	3-Bromo-4-methylphenyl
Methyl	3-Bromo-4-fluorophenyl
Butyl	2-Methoxybenzyl

46. A compound according to claim 1 which has the formula

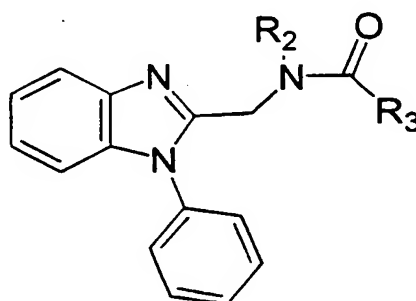


where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Propyl	3-Chlorophenyl
Propyl	Phenyl
Allyl	2-Fluorophenyl
Propyl	2-Fluorophenyl
Propyl	3-Fluoro-4-methylphenyl
Methyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Propyl	4-Pentylphenyl

Propyl	3-Bromophenyl
Propyl	3-Methyl-2-thienyl

47. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

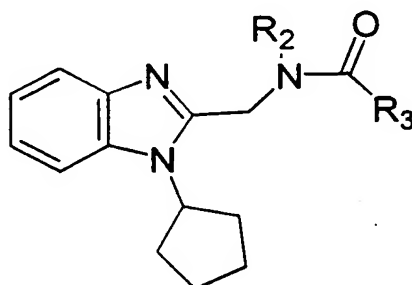
$R_2$	$R_3$
Methyl	Phenyl
Methyl	3-Chlorophenyl
Butyl	2,5-Dimethylphenyl
Butyl	5-Fluoro-2-methylphenyl
Butyl	2,3-Dimethylphenyl
Propyl	3-Fluorophenyl
Butyl	3-Methylphenyl
Butyl	4-Fluorophenyl
Butyl	3-Methoxyphenyl
Butyl	2,5-Difluorophenyl
Methyl	2-Fluorophenyl
Butyl	4-Methylphenyl
Butyl	2-Fluorophenyl
Butyl	4-Methoxyphenyl
Butyl	3-Chlorophenyl
Methyl	2,5-Dimethylphenyl
Butyl	2-Methylphenyl
Butyl	4-Ethylphenyl
Butyl	2-Methoxyphenyl
Butyl	3-Chlorophenyl
Propyl	3-Fluoro-4-methylphenyl
Butyl	3-Fluorophenyl
Butyl	3,4-Dimethylphenyl
Butyl	3-Fluoro-4-methylphenyl

Butyl	3,4-Difluorophenyl
Propyl	2,4-Dimethoxyphenyl
Methyl	2,5-Dichlorophenyl
Butyl	5-Chloro-2-methoxyphenyl
Butyl	3-Methyl-2-thienyl
Butyl	3-Methylphenyl
Pentyl	3-Fluorophenyl
Pentyl	2,5-Dimethylphenyl
Propyl	2,5-Dichlorophenyl
Butyl	3-Methyl-2-thienyl
Pentyl	3-Methylphenyl
Butyl	2-Fluorophenyl
Pentyl	3-Methoxyphenyl
Methyl	3-Bromophenyl
Butyl	3-Iodophenyl
Butyl	4-Fluorophenyl
2-Methylpropyl	4-Methylphenyl
2-Methylpropyl	2-Fluorophenyl
2-Methylpropyl	4-Methoxyphenyl
Propyl	3-Bromophenyl
Allyl	4-Octylphenyl
Butyl	Phenyl
Pentyl	2-Methylphenyl
Pentyl	2-Fluorophenyl
Butyl	2-Methoxyphenyl
Butyl	3-Chloro-4-methoxyphenyl
Propyl	4-Octylphenyl
Pentyl	Phenyl
Butyl	3-Fluorophenyl
2-Methylpropyl	3,4-Dimethylphenyl
Pentyl	2-Methoxyphenyl
Butyl	3-Fluoro-4-methylphenyl
Butyl	2-Fluoro-3-methylphenyl
2-Methylpropyl	4-Chlorophenyl
2-Methylpropyl	2,3-Difluorophenyl
2-Methylpropyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	3-Chloro-4-methoxyphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
Pentyl	2-Fluoro-3-methylphenyl
Pentyl	2-Chlorophenyl
Pentyl	2,3-Difluorophenyl
Butyl	4-Methylthiophenyl
2-Methylpropyl	3-Chloro-4-methoxyphenyl
Butyl	5-Fluoro-2-methylphenyl
Butyl	3-Chlorophenyl
Butyl	3,4-Difluorophenyl
Butyl	2,5-Difluorophenyl
Butyl	3-Chloro-4-fluorophenyl

Butyl	5-Chloro-2-methoxyphenyl
2-Methylpropyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	3-Chlorophenyl
2-Methylpropyl	3,4-Difluorophenyl
Pentyl	2,5-Difluorophenyl
2-Methylpropyl	4-Ethylthiophenyl
2-Methylpropyl	5-Chloro-2-methoxyphenyl
Pentyl	5-Fluoro-2-methylphenyl
Pentyl	3-Chlorophenyl
Butyl	2,3-Difluorophenyl
2-Methylpropyl	2,4-Difluorophenyl
Butyl	3-Chloro-4-methoxyphenyl
Pentyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	2,5-Dichlorophenyl
2-Methylpropyl	4-Bromophenyl
Butyl	2-Thienyl
3-Methylbutyl	3-Thienyl
2-Methylpropyl	3-Methyl-2-thienyl
3-Methylbutyl	3-Trifluoromethylphenyl
Butyl	3-Bromophenyl
3-Methylbutyl	2-Bromophenyl
Pentyl	2-Thienyl
Butyl	5-Methyl-2-thienyl
3-Methylbutyl	3-Methyl-2-thienyl
2-Methylpropyl	3,4-Dichlorophenyl
2-Methylpropyl	3-Bromophenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
3-Methylbutyl	2-Thienyl
Pentyl	5-Methyl-2-thienyl
Butyl	3-Fluorophenyl
Butyl	2,5-Dichlorophenyl
Pentyl	3-Bromophenyl
Pentyl	3-Iodophenyl
Butyl	3-Thienyl
3-Methylbutyl	5-Methyl-2-thienyl
3-Methylbutyl	3-Fluorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	3-Bromophenyl
3-Methylbutyl	3-Iodophenyl
Pentyl	3-Thienyl
Butyl	3-Methyl-2-thienyl
2-Methylpropyl	2-Chlorophenyl
2-Methylpropyl	3,5-Difluorophenyl
3-Methylbutyl	3,5-Difluorophenyl
Butyl	3,5-Difluorophenyl
Benzyl	3-Fluorophenyl

Benzyl	2-Fluorophenyl
Benzyl	2-Methoxyphenyl
Benzyl	5-Fluoro-2-methylphenyl
Benzyl	3-Chlorophenyl
Benzyl	2,3-Difluorophenyl
Benzyl	2,5-Difluorophenyl

48. A compound according to claim 1 which has the formula

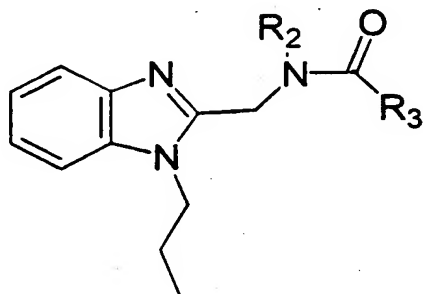


where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Allyl	3-Fluorophenyl
Allyl	3,4-Difluorophenyl
Propyl	1,3-Benzodioxol-5-yl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	3-Methyl-2-Thienyl
Propyl	3-Fluoro-4-methylphenyl
Propyl	3-Fluorophenyl
Propyl	3,4-Difluorophenyl
Allyl	3-Chloro-4-fluorophenyl
Propyl	5-Chloro-2-methoxyphenyl
Allyl	Phenyl
Allyl	5-Fluoro-2-methylphenyl
Propyl	4-Fluorophenyl
Allyl	2,5-Difluorophenyl
Propyl	3-Chloro-4-fluorophenyl
Methyl	2,5-Dichlorophenyl
Propyl	Phenyl
Propyl	5-Fluoro-2-methylphenyl
Allyl	2-Fluorophenyl
Propyl	2,5-Difluorophenyl
Methyl	5-Chloro-2-methoxyphenyl
Allyl	2,5-Dichlorophenyl
Allyl	3-Methylphenyl
Allyl	3-Chlorophenyl

Propyl	2-Fluorophenyl
Allyl	1,3-Benzodioxol-5-yl
Ethyl	5-Chloro-2-methoxyphenyl
Propyl	2,5-Dichlorophenyl
Propyl	3-Methylphenyl
Propyl	3-Chlorophenyl
Propyl	4-Methylthiophenyl
Propyl	3-Iodo-4-methylphenyl
Propyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
3-Methylbutyl	3-(2-1,2,3,4-Tetrahydro isoquinolinylmethyl)phenyl
3-Methylbutyl	3-(Diethylaminomethyl)phenyl
3-Methylbutyl	3-(Hexylmethylaminomethyl)phenyl
3-Methylbutyl	3-(Dibutylaminomethyl)phenyl
3-Methylbutyl	3-[(1-methylethyl)methylamino methyl]phenyl
3-Methylbutyl	3-(Cyclohexylethylaminomethyl)phenyl
3-Methylbutyl	3-[bis(2-Methoxyethyl)aminomethyl] phenyl
3-Methylbutyl	3-[(3,3,5-Trimethylaza perhydroepinyl)methyl]phenyl

49. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Methyl	3-Fluorophenyl
Methyl	5-Fluoro-2-methylphenyl
Methyl	3-Chlorophenyl
Methyl	5-Chloro-2-methoxyphenyl
2-Methylpropyl	2,3,6-Trifluorophenyl

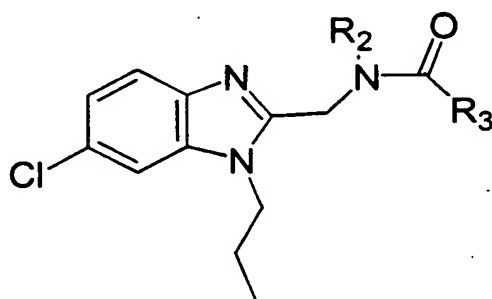
Pentyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
Butyl	Phenyl
2-Methylpropyl	Phenyl
Pentyl	Phenyl
3-Methylbutyl	Phenyl
Butyl	3-Methylphenyl
2-Methylpropyl	3-Methylphenyl
3-Methylbutyl	3-Methylphenyl
2-Methylpropyl	4-Methylphenyl
Butyl	3-Fluorophenyl
Pentyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
Butyl	2-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
Pentyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	3,4-Dimethylphenyl
Butyl	2-Chlorophenyl
Pentyl	2-Chlorophenyl
3-Methylbutyl	2-Chlorophenyl
Butyl	3,4-Difluorophenyl
2-Methylpropyl	3,4-Difluorophenyl
Pentyl	3,4-Difluorophenyl
3-Methylbutyl	3,4-Difluorophenyl
Butyl	2,3-Difluorophenyl
2-Methylpropyl	2,3-Difluorophenyl
Pentyl	2,3-Difluorophenyl
3-Methylbutyl	2,3-Difluorophenyl
Butyl	2,5-Difluorophenyl
2-Methylpropyl	2,5-Difluorophenyl
Pentyl	2,5-Difluorophenyl
3-Methylbutyl	2,5-Difluorophenyl
Butyl	2,4-Difluorophenyl
2-Methylpropyl	2,4-Difluorophenyl
3-Methylbutyl	2,4-Difluorophenyl
2-Methylpropyl	3-Ethoxyphenyl
Butyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	4-Methylthiophenyl
3-Methylbutyl	4-Methylthiophenyl
2-Methylpropyl	3-Fluoro-4-methoxyphenyl
3-Methylbutyl	3-Fluoro-4-methoxyphenyl
2-Methylpropyl	4-Chloro-3-methylphenyl
Butyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	3-Chloro-4-fluorophenyl

Pentyl	3-Chloro-4-fluorophenyl
3-Methylbutyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	3,4,5-Trifluorophenyl
3-Methylbutyl	3,4,5-Trifluorophenyl
2-Methylpropyl	4-Butylphenyl
2-Methylpropyl	4-Ethylthiophenyl
Cyclopropylmethyl	Phenyl
CyclopropylMethyl	3-Methylphenyl
CyclopropylMethyl	4-Methylphenyl
CyclopropylMethyl	3-Fluorophenyl
CyclopropylMethyl	2-Fluorophenyl
CyclopropylMethyl	3-Methoxyphenyl
CyclopropylMethyl	3-Fluoro-4-methylphenyl
Cyclopropylmethyl	5-Fluoro-2-methylphenyl
CyclopropylMethyl	5-Chloro-2-methoxyphenyl
CyclopropylMethyl	2,5-Dichlorophenyl
CyclopropylMethyl	3-Bromophenyl
3-Methylbutyl	5-Chloro-2-methoxyphenyl
Butyl	2,5-Dichlorophenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl
2-Methylpropyl	2,4-Dichlorophenyl
2-Methylpropyl	4-Pentylphenyl
Butyl	3-Bromophenyl
2-Methylpropyl	3-Bromophenyl
Pentyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	4-Bromophenyl
CyclopropylMethyl	3,4-Difluorophenyl
CyclopropylMethyl	2,4-Difluorophenyl
Propyl	1,3-Benzodioxol-5-yl
Cyclopropylmethyl	1,3-Benzodioxol-5-yl
Cyclopropylmethyl	3-Chloro-4-fluorophenyl
3-Methylbutyl	3-Iodo-4-methylphenyl
3-Methylbutyl	2-Thienyl
3-Methylbutyl	3-Thienyl
2-Methylpropyl	5-Methyl-2-thienyl
Pentyl	5-Methyl-2-thienyl
3-Methylbutyl	5-Methyl-2-thienyl
3-Methylbutyl	3-Fluorobenzyl
Methyl	2,5-Difluorophenyl
Methyl	2,5-Dichlorophenyl
3-Methylbutyl	5-Bromo-2-thienyl
Benzyl	3-Fluorophenyl
Benzyl	2-Fluorophenyl
Benzyl	3,4-Dimethylphenyl
Benzyl	3-Methoxyphenyl



Benzyl	2-Methoxyphenyl
Benzyl	5-Fluoro-2-methylphenyl
Benzyl	3-Chlorophenyl
Benzyl	3,4-Difluorophenyl
Benzyl	2,3-Difluorophenyl
Benzyl	2,5-Difluorophenyl
Benzyl	5-Chloro-2-methoxyphenyl
Benzyl	2,5-Dichlorophenyl
Benzyl	3-Bromophenyl
Benzyl	2-Bromophenyl
Benzyl	3-Iodophenyl
Benzyl	2,5-Dimethylpyrrol-3-yl
Benzyl	3-Methylbutyl
3-Methylbutyl	3-(Methylaminomethyl)phenyl
3-Methylbutyl	3-(Ethylaminomethyl)phenyl
3-Methylbutyl	3-(Cyclobutylaminomethyl)phenyl
3-Methylbutyl	3-[(1-Methylpropyl)aminomethyl]phenyl
3-Methylbutyl	3-(Cyclopentylaminomethyl)phenyl
3-Methylbutyl	3-(Dibutylaminomethyl)phenyl
3-Methylbutyl	3-[bis(2-Methoxyethyl)aminomethyl]phenyl
3-Methylbutyl	3-[(3,3,5-Trimethylaza perhydroepinyl)methyl]phenyl
Methyl	2,5-Difluorophenyl

50. A compound according to claim 1 which has the formula



where R<sub>2</sub> and R<sub>3</sub> are defined in the following table:

R <sub>2</sub>	R <sub>3</sub>
Propyl	3-Fluorophenyl
Propyl	1,3-Benzodioxol-5-yl
Propyl	5-Fluoro-2-methylphenyl
Allyl	2-Fluorophenyl

Propyl	3-Chloro-4-fluorophenyl
Propyl	3-Chlorophenyl
Propyl	2-Fluorophenyl
Allyl	5-Chloro-2-methoxyphenyl
Allyl	3-Chlorophenyl
Methyl	3-Fluorophenyl
Methyl	2,5-Difluorophenyl
Propyl	Phenyl
Propyl	3-Chlorophenyl
Allyl	3-Fluorophenyl
Propyl	2,5-Difluorophenyl
Propyl	3-Fluoro-4-methylphenyl
Propyl	4-Methylthiophenyl
3-Methylbutyl	3-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
Butyl	3,4-Difluorophenyl
2-Methylpropyl	2,5-Difluorophenyl
3-Methylbutyl	1,3-Benzodioxol-5-yl
Butyl	4-Fluorophenyl
Pentyl	2-Fluorophenyl
2-Methylpropyl	3,4-Difluorophenyl
Pentyl	2,5-Difluorophenyl
Butyl	3-Chloro-4-fluorophenyl
Butyl	3-Fluorophenyl
2-Methylpropyl	4-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
Pentyl	3,4-Difluorophenyl
3-Methylbutyl	2,5-Difluorophenyl
2-Methylpropyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	3-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
2-Methylpropyl	2,5-Dimethylphenyl
3-Methylbutyl	3,4-Difluorophenyl
Butyl	1,3-Benzodioxol-5-yl
Pentyl	3-Chloro-4-fluorophenyl
Pentyl	3-Fluorophenyl
Butyl	2-Fluorophenyl
3-Methylbutyl	2,5-Dimethylphenyl
Butyl	2,5-Difluorophenyl
2-Methylpropyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	3-Chloro-4-fluorophenyl
Butyl	5-Chloro-2-methoxyphenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	5-Methyl-2-thienyl
3-Methylbutyl	Phenyl
2-Methylpropyl	2-Methylphenyl
3-Methylbutyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	5-Chloro-2-methoxyphenyl

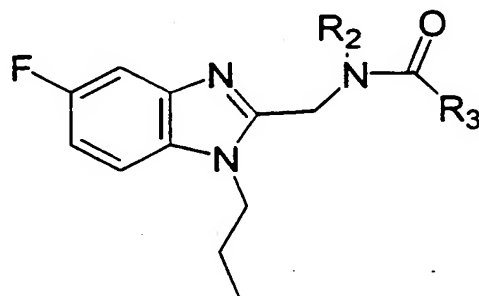
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	5-Methyl-2-thienyl
Butyl	3-Methylphenyl
3-Methylbutyl	2-Methylphenyl
Butyl	3-Chlorophenyl
Pentyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	2,5-Dichlorophenyl
Butyl	Phenyl
2-Methylpropyl	3-Methylphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
2-Methylpropyl	3-Chlorophenyl
3-Methylbutyl	5-Chloro-2-methoxyphenyl
Butyl	5-Methyl-2-thienyl
2-Methylpropyl	Phenyl
Pentyl	3-Methylphenyl
3-Methylbutyl	3-Fluoro-4-methylphenyl
Pentyl	3-Chlorophenyl
Butyl	2,5-Dichlorophenyl
2-Methylpropyl	5-Methyl-2-thienyl
Pentyl	Phenyl
3-Methylbutyl	3-Methylphenyl
Pentyl	5-Fluoro-2-methylphenyl
3-Methylbutyl	3-Chlorophenyl
2-Methylpropyl	4-Methylthiophenyl
2-Methylpropyl	3-Fluoro-4-methoxyphenyl
3-Methylbutyl	3-Fluoro-4-methoxyphenyl
2-Methylpropyl	2,4,6-Trifluorophenyl
Butyl	2,3,6-Trifluorophenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
Methyl	2,3,6-Trifluorophenyl
Propyl	2,3,6-Trifluorophenyl
Propyl	Phenyl
Propyl	3-Fluorophenyl
Propyl	4-Fluorophenyl
Allyl	2-Fluorophenyl
Propyl	2-Fluorophenyl
Butyl	3-Chlorophenyl
2-Methylpropyl	3-Chlorophenyl
Pentyl	3-Chlorophenyl
3-Methylbutyl	3-Chlorophenyl
Butyl	3,4-Difluorophenyl
2-Methylpropyl	3,4-Difluorophenyl
Pentyl	3,4-Difluorophenyl
3-Methylbutyl	3,4-Difluorophenyl
Butyl	2,3-Difluorophenyl
2-Methylpropyl	2,3-Difluorophenyl
Pentyl	2,3-Difluorophenyl
3-Methylbutyl	2,3-Difluorophenyl

Butyl	2,5-Difluorophenyl
2-Methylpropyl	2,5-Difluorophenyl
Pentyl	2,5-Difluorophenyl
3-Methylbutyl	2,5-Difluorophenyl
Butyl	2,4-Difluorophenyl
2-Methylpropyl	2,4-Difluorophenyl
Pentyl	2,4-Difluorophenyl
3-Methylbutyl	2,4-Difluorophenyl
2-Methylpropyl	4-Propylphenyl
2-Methylpropyl	4-Ethoxyphenyl
Butyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	1,3-Benzodioxol-5-yl
Pentyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	1,3-Benzodioxol-5-yl
Butyl	4-Methylthiophenyl
2-Methylpropyl	4-Methylthiophenyl
Butyl	3-Fluoro-4-methoxyphenyl
2-Methylpropyl	3-Fluoro-4-methoxyphenyl
3-Methylbutyl	3-Fluoro-4-methoxyphenyl
2-Methylpropyl	4-Chloro-3-methylphenyl
3-Methylbutyl	4-Chloro-3-methylphenyl
Butyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	3-Chloro-4-fluorophenyl
Pentyl	3-Chloro-4-fluorophenyl
3-Methylbutyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	3,4,5-Trifluorophenyl
3-Methylbutyl	3,4,5-Trifluorophenyl
2-Methylpropyl	4-Ethylthiophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	5-Chloro-2-methoxyphenyl
Propyl	3-Trifluoromethylphenyl
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Methyl	3-Bromophenyl
Allyl	3-Bromophenyl
Propyl	3-Bromo-4-fluorophenyl
Methyl	3-Iodophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
2-Methoxyethyl	2,5-Difluorophenyl
2-Methoxyethyl	2,5-Dichlorophenyl
2-Methoxyethyl	3-Bromophenyl
2-Methylpropyl	3-Chloro-4-methoxyphenyl
3-Methylbutyl	3-Chloro-4-methoxyphenyl
2-Methylpropyl	5-Chloro-2-methoxyphenyl
Pentyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	5-Chloro-2-methoxyphenyl

Pentyl	3-Trifluoromethylphenyl
3-Methylbutyl	3-Trifluoromethylphenyl
Butyl	2-Trifluoromethylphenyl
3-Methylbutyl	2-Trifluoromethylphenyl
Butyl	3,4-Dichlorophenyl
2-Methylpropyl	3,4-Dichlorophenyl
3-Methylbutyl	3,4-Dichlorophenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl
2-Methylpropyl	2,4-Dichlorophenyl
2-Methylpropyl	3-Bromophenyl
Pentyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	4-Bromophenyl
2-Methylpropyl	2-Bromophenyl
Pentyl	2-Bromophenyl
3-Methylbutyl	2-Bromophenyl
2-Methylpropyl	3-Phenoxyphenyl
2-Methylpropyl	4-Phenoxyphenyl
Butyl	3-Bromo-4-methylphenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
Butyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	3-Bromo-4-fluorophenyl
Pentyl	3-Bromo-4-fluorophenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
Butyl	3-Iodophenyl
Pentyl	3-Iodophenyl
3-Methylbutyl	3-Iodophenyl
2-Methylpropyl	4-Iodophenyl
Methyl	3-Iodophenyl
Cyclopentyl	4-Methylphenyl
Cyclopentyl	3-Fluoro-4-methylphenyl
Cyclopropylmethyl	5-Chloro-2-methoxyphenyl
Cyclopropylmethyl	3-Trifluoromethylphenyl
Cyclopropylmethyl	2,5-Dichlorophenyl
Cyclopropylmethyl	3-Bromophenyl
Cyclopentyl	3-Methoxybenzyl
Cyclopentyl	2-(2-Chlorophenyl)ethenyl
Cyclopropylmethyl	3-Bromo-4-methylphenyl
Cyclopropylmethyl	3-Bromo-4-fluorophenyl
Cyclopropylmethyl	3-Iodophenyl
Cyclopentyl	3-Chloro-4-methoxyphenyl
Cyclopropylmethyl	5-Chloro-2-methoxyphenyl
Cyclopentyl	2,4-Dichlorophenyl
Cyclopentyl	3-Fluorobenzyl
Cyclopentyl	2-(2-Trifluoromethylphenyl)ethenyl
Cyclopentyl	2-(2-Bromophenyl)ethenyl

Cyclopropylmethyl	2,3,6-Trifluorophenyl
Cyclopentyl	3-Chloro-4-methylphenyl
Cyclopropylmethyl	2,4,5-Trifluorophenyl
Propyl	3-Fluoro-4-methylphenyl
Propyl	3-Chlorophenyl
Allyl	3-Bromo-4-fluorophenyl
Propyl	3-Bromo-4-fluorophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Propyl	3-Iodo-4-methylphenyl
Propyl	3,4-Difluorophenyl
Propyl	2,3-Difluorophenyl
Propyl	2,4-Difluorophenyl
Propyl	1,3-Benzodioxol-5-yl
Propyl	3-Chloro-4-fluorophenyl
Propyl	5-Chloro-2-methoxyphenyl
Methyl	2,5-Dichlorophenyl
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Propyl	2,4-Dichlorophenyl
Methyl	3-Bromophenyl
Allyl	3-Bromophenyl
Propyl	3-Bromophenyl
Propyl	5-Methyl-2-thienyl
Propyl	2,6-Difluorophenyl
3-Methylbutyl	4,5-Dimethyl-2-furyl
3-Methylbutyl	3-Chloro-4-methylphenyl
3-Methylbutyl	2,4,5-Trifluorophenyl
3-Methylbutyl	2,6-Difluorophenyl
3-Methylbutyl	2-Bromo-5-methoxyphenyl
3-Methylbutyl	3,5-Difluorophenyl
3-Methylbutyl	5-Bromo-2-thienyl
3-Methylbutyl	3-Bromo-2-thienyl

51. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

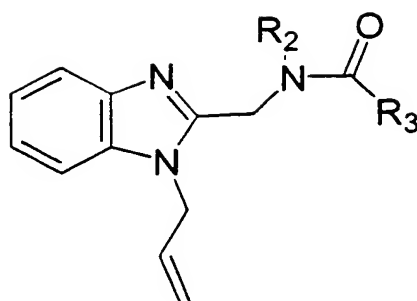
$R_2$	$R_3$
Propyl	Phenyl
Methyl	3-Chlorophenyl
Allyl	3-Chlorophenyl
Propyl	3-Chlorophenyl
Propyl	5-Chloro-2-methoxyphenyl
Propyl	3-Trifluoromethylphenyl
Propyl	2,5-Dichlorophenyl
Propyl	3-Bromophenyl
Propyl	3-Bromo-4-fluorophenyl
Methyl	3-Iodophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	3-Fluorophenyl
Propyl	2-Fluorophenyl
Propyl	3-Fluorophenyl
Propyl	2-Fluorophenyl
Allyl	2,5-Difluorophenyl
Propyl	2,5-Difluorophenyl
Propyl	1,3-Benzodioxol-5-yl
Methyl	5-Chloro-2-methoxyphenyl
Allyl	5-Chloro-2-methoxyphenyl
Methyl	2,5-Dichlorophenyl
Methyl	5-Chloro-2-methoxyphenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	5-Chloro-2-methoxyphenyl
Propyl	3,4-Dichlorophenyl
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Propyl	2,4-Dichlorophenyl
Methyl	3-Bromophenyl
Allyl	3-Bromophenyl
Propyl	3-Bromophenyl
Cyclopropylmethyl	5-Chloro-2-methoxyphenyl
Cyclopropylmethyl	2,5-Dichlorophenyl
Propyl	3-Bromophenyl
Cyclopropylmethyl	3-Bromophenyl
Pentyl	3-Bromo-4-fluorophenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
Pentyl	3-Iodophenyl
Cyclopropylmethyl	3-Bromo-4-fluorophenyl
Cyclopropylmethyl	3-Iodophenyl
Butyl	2-Thienyl
2-Methylpropyl	2-Thienyl
Pentyl	2-Thienyl
3-Methylbutyl	2-Thienyl

Butyl	3-Thienyl
2-Methylpropyl	3-Thienyl
Pentyl	3-Thienyl
3-Methylbutyl	3-Thienyl
3-Methylbutyl	Benzyl
Butyl	5-Methyl-2-thienyl
2-Methylpropyl	5-Methyl-2-thienyl
Pentyl	5-Methyl-2-thienyl
3-Methylbutyl	5-Methyl-2-thienyl
3-Methylbutyl	3-Fluorobenzyl
3-Methylbutyl	4-Fluorobenzyl
3-Methylbutyl	3-Methoxybenzyl
3-Methylbutyl	2,3,6-Trifluorophenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2-Chloro-6-fluorophenyl
Butyl	Phenyl
2-Methylpropyl	Phenyl
Pentyl	Phenyl
3-Methylbutyl	Phenyl
Butyl	3-Methylphenyl
2-Methylpropyl	3-Methylphenyl
Pentyl	3-Methylphenyl
3-Methylbutyl	3-Methylphenyl
Butyl	4-Methylphenyl
2-Methylpropyl	4-Methylphenyl
Butyl	3-Fluorophenyl
2-Methylpropyl	3-Fluorophenyl
Pentyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
Butyl	4-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
Butyl	2-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
Pentyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	4-Ethylphenyl
2-Methylpropyl	3,4-Dimethylphenyl
3-Methylbutyl	3-Methoxyphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
3-Methylbutyl	3-Fluoro-4-methylphenyl
2-Methylpropyl	5-Fluoro-2-methylphenyl
Pentyl	5-Fluoro-2-methylphenyl
3-Methylbutyl	5-Fluoro-2-methylphenyl
Butyl	2,5-Dichlorophenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl



2-Methylpropyl	4-Pentylphenyl
Butyl	3-Bromophenyl
2-Methylpropyl	3-Bromophenyl
Pentyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	4-Bromophenyl
Butyl	3,4-Dimethylphenyl
2-Methylpropyl	3-Iodo-4-methylphenyl
3-Methylbutyl	3-Iodo-4-methylphenyl
Butyl	4,5-Dimethyl-2-furyl
2-Methylpropyl	4,5-Dimethyl-2-furyl
3-Methylbutyl	4,5-Dimethyl-2-furyl
3-Methylbutyl	4-Methoxy-3-thienyl
Butyl	3-Chloro-2-thienyl
2-Methylpropyl	3-Chloro-2-thienyl
Pentyl	3-Chloro-2-thienyl
3-Methylbutyl	3-Chloro-2-thienyl
2-Methylpropyl	3-Chloro-4-methylphenyl
3-Methylbutyl	3-Chloro-4-methylphenyl
3-Methylbutyl	2,4,5-Trifluorophenyl
Pentyl	2,6-Difluorophenyl
3-Methylbutyl	2,6-Difluorophenyl
Pentyl	2-Bromo-5-methoxyphenyl
3-Methylbutyl	2-Bromo-5-methoxyphenyl
3-Methylbutyl	3,5-Difluorophenyl
2-Methylpropyl	5-Bromo-2-thienyl
3-Methylbutyl	5-Bromo-2-thienyl
Butyl	5-Ethyl-2-thienyl
2-Methylpropyl	5-Ethyl-2-thienyl
3-Methylbutyl	5-Ethyl-2-thienyl
2-Methylpropyl	5-Propyl-2-thienyl
2-Methylpropyl	5-Butyl-2-thienyl
2-Methylpropyl	5-Pentyl-2-thienyl
2-Methylpropyl	5-Hexyl-2-thienyl

52. A compound according to claim 1 which has the formula

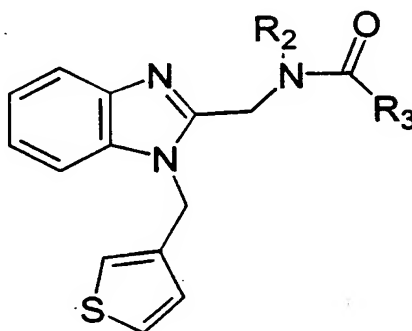


where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Methyl	2,5-Difluorophenyl
Methyl	2,5-Dichlorophenyl
Propyl	3-Bromophenyl
Methyl	3-Iodophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Propyl	2,5-Dichlorophenyl
Methyl	3-Bromophenyl
Allyl	3-Bromophenyl
Propyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	3-Fluorophenyl
2-Methylpropyl	3,4-Dimethylphenyl
2-Methylpropyl	3-Methoxyphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
Cyclopentyl	3-Fluoro-4-methylphenyl
2-Methylpropyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	2-Fluoro-3-methylphenyl
2-Methylpropyl	3-Chlorophenyl
2-Methylpropyl	4-Chlorophenyl
2-Methylpropyl	1,3-Benzodioxol-5-yl
Cyclopentyl	4-Methoxyphenyl
Cyclopentyl	4-Butylphenyl
3-Methylbutyl	3-Chloro-4-methoxyphenyl
Cyclopentyl	3-Chloro-4-methoxyphenyl
2-Methylpropyl	3,4-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl
Cyclopentyl	2,4-Dichlorophenyl
Cyclopentyl	4-Pentylphenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	4-Hexylphenyl
Cyclopentyl	4-Hexylphenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
2-Methylpropyl	3-Bromo-4-fluorophenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	3-Iodophenyl
3-Methylbutyl	3-Iodophenyl

2-Methylpropyl	3-Iodo-4-methylphenyl
3-Methylbutyl	2-Thienyl
3-Methylbutyl	Benzyl
2-Methylpropyl	5-Methyl-2-thienyl
3-Methylbutyl	5-Methyl-2-thienyl
3-Methylbutyl	3-Fluorobenzyl
Cyclopentyl	3-Fluorobenzyl
Cyclopentyl	2-Chlorobenzyl
2-Methylpropyl	2-(2-Chlorophenyl)ethenyl
Cyclopentyl	2-(2-Chlorophenyl)ethenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
2-Methylpropyl	4,5-Dimethyl-2-furyl

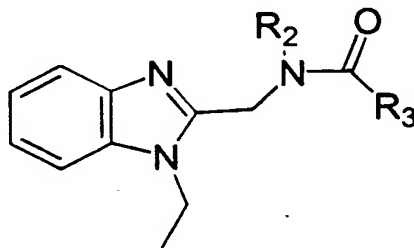
53. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Propyl	5-Bromo-2-thienyl
Propyl	1,3-Benzodioxol-5-yl

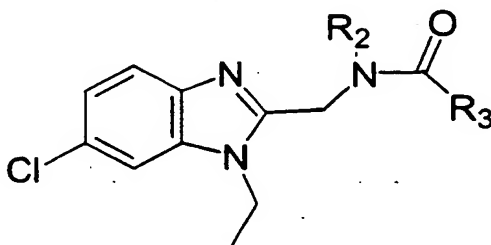
54. A compound according to claim 1 which has the formula



where R<sub>2</sub> and R<sub>3</sub> are defined in the following table:

R <sub>2</sub>	R <sub>3</sub>
Propyl	3-Bromo-4-fluorophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Propyl	3-Iodo-4-methylphenyl
Methyl	2-Thienyl
Methyl	5-Methyl-2-thienyl
Propyl	3-Methylphenyl
Propyl	5-Chloro-2-methoxyphenyl
Propyl	2,5-Dichlorophenyl
Propyl	3-Bromophenyl
3-Methylbutyl	3-Chloro-4-methylphenyl
3-Methylbutyl	2,4,5-Trifluorophenyl
3-Methylbutyl	3,5-Difluorophenyl
3-Methylbutyl	5-Bromo-2-thienyl
2-(2-Fluorophenyl)ethyl	2,5-Dichlorophenyl
2-(2-Fluorophenyl)ethyl	3-Bromophenyl
2-(2-Fluorophenyl)ethyl	3-Iodophenyl

55. A compound according to claim 1 which has the formula



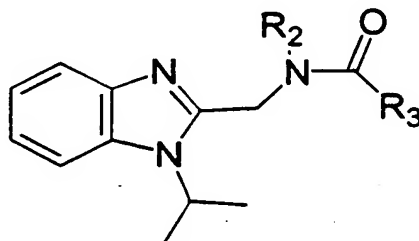
where R<sub>2</sub> and R<sub>3</sub> are defined in the following table:

R <sub>2</sub>	R <sub>3</sub>
Allyl	3-Bromo-4-methylphenyl
Propyl	3-Bromo-4-methylphenyl
Allyl	3-Bromo-4-fluorophenyl
Propyl	3-Bromo-4-fluorophenyl
Methyl	3-Iodophenyl

Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Propyl	3-Iodo-4-methylphenyl
Methyl	2-Thienyl
Methyl	3-Thienyl
Methyl	3-Methyl-2-thienyl
Propyl	5-Methyl-2-thienyl
Propyl	Phenyl
Methyl	3-Methylphenyl
Propyl	3-Fluorophenyl
Propyl	2-Fluorophenyl
Methyl	5-Fluoro-2-methylphenyl
Allyl	5-Fluoro-2-methylphenyl
Methyl	3-Chlorophenyl
Propyl	3-Chlorophenyl
Propyl	2-Chlorophenyl
Allyl	3,4-Difluorophenyl
Propyl	3,4-Difluorophenyl
Methyl	2,3-Difluorophenyl
Allyl	2,3-Difluorophenyl
Propyl	2,3-Difluorophenyl
Methyl	2,5-Difluorophenyl
Allyl	2,5-Difluorophenyl
Propyl	2,5-Difluorophenyl
Propyl	2,4-Difluorophenyl
Propyl	1,3-Benzodioxol-5-yl
Allyl	3-Chloro-4-fluorophenyl
Propyl	3-Chloro-4-fluorophenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	5-Chloro-2-methoxyphenyl
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Allyl	3-Bromophenyl
Propyl	3-Bromophenyl
Methyl	5-Ethoxy-2-thienyl
2-Methylpropyl	2,4,6-Trifluorophenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
2-Methylpropyl	4,5-Dimethyl-2-furyl
3-Methylbutyl	4,5-Dimethyl-2-furyl
2-Methylpropyl	3-Chloro-2-thienyl
3-Methylbutyl	3-Chloro-2-thienyl
2-Methylpropyl	5-Methylthio-2-thienyl
2-Methylpropyl	3-Chlorophenyl
3-Methylbutyl	2,4,5-Trifluorophenyl
2-Methylpropyl	2,6-Difluorophenyl
3-Methylbutyl	Phenyl
2-Methylpropyl	3-Methylphenyl

3-Methylbutyl	3-Methylphenyl
2-Methylpropyl	4-Methylphenyl
3-Methylbutyl	4-Methylphenyl
2-Methylpropyl	2-Methylphenyl
3-Methylbutyl	2-Methylphenyl
2-Methylpropyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
2-Methylpropyl	3-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	4-Ethylphenyl
2-Methylpropyl	3,4-Dimethylphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
Cyclopentyl	3-Fluoro-4-methylphenyl
2-Methylpropyl	4-Chlorophenyl
Cyclopentyl	4-Methoxyphenyl
3-Methylbutyl	3-Chloro-4-fluorophenyl
3-Methylbutyl	2-Thienyl

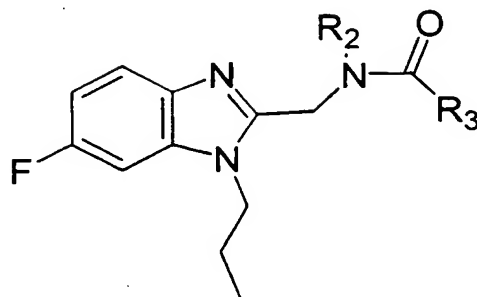
56. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Methyl	2,5-Difluorophenyl
Propyl	2,5-Dichlorophenyl
Propyl	3-Iodophenyl

57. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Propyl	3-Fluorophenyl
Propyl	2-Fluorophenyl
Propyl	3,4-Difluorophenyl
Methyl	2,5-Difluorophenyl
Allyl	2,5-Difluorophenyl
Propyl	2,5-Difluorophenyl
Propyl	1,3-Benzodioxol-5-yl
Propyl	3-Chloro-4-fluorophenyl
Methyl	5-Chloro-2-methoxyphenyl
Ethyl	5-Chloro-2-methoxyphenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	5-Chloro-2-methoxyphenyl
Methyl	2,5-Dichlorophenyl
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Propyl	Phenyl
Propyl	3-Fluoro-4-methylphenyl
Propyl	5-Fluoro-2-methylphenyl
Methyl	3-Chlorophenyl
Allyl	3-Chlorophenyl
Propyl	3-Chlorophenyl
Methyl	5-Chloro-2-methoxyphenyl
Ethyl	5-Chloro-2-methoxyphenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	5-Chloro-2-methoxyphenyl
Methyl	3-Trifluorophenyl
Propyl	3-Trifluorophenyl
Methyl	2,5-Dichlorophenyl
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Methyl	3-Bromophenyl
Allyl	3-Bromophenyl

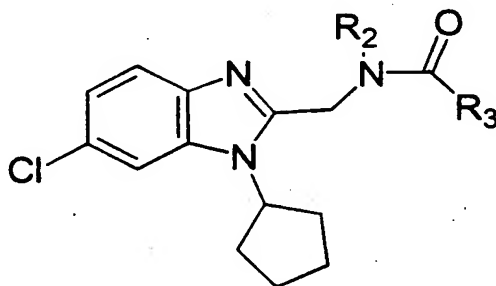
Propyl	3-Bromophenyl
Propyl	3-Bromo-4-fluorophenyl
Methyl	3-Iodophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Allyl	2-Fluorophenyl
Propyl	2-Fluorophenyl
Propyl	2-Chlorophenyl
Propyl	3,4-Difluorophenyl
Propyl	2,3-Difluorophenyl
Methyl	2,5-Difluorophenyl
Propyl	4-Methylthiophenyl
Propyl	3-Fluoro-4-methoxyphenyl
Propyl	4-Chloro-3-methylphenyl
Methyl	3-Chloro-4-fluorophenyl
Allyl	3-Chloro-4-fluorophenyl
Propyl	3-Chloro-4-fluorophenyl
Propyl	3,4,5-Trifluorophenyl
Propyl	4-Butylphenyl
Propyl	4-Methylthiophenyl
Butyl	2-Thienyl
2-Methylpropyl	2-Thienyl
Pentyl	2-Thienyl
3-Methylbutyl	2-Thienyl
Butyl	3-Thienyl
2-Methylpropyl	3-Thienyl
Pentyl	3-Thienyl
3-Methylbutyl	3-Thienyl
3-Methylbutyl	Benzyl
Butyl	5-Methyl-2-thienyl
2-Methylpropyl	5-Methyl-2-thienyl
Pentyl	5-Methyl-2-thienyl
3-Methylbutyl	5-Methyl-2-thienyl
3-Methylbutyl	3-Fluorobenzyl
3-Methylbutyl	3-Methoxybenzyl
Butyl	Phenyl
2-Methylpropyl	Phenyl
Pentyl	Phenyl
3-Methylbutyl	Phenyl
Butyl	3-Methylphenyl
2-Methylpropyl	3-Methylphenyl
Pentyl	3-Methylphenyl
3-Methylbutyl	3-Methylphenyl
Butyl	4-Methylphenyl
2-Methylpropyl	4-Methylphenyl
3-Methylbutyl	4-Methylphenyl
Butyl	3-Fluorophenyl
2-Methylpropyl	3-Fluorophenyl



Pentyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
Butyl	4-Fluorophenyl
2-Methylpropyl	4-Fluorophenyl
Pentyl	4-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
Butyl	2-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
Pentyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	4-Ethylphenyl
2-Methylpropyl	3,4-Dimethylphenyl
3-Methylbutyl	2,5-Dimethylphenyl
2-Methylpropyl	2,4-Dimethylphenyl
2-Methylpropyl	3-Methoxyphenyl
3-Methylbutyl	3-Methoxyphenyl
3-Methylbutyl	2-Methoxyphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
3-Methylbutyl	3-Fluoro-4-methylphenyl
Butyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	5-Fluoro-2-methylphenyl
Pentyl	5-Fluoro-2-methylphenyl
3-Methylbutyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	4-Chlorophenyl
3-Methylbutyl	4-Chlorophenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl
2-Methylpropyl	4-Pentylphenyl
2-Methylpropyl	3-Bromophenyl
Pentyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	3-Iodo-4-methylphenyl
3-Methylbutyl	3-Iodo-4-methylphenyl
Butyl	2-Chlorophenyl
2-Methylpropyl	2-Chlorophenyl
Pentyl	2-Chlorophenyl
Butyl	3,4-Difluorophenyl
2-Methylpropyl	3,4-Difluorophenyl
Pentyl	3,4-Difluorophenyl
3-Methylbutyl	3,4-Difluorophenyl
Butyl	2,3-Difluorophenyl
2-Methylpropyl	2,3-Difluorophenyl
Pentyl	2,3-Difluorophenyl
3-Methylbutyl	2,3-Difluorophenyl
Butyl	2,5-Difluorophenyl
2-Methylpropyl	2,5-Difluorophenyl
Pentyl	2,5-Difluorophenyl

3-Methylbutyl	2,5-Difluorophenyl
Butyl	2,4-Difluorophenyl
2-Methylpropyl	2,4-Difluorophenyl
Pentyl	2,4-Difluorophenyl
3-Methylbutyl	2,4-Difluorophenyl
2-Methylpropyl	4-Propylphenyl
2-Methylpropyl	4- <i>i</i> -Propylphenyl
Butyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	1,3-Benzodioxol-5-yl
Pentyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	1,3-Benzodioxol-5-yl
Butyl	3-Bromo-4-methylphenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
Pentyl	3-Bromo-4-methylphenyl
3-Methylbutyl	3-Bromo-4-methylphenyl
2-Methylpropyl	4-Heptylphenyl
Butyl	3-Iodophenyl
2-Methylpropyl	3-Iodophenyl
Pentyl	3-Iodophenyl
3-Methylbutyl	3-Iodophenyl
2-Methylpropyl	4-Iodophenyl
Butyl	5-Ethyl-2-thienyl
2-Methylpropyl	5-Ethyl-2-thienyl
3-Methylbutyl	5-Ethyl-2-thienyl
2-Methylpropyl	5-Propyl-2-thienyl

58. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

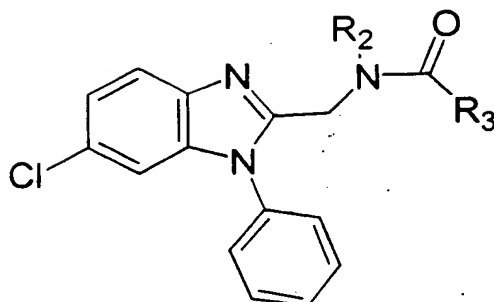
$R_2$	$R_3$
Methyl	3-Fluorophenyl
Allyl	3-Fluorophenyl
Propyl	3-Fluorophenyl
Propyl	4-Fluorophenyl
Methyl	3-Chloro-4-methylphenyl

Allyl	3-Chloro-4-methylphenyl
Propyl	3-Chloro-4-methylphenyl
Allyl	5-Bromo-2-thienyl
Propyl	5-Bromo-2-thienyl
Propyl	3-Fluoro-4-methylphenyl
Propyl	5-Fluoro-2-methylphenyl
Propyl	3-Methoxyphenyl
Propyl	3-Bromo-4-methylphenyl
Allyl	3-Bromo-4-fluorophenyl
Propyl	3-Bromo-4-fluorophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	5-Chloro-2-methoxyphenyl
Propyl	3,4-Dichlorophenyl
Ethyl	2,5-Dichlorophenyl
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Propyl	2,4-Dichlorophenyl
Ethyl	3-Bromophenyl
Allyl	3-Bromophenyl
Propyl	3-Bromophenyl
Propyl	5-Methyl-2-thienyl
Propyl	4-Chloro-3-methylphenyl
Propyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	Phenyl
3-Methylbutyl	Phenyl
2-Methylpropyl	3-Methylphenyl
3-Methylbutyl	3-Methylphenyl
2-Methylpropyl	4-Methylphenyl
Cyclopentyl	4-Methylphenyl
2-Methylpropyl	2-Methylphenyl
3-Methylbutyl	2-Methylphenyl
2-Methylpropyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
2-Methylpropyl	4-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
Cyclopentyl	2-Fluorophenyl
2-Methylpropyl	4-Ethylphenyl
2-Methylpropyl	3,4-Dimethylphenyl
2-Methylpropyl	2,3-Dimethylphenyl
2-Methylpropyl	2,5-Dimethylphenyl
3-Methylbutyl	2,5-Dimethylphenyl
2-Methylpropyl	2,4-Dimethylphenyl
3-Methylbutyl	2,4-Dimethylphenyl
Cyclopentyl	2,4-Dimethylphenyl
2-Methylpropyl	3-Methoxyphenyl

3-Methylbutyl	3-Methoxyphenyl
2-Methylpropyl	4-Methoxyphenyl
3-Methylbutyl	4-Methoxyphenyl
Cyclopentyl	4-Methoxyphenyl
2-Methylpropyl	2-Methoxyphenyl
3-Methylbutyl	2-Methoxyphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
Cyclopentyl	3-Fluoro-4-methylphenyl
2-Methylpropyl	3-Fluoro-2-methylphenyl
3-Methylbutyl	3-Fluoro-2-methylphenyl
2-Methylpropyl	5-Fluoro-2-methylphenyl
3-Methylbutyl	5-Fluoro-2-methylphenyl
2-Methylpropyl	2-Fluoro-3-methylphenyl
2-Methylpropyl	3-Chlorophenyl
3-Methylbutyl	3-Chlorophenyl
Cyclopentyl	3-Chlorophenyl
2-Methylpropyl	4-Chlorophenyl
Cyclopentyl	4-Chlorophenyl
3-Methylbutyl	2-Chlorophenyl
Cyclopentyl	2-Chlorophenyl
2-Methylpropyl	3,4-Difluorophenyl
3-Methylbutyl	3,4-Difluorophenyl
2-Methylpropyl	2,3-Difluorophenyl
3-Methylbutyl	2,3-Difluorophenyl
Cyclopentyl	2,3-Difluorophenyl
2-Methylpropyl	2,5-Difluorophenyl
3-Methylbutyl	2,5-Difluorophenyl
2-Methylpropyl	2,4-Difluorophenyl
3-Methylbutyl	2,4-Difluorophenyl
Cyclopentyl	2,4-Difluorophenyl
2-Methylpropyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	1,3-Benzodioxol-5-yl
Cyclopentyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	4-Methylthiophenyl
Cyclopentyl	4-Methylthiophenyl
Cyclopentyl	3-Fluoro-4-methoxy
Cyclopentyl	4-Butylphenyl
Cyclopentyl	4-Ethylthiophenyl
2-Methylpropyl	3-Chloro-4-methoxyphenyl
3-Methylbutyl	3-Chloro-4-methoxyphenyl
Cyclopentyl	3-Chloro-4-methoxyphenyl
2-Methylpropyl	2-Trifluoromethylphenyl
3-Methylbutyl	2-Trifluoromethylphenyl
2-Methylpropyl	3,4-Dichlorophenyl
3-Methylbutyl	3,4-Dichlorophenyl
2-Methylpropyl	2,3-Dichlorophenyl
2-Methylpropyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl

2-Methylpropyl	2,4-Dichlorophenyl
Cyclopentyl	2,4-Dichlorophenyl
2-Methylpropyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
Cyclopentyl	3-Bromophenyl
2-Methylpropyl	4-Bromophenyl
Cyclopentyl	4-Bromophenyl
2-Methylpropyl	2-Bromophenyl
3-Methylbutyl	2-Bromophenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
3-Methylbutyl	3-Bromo-4-methylphenyl
Cyclopentyl	3-Bromo-4-methylphenyl
2-Methylpropyl	3-Bromo-4-fluorophenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	3-Iodophenyl
3-Methylbutyl	3-Iodophenyl
2-Methylpropyl	4-Iodophenyl
2-Methylpropyl	3-Iodo-4-methylphenyl
2-Methylpropyl	4-Iodobenzyl
2-Methylpropyl	2-Thienyl
3-Methylbutyl	2-Thienyl
2-Methylpropyl	Benzyl
3-Methylbutyl	Benzyl
Cyclopentyl	Benzyl
2-Methylpropyl	5-Methyl-2-thienyl
3-Methylbutyl	5-Methyl-2-thienyl
Cyclopentyl	5-Methyl-2-thienyl
Cyclopentyl	3-Methylbenzyl
2-Methylpropyl	3-Fluorobenzyl
3-Methylbutyl	3-Fluorobenzyl
Cyclopentyl	3-Fluorobenzyl
3-Methylbutyl	2-Methoxybenzyl
Cyclopentyl	1-(4-Fluorophenyl)ethyl
Cyclopentyl	2-Chlorobenzyl
Cyclopentyl	2-(2-Chlorophenyl)ethenyl
2-Methylpropyl	2,4,6-Trifluorophenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
2-Methylpropyl	2-Chloro-6-fluorophenyl
2-Methylpropyl	3-Chloro-4-methylphenyl

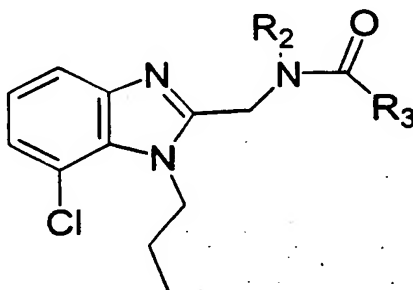
59. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Propyl	Phenyl
Propyl	3-Methylphenyl
Propyl	4-Methylphenyl
Propyl	3-Fluorophenyl
Methyl	2-Fluorophenyl
Allyl	2-Fluorophenyl
Propyl	2-Fluorophenyl
Methyl	2,3-Difluorophenyl
Methyl	2,5-Difluorophenyl
Ethyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	2,3,6-Trifluorophenyl

60. A compound according to claim 1 which has the formula

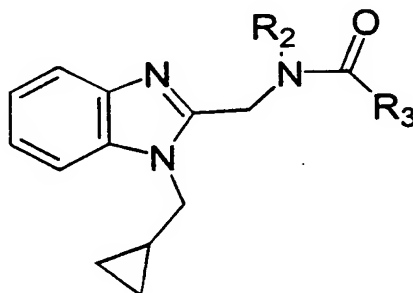


where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Methyl	Phenyl
Propyl	Phenyl
Methyl	3-Methylphenyl
Propyl	3-Methylphenyl
Methyl	3-Fluorophenyl
Propyl	3-Fluorophenyl

Methyl	2-Fluorophenyl
Allyl	2-Fluorophenyl
Propyl	2-Fluorophenyl
Methyl	5-Fluoro-2-methylphenyl
Methyl	3-Chlorophenyl
Propyl	3-Chlorophenyl
Propyl	3-Chloro-4-fluorophenyl
Methyl	2-Thienyl
Propyl	2-Thienyl
Methyl	3-Thienyl
Methyl	3-Methyl-2-thienyl
Methyl	5-Methyl-2-thienyl
Propyl	5-Methyl-2-thienyl
Propyl	5-Chloro-2-methoxyphenyl
Methyl	3-Bromophenyl
Propyl	3-Bromophenyl

61. A compound according to claim 1 which has the formula

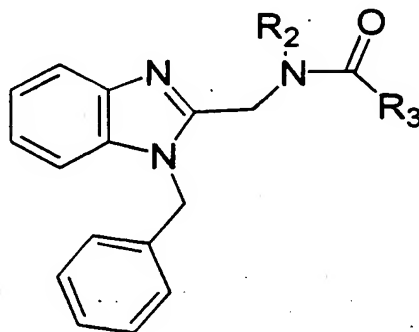


where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Propyl	Phenyl
Propyl	3-Methylphenyl
Propyl	4-Methylphenyl
Propyl	3-Fluorophenyl
Propyl	2-Fluorophenyl
Propyl	5-Fluoro-2-methylphenyl
Ethyl	3-Chlorophenyl
Allyl	3-Chlorophenyl
Propyl	3-Chlorophenyl
Propyl	1,3-Benzodioxol-5-yl
Allyl	3-Chloro-4-fluorophenyl
Propyl	3-Chloro-4-fluorophenyl
Propyl	5-Chloro-2-methoxyphenyl

Propyl	3-Trifluoromethylphenyl
Propyl	3,4-Dichlorophenyl
Allyl	2,5-Dichlorophenyl
Allyl	3-Bromophenyl
Propyl	3-Bromophenyl
Propyl	3-Bromo-4-methylphenyl
Methyl	3-Bromo-4-fluorophenyl
Allyl	3-Bromo-4-fluorophenyl
Propyl	3-Bromo-4-fluorophenyl
Methyl	3-Iodophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Propyl	3-Bromo-4-fluorophenyl
Methyl	3-Bromo-4-fluorophenyl
Allyl	3-Bromo-4-fluorophenyl
Propyl	3-Bromo-4-fluorophenyl
Methyl	3-Iodophenyl
Ethyl	3-Iodophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Propyl	3-Iodo-4-methylphenyl
Methyl	2-Thienyl
Propyl	2-Thienyl
Allyl	5-Methyl-2-thienyl
Propyl	5-Methyl-2-thienyl

62. A compound according to claim 1 which has the formula



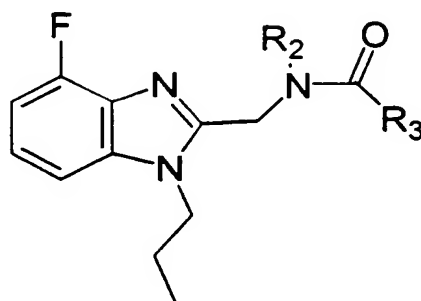
where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
2-Methylpropyl	Phenyl
2-Methylpropyl	3-Methylphenyl
2-Methylpropyl	4-Methylphenyl



2-Methylpropyl	2-Fluorophenyl
2-Methylpropyl	4-Ethylphenyl
2-Methylpropyl	3,4-Dimethylphenyl
2-Methylpropyl	2,5-Difluorophenyl
2-Methylpropyl	2,4-Difluorophenyl
2-Methylpropyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	4-Bromophenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
2-Methylpropyl	3-Chloro-4-methylphenyl
2-Methylpropyl	2,4,5-Trifluorophenyl

63. A compound according to claim 1 which has the formula



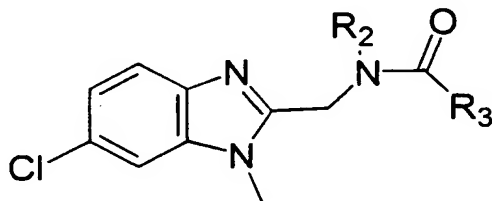
where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Propyl	2-Fluorophenyl
Allyl	5-Chloro-2-methoxyphenyl
Propyl	5-Chloro-2-methoxyphenyl
Methyl	2,5-Dichlorophenyl
Allyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Methyl	3-Bromophenyl
Allyl	3-Bromophenyl
Propyl	3-Bromophenyl
Propyl	3-Iodophenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
2-Methylpropyl	3-Chloro-4-phenyl
3-Methylbutyl	3-Chloro-4-phenyl
2-Methylpropyl	2,4,5-Trifluorophenyl
3-Methylbutyl	2,4,5-Trifluorophenyl
2-Methylpropyl	2,6-Difluorophenyl
3-Methylbutyl	2,6-Difluorophenyl
Butyl	Phenyl

2-Methylpropyl	Phenyl
Pentyl	Phenyl
3-Methylbutyl	Phenyl
Butyl	3-Methylphenyl
2-Methylpropyl	3-Methylphenyl
Pentyl	3-Methylphenyl
3-Methylbutyl	3-Methylphenyl
2-Methylpropyl	4-Methylphenyl
3-Methylbutyl	4-Methylphenyl
Butyl	3-Fluorophenyl
2-Methylpropyl	3-Fluorophenyl
Pentyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
2-Methylpropyl	4-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
Butyl	2-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
Pentyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	3,4-Dimethylphenyl
Butyl	2-Chlorophenyl
2-Methylpropyl	2-Chlorophenyl
Pentyl	2-Chlorophenyl
3-Methylbutyl	2-Chlorophenyl
Butyl	3,4-Difluorophenyl
2-Methylpropyl	3,4-Difluorophenyl
Pentyl	3,4-Difluorophenyl
3-Methylbutyl	3,4-Difluorophenyl
Butyl	2,3-Difluorophenyl
2-Methylpropyl	2,3-Difluorophenyl
Pentyl	2,3-Difluorophenyl
3-Methylbutyl	2,3-Difluorophenyl
Butyl	2,5-Difluorophenyl
2-Methylpropyl	2,5-Difluorophenyl
Pentyl	2,5-Difluorophenyl
3-Methylbutyl	2,5-Difluorophenyl
2-Methylpropyl	2,4-Difluorophenyl
3-Methylbutyl	2,4-Difluorophenyl
2-Methylpropyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	3-Iodo-4-methylphenyl
2-Methylpropyl	2-(2-Chlorophenyl)ethenyl
Butyl	2-Thienyl
Pentyl	2-Thienyl
3-Methylbutyl	2-Thienyl
Pentyl	3-Thienyl
3-Methylbutyl	3-Thienyl
3-Methylbutyl	Benzyl

Butyl	5-Methyl-2-thienyl
2-Methylpropyl	5-Methyl-2-thienyl
Pentyl	5-Methyl-2-thienyl
3-Methylbutyl	5-Methyl-2-thienyl
3-Methylbutyl	3-Fluorobenzyl
3-Methylbutyl	3-Methoxybenzyl

64. A compound according to claim 1 which has the formula

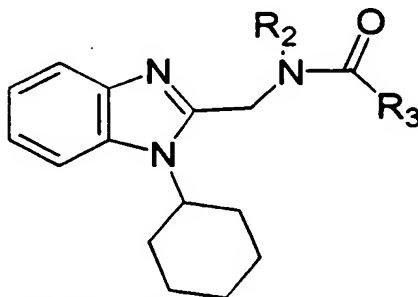


where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Propyl	3-Iodophenyl
2-Methylpropyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
2-Methylpropyl	3-Bromo-4-fluorophenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	3-Iodophenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	Phenyl
3-Methylbutyl	Phenyl
2-Methylpropyl	3-Methylphenyl
3-Methylbutyl	3-Methylphenyl
2-Methylpropyl	4-Methylphenyl
2-Methylpropyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
2-Methylpropyl	4-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	3,4-Dimethylphenyl
2-Methylpropyl	3-Fluoro-4-methylphenyl
2-Methylpropyl	3-Chlorophenyl
3-Methylbutyl	3-Chlorophenyl
2-Methylpropyl	3-Iodo-4-methylphenyl
2-Methylpropyl	5-Methyl-2-thienyl
3-Methylbutyl	5-Methyl-2-thienyl

3-Methylbutyl	3-Fluorobenzyl
2-Methylpropyl	3-Chloro-4-methylphenyl
2-Methylpropyl	2,4,5-Trifluorophenyl
Butyl	3,4-Dimethylphenyl
2-Methylpropyl	3,4-Dimethylphenyl
3-Methylbutyl	3,4-Dimethylphenyl
3-Methylbutyl	2,3-Dimethylphenyl
2-Methylpropyl	2,5-Dimethylphenyl
3-Methylbutyl	2,5-Dimethylphenyl
2-Methylpropyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	3-Methoxybenzyl
Benzyl	3-Chlorophenyl
Benzyl	5-Chloro-2-methoxyphenyl
Benzyl	3-Bromophenyl
Benzyl	3-Iodophenyl

65. A compound according to claim 1 which has the formula

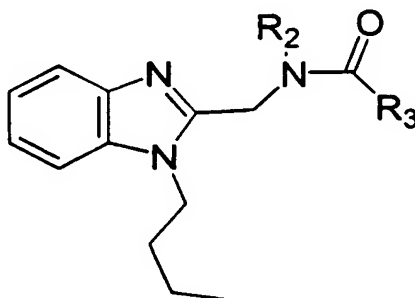


where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
2-Methylpropyl	Phenyl
Pentyl	Phenyl
3-Methylbutyl	Phenyl
3-Methylbutyl	3-Methylphenyl
2-Methylpropyl	4-Methylphenyl
2-Methylpropyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
2-Methylpropyl	4-Fluorophenyl
Butyl	2-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
Pentyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	3-Methoxyphenyl
3-Methylbutyl	3-Methoxyphenyl
3-Methylbutyl	4-Methoxyphenyl

2-Methylpropyl	3-Fluoro-4-methylphenyl
3-Methylbutyl	2-Fluoro-3-methylphenyl
Butyl	3-Chlorophenyl
2-Methylpropyl	3-Chlorophenyl
Pentyl	3-Chlorophenyl
3-Methylbutyl	3-Chlorophenyl
2-Methylpropyl	3,4-Difluorophenyl
2-Methylpropyl	2,3-Difluorophenyl
3-Methylbutyl	2,3-Difluorophenyl
3-Methylbutyl	2,5-Difluorophenyl
2-Methylpropyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	4-Bromophenyl
2-Methylpropyl	5-Methyl-2-thienyl
3-Methylbutyl	5-Methyl-2-thienyl
3-Methylbutyl	2,3,6-Trifluorophenyl

66. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

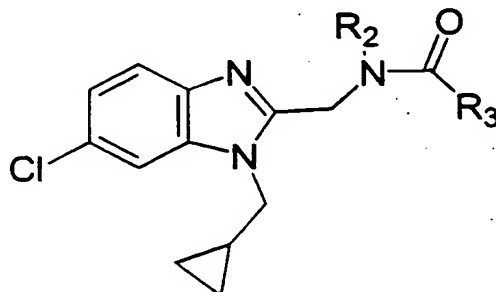
$R_2$	$R_3$
Butyl	Phenyl
2-Methylpropyl	Phenyl
Pentyl	Phenyl
3-Methylbutyl	Phenyl
Butyl	3-Methylphenyl
2-Methylpropyl	3-Methylphenyl
Pentyl	3-Methylphenyl
3-Methylbutyl	3-Methylphenyl
Butyl	4-Methylphenyl
2-Methylpropyl	4-Methylphenyl
3-Methylbutyl	4-Methylphenyl

3-Methylbutyl	2-Methylphenyl
Butyl	3-Fluorophenyl
2-Methylpropyl	3-Fluorophenyl
Pentyl	3-Fluorophenyl
3-Methylbutyl	3-Fluorophenyl
2-Methylpropyl	4-Fluorophenyl
3-Methylbutyl	4-Fluorophenyl
Butyl	2-Fluorophenyl
2-Methylpropyl	2-Fluorophenyl
Pentyl	2-Fluorophenyl
3-Methylbutyl	2-Fluorophenyl
2-Methylpropyl	4-Ethylphenyl
Butyl	3,4-Dimethylphenyl
2-Methylpropyl	3,4-Dimethylphenyl
3-Methylbutyl	3,4-Dimethylphenyl
2-Methylpropyl	2,4-Dimethylphenyl
Butyl	3-Methoxyphenyl
2-Methylpropyl	3-Methoxyphenyl
Pentyl	3-Methoxyphenyl
3-Methylbutyl	3-Methoxyphenyl
Butyl	4-Methoxyphenyl
2-Methylpropyl	4-Methoxyphenyl
3-Methylbutyl	4-Methoxyphenyl
Pentyl	2-Methoxyphenyl
3-Methylbutyl	2-Methoxyphenyl
Butyl	3-Fluoro-4-methylphenyl
Pentyl	3-Fluoro-4-methylphenyl
3-Methylbutyl	3-Fluoro-4-methylphenyl
3-Methylbutyl	3-Fluoro-2-methylphenyl
Butyl	2-Fluoro-3-methylphenyl
2-Methylpropyl	2-Fluoro-3-methylphenyl
Pentyl	2-Fluoro-3-methylphenyl
3-Methylbutyl	2-Fluoro-3-methylphenyl
Butyl	3-Chlorophenyl
2-Methylpropyl	3-Chlorophenyl
Pentyl	3-Chlorophenyl
3-Methylbutyl	3-Chlorophenyl
2-Methylpropyl	4-Chlorophenyl
Pentyl	4-Chlorophenyl
3-Methylbutyl	4-Chlorophenyl
Butyl	2-Chlorophenyl
2-Methylpropyl	2-Chlorophenyl
Pentyl	2-Chlorophenyl
3-Methylbutyl	2-Chlorophenyl
Butyl	3,4-Difluorophenyl
2-Methylpropyl	3,4-Difluorophenyl
Pentyl	3,4-Difluorophenyl
3-Methylbutyl	3,4-Difluorophenyl

Butyl	2,3-Difluorophenyl
2-Methylpropyl	2,3-Difluorophenyl
Pentyl	2,3-Difluorophenyl
3-Methylbutyl	2,3-Difluorophenyl
Butyl	2,5-Difluorophenyl
2-Methylpropyl	2,5-Difluorophenyl
Pentyl	2,5-Difluorophenyl
3-Methylbutyl	2,5-Difluorophenyl
Butyl	2,4-Difluorophenyl
2-Methylpropyl	2,4-Difluorophenyl
Pentyl	2,4-Difluorophenyl
3-Methylbutyl	2,4-Difluorophenyl
2-Methylpropyl	3-Ethoxyphenyl
3-Methylbutyl	3-Ethoxyphenyl
Butyl	1,3-Benzodioxol-5-yl
2-Methylpropyl	1,3-Benzodioxol-5-yl
Pentyl	1,3-Benzodioxol-5-yl
3-Methylbutyl	1,3-Benzodioxol-5-yl
Butyl	4-Methylthiophenyl
2-Methylpropyl	4-Methylthiophenyl
3-Methylbutyl	3-Fluoro-4-methoxyphenyl
Butyl	3-Chloro-4-fluorophenyl
2-Methylpropyl	3-Chloro-4-fluorophenyl
3-Methylbutyl	3-Chloro-4-fluorophenyl
3-Methylbutyl	3-Chloro-4-methoxyphenyl
Pentyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	5-Chloro-2-methoxyphenyl
2-Methylpropyl	3,4-Dichlorophenyl
3-Methylbutyl	3,4-Dichlorophenyl
Butyl	2,5-Dichlorophenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl
2-Methylpropyl	2,4-Dichlorophenyl
3-Methylbutyl	2,4-Dichlorophenyl
Butyl	3-Bromophenyl
2-Methylpropyl	3-Bromophenyl
Pentyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	4-Bromophenyl
3-Methylbutyl	4-Bromophenyl
3-Methylbutyl	2-Bromophenyl
3-Methylbutyl	3-Bromo-4-methylphenyl
Butyl	3-Bromo-4-fluorophenyl
2-Methylpropyl	3-Bromo-4-fluorophenyl
Pentyl	3-Bromo-4-fluorophenyl
3-Methylbutyl	3-Bromo-4-fluorophenyl
Butyl	3-Iodophenyl

2-Methylpropyl	3-Iodophenyl
Pentyl	3-Iodophenyl
3-Methylbutyl	3-Iodophenyl
Butyl	5-Methyl-2-thienyl
2-Methylpropyl	5-Methyl-2-thienyl
Pentyl	5-Methyl-2-thienyl
3-Methylbutyl	5-Methyl-2-thienyl
3-Methylbutyl	3-Fluorobenzyl
3-Methylbutyl	3-Methoxybenzyl
3-Methylbutyl	2-Methoxybenzyl
2-Methylpropyl	2,4,6-Trifluorophenyl
Butyl	2,3,6-Trifluorophenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
Pentyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,5-Dimethyl-3-furyl
Butyl	4,5-Dimethyl-2-furyl
2-Methylpropyl	4,5-Dimethyl-2-furyl
Pentyl	4,5-Dimethyl-2-furyl
3-Methylbutyl	4,5-Dimethyl-2-furyl
2-Methylpropyl	2-(3-Thienyl)ethenyl
Pentyl	3-Chloro-2-thienyl
3-Methylbutyl	3-Chloro-2-thienyl
2-Methylpropyl	5-Methylthio-2-thienyl
3-Methylbutyl	5-Methylthio-2-thienyl
Butyl	3-Chloro-4-methylphenyl
2-Methylpropyl	3-Chloro-4-methylphenyl
3-Methylbutyl	3-Chloro-4-methylphenyl
2-Methylpropyl	2,4,5-Trichlorophenyl

67. A compound according to claim 1 which has the formula



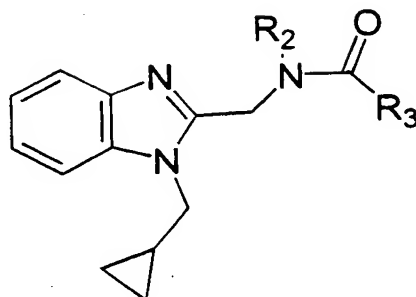
where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
-------	-------



Methyl	Phenyl
Allyl	Phenyl
Propyl	Phenyl
Methyl	3-Methylphenyl
Allyl	3-Methylphenyl
Propyl	3-Methylphenyl
Propyl	4-Methylphenyl
Methyl	3-Fluorophenyl
Allyl	3-Fluorophenyl
Propyl	3-Fluorophenyl
Propyl	4-Fluorophenyl
Methyl	2-Fluorophenyl
Allyl	2-Fluorophenyl
Propyl	2-Fluorophenyl
Propyl	3,4-Dimethylphenyl
Propyl	3-Methoxyphenyl
Propyl	3-Fluoro-4-methylphenyl
Allyl	3-Chlorophenyl
Propyl	3-Chlorophenyl
Propyl	2-Chlorophenyl
Propyl	3,4-Difluorophenyl
Methyl	2,3-Difluorophenyl
Propyl	2,3-Difluorophenyl
Methyl	2,5-Difluorophenyl
Allyl	2,5-Difluorophenyl
Propyl	2,5-Difluorophenyl
Propyl	2,4-Difluorophenyl
Propyl	1,3-Benzodioxol-5-yl
Propyl	3-Chloro-4-fluorophenyl
Methyl	5-Chloro-2-methoxyphenyl
Methyl	3-Trifluoromethylphenyl
Propyl	3-Trifluoromethylphenyl
Methyl	2,5-Dichlorophenyl
Propyl	2,5-Dichlorophenyl
Methyl	3-Bromophenyl
Allyl	3-Bromophenyl
Propyl	3-Bromophenyl
Propyl	3-Bromo-4-methylphenyl
Methyl	3-Bromo-4-fluorophenyl
Allyl	3-Bromo-4-fluorophenyl
Propyl	3-Bromo-4-fluorophenyl
Methyl	3-Iodophenyl
Ethyl	3-Iodophenyl
Allyl	3-Iodophenyl
Propyl	3-Iodophenyl
Propyl	5-Methyl-2-thienyl
Propyl	3-Fluorobenzyl
Methyl	5-Ethoxy-2-thienyl

68. A compound according to claim 1 which has the formula



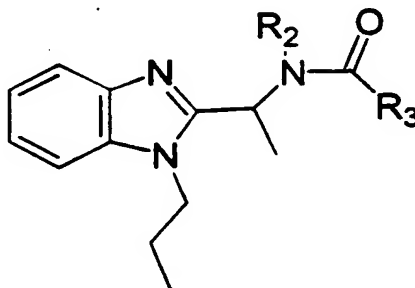
where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Propyl	3-Chloro-4-methylphenyl
Propyl	2,4,5-Trifluorophenyl
Benzyl	Phenyl
Benzyl	3-Fluorophenyl
Benzyl	4-Fluorophenyl
Benzyl	2-Fluorophenyl
Benzyl	3,4-Dimethylphenyl
Benzyl	3,5-Dimethylphenyl
Benzyl	2,3-Dimethylphenyl
Benzyl	2,5-Dimethylphenyl
Benzyl	2,4-Dimethylphenyl
Benzyl	3-Methoxyphenyl
Benzyl	2-Methoxyphenyl
Benzyl	3-Fluoro-4-methylphenyl
Benzyl	5-Fluoro-2-methylphenyl
Benzyl	3-Chlorophenyl
Benzyl	4-Chlorophenyl
Benzyl	2-Chlorophenyl
Benzyl	3,4-Difluorophenyl
Benzyl	2,3-Difluorophenyl
Benzyl	2,5-Difluorophenyl
Benzyl	2,4-Difluorophenyl
Benzyl	3-Ethoxyphenyl
Benzyl	1,3-Benzodioxol-5-yl
Benzyl	4-Chloro-3-methylphenyl
Benzyl	3-Chloro-4-fluorophenyl
Benzyl	3,4,5-Trifluorophenyl
Benzyl	2,5-Dimethoxyphenyl
Benzyl	5-Chloro-2-methoxyphenyl

Benzyl	4-Chloro-2-methoxyphenyl
Benzyl	3-Trifluoromethylphenyl
Benzyl	2-Trifluoromethylphenyl
Benzyl	3,4-Dichlorophenyl
Benzyl	2,3-Dichlorophenyl
Benzyl	2,5-Dichlorophenyl
Benzyl	2,4-Dichlorophenyl
Benzyl	3-Bromophenyl
Benzyl	2-Bromophenyl
Benzyl	3-Bromo-4-fluorophenyl
Benzyl	3-Iodophenyl
Benzyl	2-Methoxyphenyl
Benzyl	2,5-Dimethylpyrrol-3-yl
Benzyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2-Chloro-6-fluorophenyl
3-Methylbutyl	3-(Methylaminomethyl)phenyl
3-Methylbutyl	3-(Ethylaminomethyl)phenyl
3-Methylbutyl	3-(allylaminomethyl)phenyl
3-Methylbutyl	3-(propylaminomethyl)phenyl
3-Methylbutyl	3-[(Cyclopropylmethyl)aminomethyl]phenyl
3-Methylbutyl	3-(butylaminomethyl)phenyl
3-Methylbutyl	3-[(2-Methylpropyl)aminomethyl]phenyl
3-Methylbutyl	3-(Pentylaminomethyl)phenyl
3-Methylbutyl	3-[(3-Methylbutyl)aminomethyl]phenyl
3-Methylbutyl	3-[(2-Methylbutyl)aminomethyl]phenyl
3-Methylbutyl	3-(Hexylaminomethyl)phenyl
3-Methylbutyl	3-(Cyclopropylaminomethyl)phenyl
3-Methylbutyl	3-[(1-Methylethyl)aminomethyl]phenyl
3-Methylbutyl	3-(Cyclobutylaminomethyl)phenyl
3-Methylbutyl	3-[(1-Methylpropyl)aminomethyl]phenyl
3-Methylbutyl	3-[(1,1-Dimethylethyl)aminomethyl]phenyl
3-Methylbutyl	3-(Cyclopentylaminomethyl)phenyl
3-Methylbutyl	3-[(1-Methylbutyl)aminomethyl]phenyl
3-Methylbutyl	3-[(1,2-Dimethylpropyl)aminomethyl]phenyl
3-Methylbutyl	3-[(1-Ethylpropyl)aminomethyl]phenyl
3-Methylbutyl	3-[(1,1-Dimethylpropyl)aminomethyl]phenyl
3-Methylbutyl	3-(Cyclohexylaminomethyl)phenyl
3-Methylbutyl	3-(Piperidylmethyl)phenyl
3-Methylbutyl	3-(Morpholin-4-ylmethyl)phenyl
3-Methylbutyl	3-(Azaperhydroepinylmethyl)phenyl
3-Methylbutyl	3-(Azaperhydroocinylmethyl)phenyl
3-Methylbutyl	3-(2-1,2,3,4-Tetrahydroisoquinolinylmethyl)phenyl
3-Methylbutyl	3-(Methylpropylaminomethyl)phenyl
3-Methylbutyl	3-(i-propylethylaminomethyl)phenyl
3-Methylbutyl	3-(Diethylaminomethyl)phenyl
3-Methylbutyl	3-(Butylethylaminomethyl)phenyl
3-Methylbutyl	3-[(Cyclopropylmethyl)-propylaminomethyl]phenyl

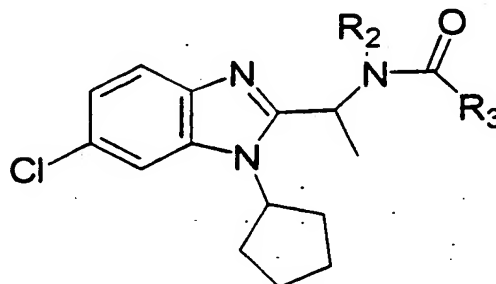
3-Methylbutyl	3-(Hexylmethylaminomethyl)phenyl
3-Methylbutyl	3-(Dibutylaminomethyl)phenyl
3-Methylbutyl	3-[(1-methylethyl)methylaminomethyl]phenyl
3-Methylbutyl	3-[(2-Methylpiperidyl)methyl]phenyl
3-Methylbutyl	3-[Ethyl(2-Methylprop-2-enyl)aminomethyl]phenyl
3-Methylbutyl	3-[(2-Ethylpiperidyl)methyl]phenyl
3-Methylbutyl	3-(Cyclohexylethylaminomethyl)phenyl
3-Methylbutyl	3-[bis(2-Methoxyethyl)aminomethyl]phenyl
3-Methylbutyl	3-[(3,3,5-Trimethylazaperhydroepinyl)methyl]phenyl
3-Methylbutyl	3-[(8-Aza-1,4-dioxaspiro[4.5]dec-8-yl)methyl]phenyl
3-Methylbutyl	3-(Dipentylaminomethyl)phenyl
3-Methylbutyl	3-(Dihexylaminomethyl)phenyl

69. A compound according to claim 1 which has the formula



where  $R_2$  is 2-Methylpropyl and  $R_3$  is 2-(4-Chlorophenyl)ethenyl.

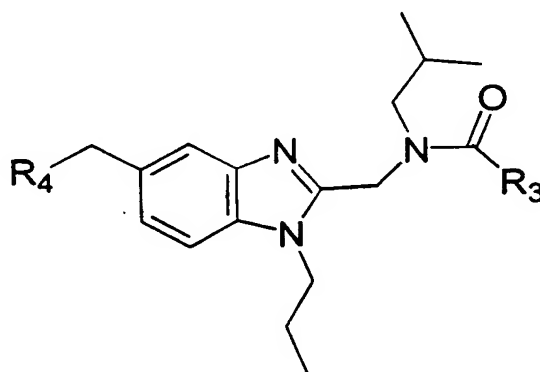
70. A compound according to claim 1 which has the formula



where R<sub>2</sub> and R<sub>3</sub> are defined in the following table:

R <sub>2</sub>	R <sub>3</sub>
Methyl	3-Thienyl
i-Propyl	3-Methyl-2-thienyl
Methyl	4-Methylbenzyl
Methyl	2-Methylbenzyl
Methyl	3-Fluorobenzyl

71. A compound according to claim 1 which has the formula



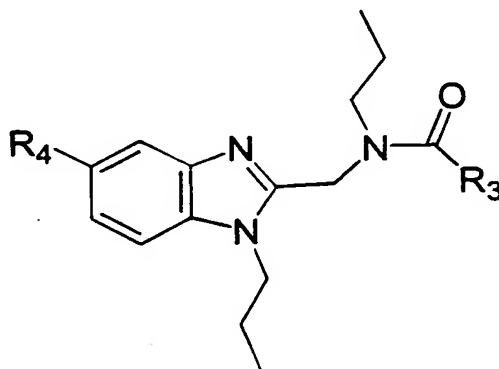
where R<sub>2</sub> and R<sub>3</sub> are defined in the following table:

R <sub>4</sub>	R <sub>3</sub>
3-Pyrrolinyl	2,5-Difluorophenyl
3-Pyrrolinyl	3-Fluorophenyl
Pyrrolidinyl	2,5-Difluorophenyl
Pyrrolidinyl	3-Fluorophenyl
1,2,5,6-Tetrahydropyridyl	2,5-Difluorophenyl
1,2,5,6-Tetrahydropyridyl	3-Fluorophenyl
Piperidyl	2,5-Difluorophenyl
Piperidyl	3-Fluorophenyl
Morpholinyl	2,5-Difluorophenyl
Morpholinyl	3-Fluorophenyl
4-Methylpiperidyl	2,5-Difluorophenyl
4-Methylpiperidyl	3-Fluorophenyl
Azaperhydroepinyl	2,5-Difluorophenyl
AzaperhydroEpinyl	3-Fluorophenyl
1,4-Thiazaperhydroin-4-yl	2,5-Difluorophenyl
1,4-Thiazaperhydroin-4-yl	3-Fluorophenyl
3,3-dimethylpiperidyl	2,5-Difluorophenyl
3,3-dimethylpiperidyl	3-Fluorophenyl
Azaperhydroocinyl	2,5-Difluorophenyl

AzaperhydroOcinyI	3-Fluorophenyl
2-(1,2,3,4-Tetrahydroisoquinolyl)	2,5-Difluorophenyl
2-(1,2,3,4-Tetrahydroisoquinolyl)	3-Fluorophenyl
Methylprop-2-enylamino	2,5-Difluorophenyl
Methylprop-2-enylamino	3-Fluorophenyl
Diethylamino	2,5-Difluorophenyl
Diethylamino	3-Fluorophenyl
Methylpropylamino	2,5-Difluorophenyl
MethylpropylAmino	3-Fluorophenyl
Butylmethylamino	2,5-Difluorophenyl
ButylmethylAmino	3-Fluorophenyl
i-Propylethylamino	2,5-Difluorophenyl
i-Propylethylamino	3-Fluorophenyl
Diallylamino	2,5-Difluorophenyl
Diallylamino	3-Fluorophenyl
Dipropylamino	2,5-Difluorophenyl
Dipropylamino	3-Fluorophenyl
ButylethylAmino	2,5-Difluorophenyl
ButylethylAmino	3-Fluorophenyl
(Cyclopropylmethyl) propylamino	2,5-Difluorophenyl
(Cyclopropylmethyl) propylamino	3-Fluorophenyl
Hexylmethylamino	2,5-Difluorophenyl
HexylmethylAmino	3-Fluorophenyl
Dibutylamino	2,5-Difluorophenyl
Dibutylamino	3-Fluorophenyl
Methylamino	2,5-Difluorophenyl
Methylamino	3-Fluorophenyl
Ethylamino	2,5-Difluorophenyl
Ethylamino	3-Fluorophenyl
Allylamino	2,5-Difluorophenyl
Allylamino	3-Fluorophenyl
Propylamino	2,5-Difluorophenyl
Propylamino	3-Fluorophenyl
(Cyclopropylmethyl) amino	2,5-Difluorophenyl
(Cyclopropylmethyl) amino	3-Fluorophenyl
Butyl	2,5-Difluorophenyl
Butyl	3-Fluorophenyl
(2-Methylpropyl) amino	2,5-Difluorophenyl
(2-Methylpropyl) amino	3-Fluorophenyl
Pentylamino	2,5-Difluorophenyl
Pentylamino	3-Fluorophenyl
(3-Methylbutyl) amino	2,5-Difluorophenyl
(3-Methylbutyl) amino	3-Fluorophenyl
(2-Methylbutyl) amino	2,5-Difluorophenyl
(2-Methylbutyl) amino	3-Fluorophenyl
Hexylamino	2,5-Difluorophenyl
Hexylamino	3-Fluorophenyl
[2-(Dimethylamino)ethyl] amino	2,5-Difluorophenyl

[2-(Dimethylamino)ethyl]amino	3-Fluorophenyl
[3-(Dimethylamino)propyl]amino	2,5-Difluorophenyl
[3-(Dimethylamino)propyl]amino	3-Fluorophenyl
(2-Pyrrolidinylethyl)amino	2,5-Difluorophenyl
(2-Pyrrolidinylethyl)amino	3-Fluorophenyl
[2-(Diethylamino)ethyl]amino	2,5-Difluorophenyl
[2-(Diethylamino)ethyl]amino	3-Fluorophenyl
(2-Piperidylethyl)amino	2,5-Difluorophenyl
(2-Piperidylethyl)amino	3-Fluorophenyl
[2-(1-Methylpyrrolidin-2-yl)ethyl]amino	2,5-Difluorophenyl
[2-(1-Methylpyrrolidin-2-yl)ethyl]amino	3-Fluorophenyl
[2-(Diethylamino)propyl]amino	2,5-Difluorophenyl
[2-(Diethylamino)propyl]amino	3-Fluorophenyl
(2-Morpholin-4-ylethyl)amino	2,5-Difluorophenyl
(2-Morpholin-4-ylethyl)amino	3-Fluorophenyl
(3-Morpholin-4-ylpropyl)amino	2,5-Difluorophenyl
(3-Morpholin-4-ylpropyl)amino	3-Fluorophenyl
[3-(2-Methylpiperidyl)propyl]amino	2,5-Difluorophenyl
[3-(2-Methylpiperidyl)propyl]amino	3-Fluorophenyl
[3-(2-Oxopyrrolidinyl)propyl]amino	2,5-Difluorophenyl
[3-(2-Oxopyrrolidinyl)propyl]amino	3-Fluorophenyl

72. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

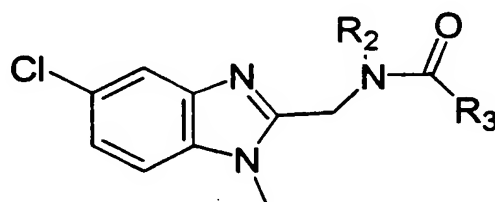
$R_4$	$R_3$
Pyrrolidinyl	2,5-Difluorophenyl
Pyrrolidinyl	3-Fluorophenyl
1,2,5,6-Tetrahydropyridyl	2,5-Difluorophenyl
1,2,5,6-Tetrahydropyridyl	3-Fluorophenyl

Piperidyl	2,5-Difluorophenyl
Morpholinyl	3-Fluorophenyl
4-Methylpiperidyl	2,5-Difluorophenyl
4-Methylpiperidyl	3-Fluorophenyl
AzaperhydroEpiny	3-Fluorophenyl
1,4-Thiazaperhydroin-4-yl	3-Fluorophenyl
3,3-dimethylpiperidyl	2,5-Difluorophenyl
3,3-dimethylpiperidyl	3-Fluorophenyl
Azaperhydroocinyl	2,5-Difluorophenyl
Azaperhydrocinyl	3-Fluorophenyl
2-(1,2,3,4-Tetrahydroisoquinolyl)	2,5-Difluorophenyl
2-(1,2,3,4-Tetrahydroisoquinolyl)	3-Fluorophenyl
Methylprop-2-enylamino	2,5-Difluorophenyl
Methylprop-2-enylamino	3-Fluorophenyl
Diethylamino	3-Fluorophenyl
Methylpropylamino	2,5-Difluorophenyl
MethylpropylAmino	3-Fluorophenyl
Butylmethylamino	2,5-Difluorophenyl
ButylmethylAmino	3-Fluorophenyl
i-Propylethylamino	2,5-Difluorophenyl
i-Propylethylamino	3-Fluorophenyl
Diallylamino	2,5-Difluorophenyl
Diallylamino	3-Fluorophenyl
Dipropylamino	2,5-Difluorophenyl
Dipropylamino	3-Fluorophenyl
ButylethylAmino	2,5-Difluorophenyl
ButylethylAmino	3-Fluorophenyl
(Cyclopropylmethyl)propylamino	2,5-Difluorophenyl
(Cyclopropylmethyl)propylamino	3-Fluorophenyl
HexylmethylAmino	2,5-Difluorophenyl
HexylmethylAmino	3-Fluorophenyl
Dibutylamino	2,5-Difluorophenyl
Dibutylamino	3-Fluorophenyl
Methylamino	3-Fluorophenyl
Ethylamino	3-Fluorophenyl
Allylamino	2,5-Difluorophenyl
Allylamino	3-Fluorophenyl
Propylamino	2,5-Difluorophenyl
Propylamino	3-Fluorophenyl
(Cyclopropylmethyl)amino	2,5-Difluorophenyl
(Cyclopropylmethyl)amino	3-Fluorophenyl
Butyl	2,5-Difluorophenyl
Butyl	3-Fluorophenyl
(2-Methylpropyl)amino	2,5-Difluorophenyl
(2-Methylpropyl)amino	3-Fluorophenyl
Pentylamino	2,5-Difluorophenyl
Pentylamino	3-Fluorophenyl



(3-Methylbutyl) amino	2,5-Difluorophenyl
(3-Methylbutyl) amino	3-Fluorophenyl
(2-Methylbutyl) amino	3-Fluorophenyl
Hexylamino	2,5-Difluorophenyl
Hexylamino	3-Fluorophenyl
(2-Pyrrolidinyloethyl) amino	3-Fluorophenyl
[2-(Diethylamino)ethyl] amino	2,5-Difluorophenyl
[2-(Diethylamino)ethyl] amino	3-Fluorophenyl
(2-Piperidylethyl) amino	2,5-Difluorophenyl
(2-Piperidylethyl) amino	3-Fluorophenyl
[2-(1-Methylpyrrolidin-2-yl)ethyl] amino	3-Fluorophenyl
[2-(Diethylamino)propyl] amino	2,5-Difluorophenyl
[2-(Diethylamino)propyl] amino	3-Fluorophenyl
(2-Morpholin-4-ylethyl) amino	2,5-Difluorophenyl
(2-Morpholin-4-ylethyl) amino	3-Fluorophenyl
(3-Morpholin-4-ylpropyl) amino	2,5-Difluorophenyl
(3-Morpholin-4-ylpropyl) amino	3-Fluorophenyl
[3-(2-Methylpiperidyl)propyl] amino	2,5-Difluorophenyl
[3-(2-Methylpiperidyl)propyl] amino	3-Fluorophenyl
[3-(2-Oxopyrrolidinyl)propyl] amino	2,5-Difluorophenyl
[3-(2-Oxopyrrolidinyl)propyl] amino	3-Fluorophenyl

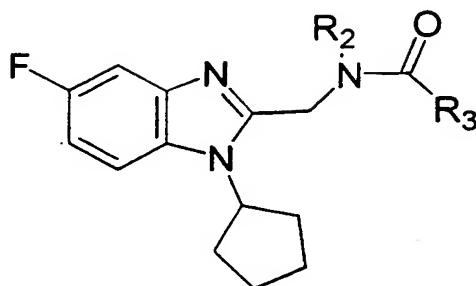
73. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
3-Methylbutyl	3-Chlorophenyl
3-Methylbutyl	3-Trifluoromethylphenyl
Butyl	3-Bromophenyl
2-Methylpropyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl

74. A compound according to claim 1 which has the formula

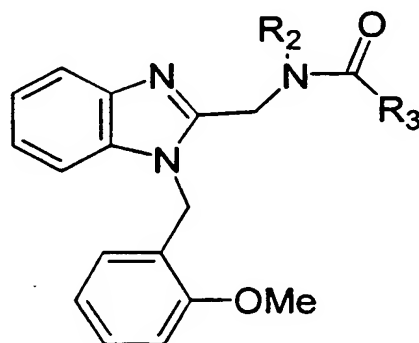


where  $R_2$  and  $R_3$  are defined in the following table:

$R_2$	$R_3$
Butyl	2,5-Dimethoxyphenyl
2-Methylpropyl	2,5-Dimethoxyphenyl
3-Methylbutyl	2,5-Dimethoxyphenyl
Butyl	3-Chloro-4-methoxyphenyl
2-Methylpropyl	3-Chloro-4-methoxyphenyl
3-Methylbutyl	3-Chloro-4-methoxyphenyl
Butyl	5-Chloro-2-methoxyphenyl
2-Methylpropyl	5-Chloro-2-methoxyphenyl
3-Methylbutyl	5-Chloro-2-methoxyphenyl
2-Methylpropyl	4-Chloro-2-methoxyphenyl
Butyl	3-Trifluoromethylphenyl
2-Methylpropyl	3-Trifluoromethylphenyl
3-Methylbutyl	3-Trifluoromethylphenyl
Butyl	2-Trifluoromethylphenyl
3-Methylbutyl	2-Trifluoromethylphenyl
Butyl	3,4-Dichlorophenyl
2-Methylpropyl	3,4-Dichlorophenyl
3-Methylbutyl	3,4-Dichlorophenyl
Butyl	2,5-Dichlorophenyl
2-Methylpropyl	2,5-Dichlorophenyl
Pentyl	2,5-Dichlorophenyl
3-Methylbutyl	2,5-Dichlorophenyl
Butyl	2,4-Dichlorophenyl
2-Methylpropyl	2,4-Dichlorophenyl
3-Methylbutyl	2,4-Dichlorophenyl
Butyl	3-Bromophenyl
2-Methylpropyl	3-Bromophenyl
Pentyl	3-Bromophenyl
3-Methylbutyl	3-Bromophenyl
2-Methylpropyl	4-Bromophenyl

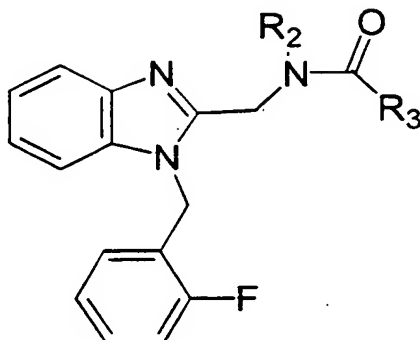
Butyl	2-Bromophenyl
2-Methylpropyl	2-Bromophenyl
3-Methylbutyl	2-Bromophenyl
2-Methylpropyl	3-Phenoxyphenyl
2-Methylpropyl	4-Phenoxyphenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
Pentyl	3-Bromo-4-methylphenyl
3-Methylbutyl	3-Bromo-4-methylphenyl
Butyl	3-Bromo-4-methylphenyl
2-Methylpropyl	3-Bromo-4-methylphenyl
Pentyl	3-Bromo-4-methylphenyl
3-Methylbutyl	3-Bromo-4-methylphenyl
Butyl	3-Iodophenyl
2-Methylpropyl	3-Iodophenyl
Pentyl	3-Iodophenyl
3-Methylbutyl	3-Iodophenyl
2-Methylpropyl	4-Iodophenyl
2-Methylpropyl	2,3,5,6-Tetrafluorophenyl
2-Methylpropyl	2,4,6-Trifluorophenyl
Butyl	2,3,6-Trifluorophenyl
2-Methylpropyl	2,3,6-Trifluorophenyl
Pentyl	2,3,6-Trifluorophenyl
3-Methylbutyl	2,3,6-Trifluorophenyl
Butyl	3-Chloro-6-fluorophenyl
Pentyl	3-Chloro-6-fluorophenyl
3-Methylbutyl	3-Chloro-6-fluorophenyl
Butyl	2-Fluoro-6-trifluoromethylphenyl

75. A compound according to claim 1 which has the formula



where  $R_2$  is 2-methylpropyl and  $R_3$  is 5-methyl-2-thienyl.

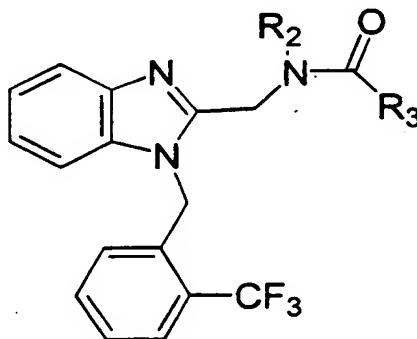
76. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

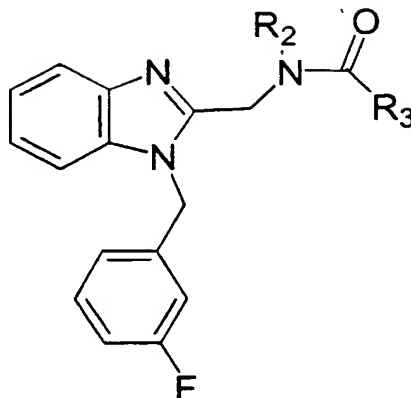
$R_2$	$R_3$
2-Methylpropyl	2,4-Difluorophenyl
2-Methylpropyl	2H-Benzo[d]1,3-dioxolane
2-Methylpropyl	3-Chloro-4-methylphenyl

77. A compound according to claim 1 which has the formula



where  $R_2$  is 2-methylpropyl and  $R_3$  is 5-Methyl-2-thienyl.

78. A compound according to claim 1 which has the formula



where  $R_2$  and  $R_3$  are defined in the following table:

Compound No.	$R_2$	$R_3$
2383	2-Methylpropyl	3-Chloro-4-methylphenyl
2384	2-Methylpropyl	2,4-Difluorophenyl
2385	2-Methylpropyl	2H-Benzo[d]1,3-dioxolane

79. A compound according to claim 1 which is (3-fluoro-4-methylphenyl)-N-({1-[(2-methylphenyl)methyl]benzimidazol-2-yl}methyl)-N-pentylcarboxamide; or (5-Chloro-2-methoxyphenyl)-N-({3-[(2-chlorophenyl)methyl]imidazolo[5,4-b]pyridin-2-yl}methyl)-N-pentylcarboxamide.

80. A pharmaceutical composition comprising a compound according to claim 1, together with at least one pharmaceutically acceptable carrier or excipient.

81. A method for the treatment or prevention of physiological disorders associated with modulation of the

GABA<sub>A</sub> receptor complex by selective interaction with the benzodiazepine receptor, the method comprises administration to a patient in need thereof a GABA<sub>A</sub> receptor complex agonist, antagonist or inverse agonist of a compound according to claim 1.

82. A method according to claim 81 for the treatment of enhancing alertness and treating anxiety, overdoses of benzodiazepine-type drugs, Down Syndrome, depression, sleep, seizure and cognitive disorders both in human and non-human animals and domestic pets, especially dogs and cats and farm animals such as sheep, swine and cattle.

83. The use of a compound as claimed in claim 1 for the manufacture of a medicament for the treatment of enhancing alertness and treating anxiety, overdoses of benzodiazepine-type drugs, Down Syndrome, depression, sleep, seizure and cognitive disorders both in human and non-human animals and domestic pets, especially dogs and cats and farm animals such as sheep, swine and cattle.

84. A process for the preparation of a compound as claimed in claim 1.

# INTERNATIONAL SEARCH REPORT

Intern. Application No

PCT/US 00/08610

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/04 C07D235/14 A61K31/437 A61K31/4184 A61P25/00  
 //(C07D471/04,235:00,221:00)

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 97 24119 A (SMITHKLINE BEECHAM CORP.) 10 July 1997 (1997-07-10) page 48, compounds 7 and 8; page 51, compounds 9 and 10; page 65, compounds 6 and 7; page 67, compound 7; page 81, compounds 2 and 3 claims 1,27 ---	1,31, 47-78,80
A	WO 96 00730 A (SMITHKLINE BEECHAM CORP.) 11 January 1996 (1996-01-11) page 44, compounds 2 and 3; page 51, compounds 5 and 6; page 55, compounds 5, 6 and 7; page 56, compounds 3 and 4 claims 1,33 ---	1,31, 47-78,80
A	WO 98 17651 A (NEUROSEARCH A/S) 30 April 1998 (1998-04-30) claims 1,9-15 ---	1,30-35, 80-83
	-/--	

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

\* Special categories of cited documents :

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Date of the actual completion of the international search

6 July 2000

Date of mailing of the international search report

14/07/2000

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Hass, C

# INTERNATIONAL SEARCH REPORT

Intern. Appl. Application No

PCT/US 00/08610

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 96 33194 A (NEUROSEARCH A/S) 24 October 1996 (1996-10-24) claims 1,3-10 -----	1,30-35, 80-83
A	EP 0 616 807 A (NEUROSEARCH A/S) 28 September 1994 (1994-09-28) page 6, line 29 -page 7, line 33; claims 1,4-7 -----	1,30-35, 80-83



## INTERNATIONAL SEARCH REPORT

International Application No. PCT/US 00 08610

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 84

Claim 84 is directed to "a process for the preparation of a compound as claimed in claim 1"; no further features are given. The claim thus comprises any process which may be suitable for the preparation of the compounds according to claim 1. Therefore a lack of clarity within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claim impossible since the claim does not clearly define the subject-matter for which protection is sought.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

# INTERNATIONAL SEARCH REPORT

Information on patent family members

Intern. Application No

PCT/US 00/08610

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9724119 A	10-07-1997	AU 1354097 A	28-07-1997
		BR 9612327 A	13-07-1999
		CA 2241633 A	10-07-1997
		CN 1209744 A	03-03-1999
		CZ 9802036 A	12-05-1999
		EP 0869787 A	14-10-1998
		HU 9900754 A	28-07-1999
		JP 2000502354 T	29-02-2000
		NO 983003 A	26-08-1998
		PL 327694 A	21-12-1998
WO 9600730 A	11-01-1996	AU 702661 B	25-02-1999
		AU 3001095 A	25-01-1996
		BR 9508178 A	18-11-1997
		CA 2193966 A	11-01-1996
		CN 1156995 A	13-08-1997
		CZ 9603824 A	17-12-1997
		EP 0762882 A	19-03-1997
		EP 0767792 A	16-04-1997
		HU 76344 A	28-08-1997
		JP 10504807 T	12-05-1998
		JP 10504808 T	12-05-1998
		NO 965608 A	27-02-1997
		NZ 290008 A	26-08-1998
		PL 318199 A	26-05-1997
		WO 9600574 A	11-01-1996
		ZA 9505391 A	09-02-1996
WO 9817651 A	30-04-1998	AU 4616197 A	15-05-1998
		CN 1234025 A	03-11-1999
		CZ 9901272 A	15-09-1999
		EP 0934281 A	11-08-1999
WO 9633194 A	24-10-1996	AU 5501496 A	07-11-1996
		AU 695957 B	27-08-1998
		AU 5689196 A	07-11-1996
		AU 699623 B	10-12-1998
		AU 5690696 A	07-11-1996
		BR 9608048 A	30-11-1999
		BR 9608056 A	30-11-1999
		CA 2217601 A	24-10-1996
		CA 2218493 A	24-10-1996
		CA 2218552 A	24-10-1996
		CN 1182427 A	20-05-1998
		CN 1182426 A	20-05-1998
		CN 1182425 A	20-05-1998
		CZ 9703291 A	18-03-1998
		CZ 9703292 A	18-03-1998
		WO 9633191 A	24-10-1996
		WO 9633192 A	24-10-1996
		EP 0821683 A	04-02-1998
		EP 0821684 A	04-02-1998
		EP 0821682 A	04-02-1998
		HU 9801692 A	29-03-1999
		HU 9802272 A	28-09-1999
		JP 11501320 T	02-02-1999
		JP 11511734 T	12-10-1999
		JP 11501321 T	02-02-1999

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/08610

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9633194 A		NO 974843 A	15-12-1997
		NO 974844 A	16-12-1997
		NZ 307521 A	29-04-1999
		NZ 307532 A	29-03-1999
		PL 322892 A	02-03-1998
		PL 322944 A	02-03-1998
		RU 2135493 C	27-08-1999
		RU 2136676 C	10-09-1999
		SK 139997 A	06-05-1998
		SK 140697 A	06-05-1998
		US 5902813 A	11-05-1999
		US 5922724 A	13-07-1999
		US 5922725 A	13-07-1999
EP 616807 A	28-09-1994	AT 168007 T	15-07-1998
		AU 675484 B	06-02-1997
		AU 5752194 A	29-09-1994
		CA 2119511 A	25-09-1994
		CN 1099391 A	01-03-1995
		DE 69411424 D	13-08-1998
		DE 69411424 T	28-01-1999
		ES 2119124 T	01-10-1998
		FI 941378 A	25-09-1994
		JP 7002838 A	06-01-1995
		NO 941052 A	26-09-1994
		NZ 260050 A	26-01-1996
		US 5554632 A	10-09-1996
		US 5554630 A	10-09-1996
		ZA 9402079 A	24-10-1994

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